Example No.	Structure	APCI-MS
1552	N F F	410 (M + H)
1553		432 (M + H)
1554	N H S Br	474 (M + H)
1555	N Br	458 (M + H)
1556		490 (M + H)

Example No.	Structure	APCI-MS
1557	H CI	535 (M + H)
1558	D D D CI	430 (M + H)
1559	N H S Br	552 (M + H)
1560		433 (M + H)
1561	N H Br	536 (M + H)

Example No.	Structure	APCI-MS
1562		506 (M + H)
1563	The state of the s	429 (M + H)
1564		486 (M + H)
1565	The property of the property o	443 (M + H)
1566		636 (M + H)

Example No.	Structure	APCI-MS
1567	Br C N N N N N N N N N N N N N N N N N N	705 (M + H)
1568		559 (M + H)
1569		569 (M + H)
1570		480 (M + H)
1571		494 (M + H)

Example No.	Structure	APCI-MS
1572	HO	496 (M + H)
1573		537 (M + H)
1574	HO HO	494 (M + H)
1575		534 (M + H)
1576		587 (M + H)

Example No.	Structure	APCI-MS
1577		587 (M + H)
1578		523 (M + H)
1579		627 (M + H)
1580		627 (M + H)
1581		526 (M + H)

Example No.	Structure	APCI-MS
1582		524 (M + H)
1583		564 (M + H)
1584		647 (M + H)
1585		545 (M + H)
1586		671 (M + H)

Example No.	Structure	APCI-MS
1587		482 (M + H)
1588		466 (M + H)
1589		528 (M + H)
1590		482 (M + H)
1591		517 (M + H)

Example No.	Structure	APCI-MS
1592		537 (M + H)
1593		496 (M + H)
1594		508 (M + H)
1595		496 (M + H)
1596		564 (M + H)

Example No.	Structure	APCI-MS
1597		550 (M + H)
1598		602 (M + H)
1599		522 (M + H)
1600		533 (M + H)
1601		468 (M + H)

Example No.	Structure	APCI-MS
1602		502 (M + H)
1603		449 (M + H)
1604		493 (M + H)
1605		515 (M + H)
1606	DA PARTIE OH	440 (M + H)

Example No.	Structure	APCI-MS
1607		508 (M + H)
1608		582 (M + H)
1609		674 (M + H)
1610		474 (M + H)
1611	The property of the property o	548 (M - H)

Example No.	Structure	APCI-MS
1612		438 (M + H)
1613		569 (M + H)
1614	The state of the s	441 (M + H)
1615	N H FFF	458 (M + H)
1616		449 (M + H)

Example No.	Structure	APCI-MS
1617		435 (M + H)
1618		465 (M + H)
1619	P F F F	476 (M + H)
1620		526 (M + H)
1621		465 (M + H)

Example No.	Structure	APCI-MS
1622	N H F F	476 (M + H)
1623		494 (M + H)
1624		453 (M + H)
1625	The things of the second secon	463 (M + H)
1626		519 (M + H)

Example No.		APCI-MS
1627	Bb Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	553 (M + H)
1628		501 (M + H)
1629		458 (M + H)
1630	HO HO	502 (M + H)
1631		579 (M + H)

Example No.	Structure	APCI-MS
1632		506 (M + H)
1633	CI F	456 (M + H)
1634	N P O STO	530 (M + H)
1635	S S S S S S S S S S S S S S S S S S S	479 (M + H)
1636		590 (M + H)

Example No.	Structure	APCI-MS
1637		486 (M + H)
1638	CI CI CI	472 (M + H)
1639	C C C C C C C C C C C C C C C C C C C	-480 (M + H)
1640		464 (M + H)
1641		494 (M + H)

Example No.	Structure	APCI-MS
1642		532 (M + H)
1643	The state of the s	546 (M + H)
1644		608 (M + H)
1645	OH P	438 (M + H)
1646		466 (M + H)

Example No.	Structure	APCI-MS
1647		512 (M + H)
1648		423 (M + H)
1649		577 (M + H)
1650	HO	434 (M + H)
1651		549 (M + H)

Example No.	Structure	APCI-MS
1652		530 (M + H)
1653	S S S S S S S S S S S S S S S S S S S	490 (M + H)
1654	The state of the s	486 (M + H)
1655		501 (M + H)
1656		562 (M + H)

Example No.	Structure	APCI-MS
1657		487 (M + H)
1658		660 (M + H)
1659	N N N N N N N N N N N N N N N N N N N	605 (M + H)
1660		662 (M + H)
1661	Br N N N N N N N N N N N N N N N N N N N	696 (M + H)

Example No.	Structure	APCI-MS
1662		639 (M + H)
1663		659 (M + H)
1664	HO	647 (M + H)
1665	Charles Corp.	633 (M + H)
1666	N A A A A A A A A A A A A A A A A A A A	543 (M + H)

Example No.	Structure	APCI-MS
1667		577 (M + H)
1668		551 (M + H)
1669	H H H H H H H H H H H H H H H H H H H	554 (M + H)
1670		477 (M + H)
1671		463 (M + H)

Example No.	Structure	APCI-MS
1672	OH OH	446 (M + H)
1673		496 (M + H)
-1674		496 (M + H)
1675		519 (M + H)
1676		530 (M + H)

Example No.	Structure	APCI-MS
1677		574 (M + H)
1678		437 (M + H)
1679		419 (M + H)
1680	CI CI	548 (M + H)
1681	CI CI CI	672 (M + H)

Example No.	Structure	APCI-MS
1682		540 (M + H)
1683		540 (M + H)
1684	N H H	524 (M + H)
1685		512 (M + H)
1686		632 (M + H)

Example No.	Structure	APCI-MS
1687		646 (M + H)
1688		648 (M + H)
1689		584 (M + H)
1690	C C P PO P	632 (M + H)
1691		672 (M + H)

Example No.	Structure	APCI-MS
1692		686 (M + H)
1693		652 (M + H)
1694		626 (M + H)
1695	HO CI	638 (M + H)
1696	HO HO CI	618 (M + H)

Example No.	Structure	APCI-MS
1697		612 (M + H)
1698	The Holds	588 (M + H)
1699		624 (M + H)
1700	N H S	438 (M + H)
1701	CI CI CI	522 (M + H)

Example No.	Structure	APCI-MS
1702	N N N P S F F	488 (M + H)
1703	P P P P P P P P P P P P P P P P P P P	488 (M + H)
1704	N N N F N F N F N F N F N F N F N F N F	488 (M + H)
1705		504 (M + H)
1706	S F F F	504 (M + H)

Example No.	Structure	APCI-MS
1707		458 (M + H)
1708		452 (M + H)
1709		497 (M + H)
1710		549 (M + H)
1711	N N N OH	524 (M + H)

Example No.	Structure	APCI-MS
1712		615 (M + H)
1713		510 (M + H)
1714	OH OH	434 (M + H)
1715		512 (M + H)
1716		535 (M + H)

Example No.	Structure	APCI-MS
1717		556 (M + H)
1718		480 (M + H)
1719		494 (M + H)
1720	CI N N N N S	597 (M + H)
1721		570 (M + H)

Example No.	Structure	APCI-MS
1722		478 (M + H)
1723		448 (M + H)
1724	OH OH	448 (M + H)
1725	S S	450 (M + H)
1726		432 (M + H)

Example No.	Structure	APCI-MS
1727	CI CI	452 (M + H)
1728		460 (M + H)
1729		478 (M + H)
1730		444 (M + H)
1731		492 (M + H)

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Example No.	Structure	APCI-MS
1732	DA D	524 (M + H)
1733		589 (M + H)
1734	OH OH OH	520 (M + H)
1735		490 (M + H)
1736		563 (M + H)

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Example No.	Structure	APCI-MS
1737		471 (M + H)
1738		578 (M + H)
1739		410 (M + H)
1740		424 (M + H)
1741	N H F F	424 (M + H)

Example No.	Structure	APCI-MS
1742		424 (M + H)
1743		447 (M + Na)
1744		384 (M + H)
1745	N P F	424 (M + H)
1746		434 (M + H)

Example No.	Structure	APCI-MS
1747		472 (M + H)
1748	N Br	520 (M + H)
1749	N Br	514 (M + H)
1750	B Z Z Z	470 (M + H)
1751	OH Br	500 (M + H)

Example No.	Structure	APCI-MS
1752		482 (M + H)
1753	CI NO CI	502 (M + H)
1754	CIL	490 (M + H)
1755	CI OH	426 (M + H)
1756		683 (M + H)

Example No.	Structure	APCI-MS
1757		537 (M + H)
1758		588 (M + H)
1759	CI OH OH	460 (M + H)
1760		477 (M + H)
1761		447 (M + H)

Example No.	Structure	APCI-MS
1762		509 (M + H)
1763		438 (M + H)
1764		464 (M + H)
1765	HO O	450 (M + H)
1766		383 (M + H)

Example No.	Structure	APCI-MS
1767		476 (M + H)
1768	N N N N N N N N N N N N N N N N N N N	396 (M + H)
1769		434 (M + H)
1770		416 (M + H)
1771		470 (M + H)

Example No.	Structure	APCI-MS
1772	ST S	410 (M + H)
1773		442 (M + H)
1774		394 (M + H)
1775	CI YN CI YN Y	461 (M + H)
1776	CI	476 (M + H)

Example No.	Structure	APCI-MS
1777		510 (M + H)
1778	CI P F	544 (M + H)
1779		380 (M + H)
1780	OH OH	437 (M + H)
1781		464 (M + H)

Example No.	Structure	APCI-MS
1782		394 (M + H)
1783		546 (M + H)
1784		519 (M + H)
1785		542 (M + H)
1786	N S S Br	624 (M + H)

Example No.	Structure	APCI-MS
1787	N NH	366 (M + H)
1788	N S Br	460 (M + H)
1789		469 (M + H)
1790		450 (M + H)
1791		456 (M + H)

Example No.	Structure	APCI-MS
1792		430 (M + H)
1793		456 (M + H)
1794		456 (M + H)
1795	Br OH	500 (M + H)
1796	O N Br	537 (M + Na)

Example No.	Structure	APCI-MS
1797	Br OH OH	537 (M + Na)
1798	Br OH	548 (M + H)
1799	HO	504 (M + H)
1800	N OH	644 (M + H)
1801	N OH OH	436 (M + H)

Example No.	Structure	APCI-MS
1802	HO	410 (M + H)
1803	H O O O	422 (M + H)
1804	O N OH	467 (M + H)
1805	N OH	406 (M + H)
1806	HO HO	406 (M + H)

Example No.	Structure	APCI-MS
1807	N N OH	440 (M - H)
1808	O N O	437 (M + H)
1809		408 (M + H)
1810		404 (M + H)
1811		404 (M + H)

Example No.	Structure	APCI-MS
1812		422 (M + H)
1813		453 (M + H)
1814		433 (M + H)
1815		429 (M + H)
1816		429 (M + H)

Example No.	Structure	APCI-MS
1817		415 (M + H)
1818		404 (M + H)
1819	N P P	-471 (M + H)
1820	T N N N N N N N N N N N N N N N N N N N	433 (M + H)
1821		569 (M + H)

Example No.	Structure	APCI-MS
1822	NH NH	415 (M + H)
1823		408 (M + H)
1824	CI—CI	510 (M + H)
1825	CI	525 (M + H)
1826		541 (M + H)

Example No.	Structure	APCI-MS
1827		555 (M + H)
1828		578 (M + H)
1829	CI C	548 (M + H)
1830	FXF ON N	526 (M + H)
1831		544 (M + H)

Example No.	Structure	APCI-MS
1832	The state of the s	528 (M + H)
1833	F F F S	476 (M + H)
-1834	F F O	456 (M + H)
1835	Br Br	498 (M + H)
1836		450 (M + H)

Example No.	Structure	APCI-MS
1837		451 (M + H)
1838		460 (M + H)
1839		.464 (M + H)
1840		450 (M + H)
1841	Br N N H	562 (M + H)

Example No.	Structure	APCI-MS
1842		518 (M + H)
1843		512 (M + H)
1844		442 (M + H)
1845		542 (M + H)
1846	N N N N N N N N N N N N N N N N N N N	424 (M + H)

Example No.	Structure	APCI-MS
1847		530 (M + H)
1848		581 (M + H)
1849		581 (M + H)
1850		451 (M + H)
1851		508 (M + H)

Example No.	Structure	APCI-MS
1852		518 (M + H)
1853		512 (M + H)
1854		543 (M + H)
1855		569 (M + H)
1856	NN P	452 (M + H)

Example No.	Structure	APCI-MS
1857		433 (M + H)
1858		601 (M + H)
1859		481 (M + H)
1860		542 (M + H)
1861	F CI	534 (M + H)

Example No.	Structure	APCI-MS
1862		434 (M + H)
1863		502 (M + H)
1864		576 (M + H)
1865		466 (M + H)
1866		436 (M + H)

Example No.	Structure	APCI-MS
1867		436 (M + H)
1868		466 (M + H)
1869		432 (M + H)
1870	HO HO HO	436 (M + H)
1871		429 (M + H)

Example No.	Structure	APCI-MS
1872		380 (M + H)
1873		391 (M + H)
1874		498 (M + H)
1875		446 (M + H)
1876		465 (M + H)

Example No.	Structure	APCI-MS
1877		518 (M + H)
1878		377 (M + H)
1879		377 (M + H)
1880		476 (M + H)
1881		491 (M+H)

Example No.	Structure	APCI-MS
1882		427 (M + H)
1883		536 (M + H)
-1884		524 (M + H)
1885		448 (M + H)
1886		478 (M + H)

Example No.	Structure	APCI-MS
1887		510 (M + H)
1888	OH OH	422 (M + H)
1889		464 (M + H)
1890		486 (M + H)
1891		462 (M + H)

Example No.	Structure	APCI-MS
1892		400 (M + H)
1893		478 (M + H)
-1894		418 (M + H)
1895	OH OH	448 (M + H)
1896		458 (M + H)

Example No.	Structure	APCI-MS
1897		522 (M + H)
1898	N N CI	492 (M + H)
1899	Br N N N	600 (M + H)
1900		472 (M + H)
1901		472 (M + H)

Example No.	Structure	APCI-MS
1902		468 (M + H)
1903		460 (M + H)
1904		472 (M + H)
1905		406 (M + H)
1906	OH OH	446 (M + H)

Example No.	Structure	APCI-MS
1907	Br Br	480 (M + H)
1908		404 (M + H)
1909		472 (M + H)
1910		486 (M + H)
1911		437 (M + H)

Example No.	Structure	APCI-MS
1912		432 (M + H)
1913		460 (M + H)
<b>-1914</b>		474 (M + H)
1915	HO	420 (M + H)
1916		432 (M + H)

Example No.	Structure	APCI-MS
1917		480 (M + H)
1918		444 (M + H)
1919	CI CI	478 (M + H)
1920	CI C	512 (M + H)
1921		392 (M + H)

Example No.	Structure	APCI-MS
1922		403 (M + H)
1923		476 (M + H)
1924		447 (M + H)
1925		446 (M + H)
1926		382 (M + H)

Example No.	Structure	APCI-MS
1927		342 (M + H)
1928		380 (M + H)
1929		- 370 (M+H)
1930		482 (M + H)
1931		442 (M + H)

Example No.	Structure	APCI-MS
1932	The state of the s	519 (M + H)
1933		505 (M + H)
1934		429 (M + H)
1935		432 (M + H)
1936		418 (M + H)

Example No.	Structure	APCI-MS
1937		588 (M + H)
1938		468 (M + H)
1939	HO	443 (M + H)
1940		434 (M + H)
1941		500 (M + H)

Example No.	Structure	APCI-MS
1942	N S S S S S S S S S S S S S S S S S S S	530 (M + H)
1943		506 (M + H)
-194 <b>4</b>		414 (M + H)
1945	N N N N N N N N N N N N N N N N N N N	442 (M + H)
1946	N N S	448 (M + H)

Example No.	Structure	APCI-MS
1947		474 (M + H)
1948		461 (M + H)
1949	F N N N N N N N N N N N N N N N N N N N	509 (M + H)
1950		437 (M + H)
1951		427 (M + H)

Example No.	Structure	APCI-MS
1952	HN Br	444 (M + H)
1953		460 (M + H)
1954		447 (M + H)
1955		456 (M + H)
1956		479 (M + H)

Example No.	Structure	APCI-MS
1957		469 (M + H)
1958		440 (M + H)
1959		476 (M + H)
1960	O HIN S	453 (M + H)
1961		552 (M + H)

Example No.	Structure	APCI-MS
1962		500 (M + H)
1963		554 (M + H)
1964		428 (M + H)
1965	Br F F	538 (M + H)
1966		448 (M + H)

Example No.	Structure	APCI-MS
1967	Br Andrews Control of the Control of	486 (M + H)
1968	DE D	534 (M + H)
1969	N N N N N N N N N N N N N N N N N N N	·528 (M + H)
1970	N HO Br	484 (M + H)
1971	N H OH	514 (M + H)

Example No.	Structure	APCI-MS
1972		496 (M + H)
1973	H H H H H H H H H H H H H H H H H H H	592 (M + H)
1974		516 (M + H)
1975	N H CI	504 (M + H)
1976	N HO CI	440 (M + H)

Example No.	Structure	APCI-MS
1977		697 (M + H)
1978	N P P P	551 (M + H)
1979		602 (M + H)
1980		474 (M + H)
1981		491 (M + H)

Example No.	Structure	APCI-MS
1982	CI CI	523 (M + H)
1983		452 (M + H)
1984		478 (M + H)
1985	HO	464 (M + H)
1986	N N N S	397 (M + H)

Example No.	Structure	APCI-MS
1987		454 (M - H)
1988		490 (M + H)
1989	N H OH	410 (M + H)
1990		448 (M + H)
1991	The three transfers of the transfers of	430 (M + H)

Example No.	Structure	APCI-MS
1992		484 (M + H)
1993		424 (M + H)
1994	The state of the s	456 (M + H)
1995		408 (M + H)
1996	N H CI	475 (M + H)

Example No.	Structure	APCI-MS
1997	CI CI	490 (M + H)
1998	N N P F F F	524 (M + H)
1999	CI CI FF	558 (M + H)
2000		394 (M + H)
2001	N HO HO OH	451 (M + H)

Example No.	Structure	APCI-MS
2002		478 (M + H)
2003		408 (M + H)
2004		560 (M + H)
2005		533 (M + H)
2006	S Br	556 (M + H)

Example No.	Structure	APCI-MS
2007	The second secon	638 (M + H)
2008		380 (M + H)
2009		474 (M + H)
2010		483 (M + H)
2011		464 (M + H)

Example No.	Structure	APCI-MS
2012		470 (M + H)
2013		444 (M + H)
2014		470 (M + H)
2015	O H H H H H H H H H H H H H H H H H H H	487 (M + H)
2016		470 (M + H)

Example No.	Structure	APCI-MS
2017	DE HOUSE	514 (M + H)
2018	OH NO HO HO HO	527 (M - H)
2019	Br HO Br	562 (M + H)
2020		518 (M + H)
2021	HOTH	658 (M + H)

Example No.	Structure	APCI-MS
2022	HO HO	466 (M + H)
2023	HO HO	450 (M + H)
2024	N N N N N N N N N N N N N N N N N N N	424 (M + H)
2025	HO HO	436 (M + H)
2026	HO HO	420 (M + H)

Example No.	Structure	APCI-MS
2027	OH OH	420 (M + H)
2028		456 (M + H)
2029	N HO HO	451 (M + H)
2030	N N N N N N N N N N N N N N N N N N N	422 (M + H)
2031		418 (M + H)

Example No.	Structure	APCI-MS
2032		418 (M + H)
2033		436 (M + H)
2034		467 (M + H)
2035		443 (M + H)
2036	HAT THE THE THE THE THE THE THE THE THE TH	443 (M + H)

Example No.	Structure	APCI-MS
2037		429 (M + H)
2038		418 (M + H)
2039		485 (M + H)
2040	N H NH	447 (M + H)
2041		583 (M + H)

Example No.	Structure	APCI-MS
2042		536 (M + H)
2043		429 (M + H)
2044		422 (M + H)
2045	N H H	507 (M + H)
2046	CI CI	524 (M + H)

Example No.	Structure	APCI-MS
2047		539 (M + H)
2048		555 (M + H)
2049		569 (M + H)
2050	F F F	592 (M + H)
2051	The second secon	562 (M + H)

Example No.	Structure	APCI-MS
2052	N P O F F	540 (M + H)
2053	CI PF F	558 (M + H)
2054	F F F	542 (M + H)
2055	N N N N N N N N N N N N N N N N N N N	490 (M + H)
2056	The state of the s	470 (M + H)

Example No.	Structure	APCI-MS
2057	N H Br	512 (M + H)
2058		464 (M + H)
2059		465 (M + H)
2060		474 (M + H)
2061		478 (M + H)

Example No.	Structure	APCI-MS
2062		478 (M + H)
2063		464 (M + H)
2064	N Br	576 (M + H)
2065		532 (M + H)
2066		526 (M + H)

Example No.	Structure	APCI-MS
2067	N N N N N N N N N N N N N N N N N N N	456 (M + H)
2068		556 (M + H)
2069		438 (M + H)
2070		544 (M + H)
2071		595 (M + H)

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Example No.	Structure	APCI-MS
2072	F F	595 (M + H)
2073		465 (M + H)
2074		522 (M + H)
2075		532 (M + H)
2076		526 (M + H)

Example No.	Structure	APCI-MS
2077		557 (M + H)
2078		583 (M + H)
-2079		-466 (M + H)
2080		447 (M + H)
2081	CI C	615 (M + H)

Example No.	Structure	APCI-MS
2082		495 (M + H)
2083		556 (M + H)
2084		548 (M + H)
2085		448 (M + H)
2086	N N N N S F	516 (M + H)

Example No.	Structure	APCI-MS
2087		590 (M + H)
2088		480 (M + H)
2089		450 (M + H)
2090		450 (M + H)
2091		480 (M + H)

Example No.	Structure	APCI-MS
2092		446 (M + H)
2093	HO	450 (M + H)
2094		443 (M + H)
2095		394 (M + H)
2096	The state of the s	405 (M + H)

Example No.	Structure	APCI-MS
2097		512 (M + H)
2098		460 (M + H)
2099		479 (M + H)
2100		532 (M + H)
2101		391 (M + H)

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Example No.	Structure	APCI-MS
2102		391 (M + H)
2103		490 (M + H)
2104	N A A A A A A A A A A A A A A A A A A A	505 (M + H)
2105		441 (M + H)
2106	N N N N N N N N N N N N N N N N N N N	550 (M + H)

Example No.	Structure	APCI-MS
2107		538 (M + H)
2108		462 (M + H)
2109		492 (M + H)
2110	N N N N N N N N N N N N N N N N N N N	524 (M + H)
2111	J. J	436 (M + H)

Example No.	Structure	APCI-MS
2112		478 (M + H)
2113	H L L L L L L L L L L L L L L L L L L L	500 (M + H)
2114		476 (M + H)
2115		414 (M + H)
2116		492 (M + H)

Example No.	Structure	APCI-MS
2117		432 (M + H)
2118		472 (M + H)
2119		536 (M + H)
2120		506 (M + H)
2121	N N N O Br	614 (M + H)

Example No.	Structure	APCI-MS
2122		486 (M + H)
2123		486 (M + H)
2124		482 (M + H)
2125		474 (M + H)
2126		486 (M + H)

Example No.	Structure	APCI-MS
2127		420 (M + H)
2128	N H Br	494 (M + H)
2129		418 (M + H)
2130		486 (M + H)
2131		500 (M + H)

Example No.	Structure	APCI-MS
2132		446 (M + H)
2133		474 (M + H)
2134		488 (M + H)
2135	OH OH	434 (M + H)
2136		446 (M + H)

Example No.	Structure	APCI-MS
2137	OH OH	492 (M + H)
2138		458 (M + H)
2139		492 (M + H)
2140		526 (M + H)
2141		406 (M + H)

Example No.	Structure	APCI-MS
2142		417 (M + H)
2143		490 (M + H)
2144		461 (M + H)
2145		460 (M + H)
2146		396 (M + H)

Example No.	Structure	APCI-MS
2147		356 (M + H)
2148	The state of the s	394 (M + H)
2149	The state of the s	384 (M + H)
2150		496 (M + H)
2151		456 (M + H)

Example No.	Structure	APCI-MS
2152		533 (M + H)
2153		519 (M + H)
2154		443 (M + H)
2155	S S S S S S S S S S S S S S S S S S S	446 (M + H)
2156		432 (M + H)

Example No.	Structure	APCI-MS
2157		602 (M + H)
2158		457 (M + H)
2159		448 (M + H)
2160		514 (M + H)
2161	N N N N F F F	544 (M + H)

Example No.	Structure	APCI-MS
2162		520 (M + H)
2163		428 (M + H)
2164		462 (M + H)
2165		488 (M + H)
2166		475 (M + H)

Example No.	Structure	APCI-MS
2167	F F F	523 (M + H)
2168		451 (M + H)
2169		441 (M + H)
2170	N H Br	458 (M + H)
2171	N N N N N N N N N N N N N N N N N N N	474 (M + H)

Example No.	Structure	APCI-MS
2172		461 (M + H)
2173		470 (M + H)
2174		493 (M + H)
2175		483 (M + H)
2176		454 (M + H)

Example No.	Structure	APCI-MS
2177	HINTO HINTO	490 (M + H)
2178	HN HN S	467 (M + H)
2179	CINT HOUSE OF THE PARTY OF THE	566 (M + H)
2180	The property of the property o	514 (M + H)
2181		568 (M + H)

Example No.	Structure	APCI-MS
2182		594 (M + H)
2183		442 (M + H)
2184	N N F F F	552 (M + H)
2185		435 (M + H)
2186		450 (M + H)

Example No.	Structure	APCI-MS
2187	F F	448 (M + H)
2188	CI N N CI	444 (M + H)
2189		478 (M + H)
2190		434 (M + H)
2191		446 (M + H)

Example No.	Structure	APCI-MS
2192		420 (M + H)
2193	CI N N	440 (M + H)
2194		464 (M + H)
2195	F F F	448 (M + H)
2196		502 (M + H)

Example No.	Structure	APCI-MS
2197		462 (M + H)
2198		508 (M + H)
2199		440 (M + H)
2200	CI Br	488 (M + H)
2201		516 (M + H)

Example No.	Structure	APCI-MS
2202		404 (M + H)
2203	CI	478 (M + H)
2204		456 (M + H)
2205		464 (M + H)
2206		456 (M + H)

Example No.	Structure	APCI-MS
2207	C	450 (M + H)
2208	N CI	442 (M + H)
2209	N N N N N N N N N N N N N N N N N N N	408 (M + H)
2210	CI CI	424 (M + H)
2211	N N N CI	424 (M + H)

Example No.	Structure	APCI-MS
2212		448 (M + H)
2213	N F F	458 (M + H)
2214	The second secon	458 (M + H)
2215		420 (M + H)
2216		419 (M + H)

Example No.	Structure	APCI-MS
2217		440 (M + H)
2218		446 (M + H)
2219		434 (M + H)
2220		446 (M + H)
2221		404 (M + H)

Example No.	Structure	APCI-MS
2222	N N N N N N N N N N N N N N N N N N N	408 (M + H)
2223		420 (M + H)
2224		420 (M + H)
2225		463 (M + H)
2226	F F	460 (M + H)

Example No.	Structure	APCI-MS
2227		462 (M + H)
2228		502 (M + H)
2229		434 (M + H)
2230		456 (M + H)
2231		432 (M + H)

Example No.	Structure	APCI-MS
2232		460 (M + H)
2233		488 (M + H)
2234		474 (M + H)
2235		446 (M + H)
2236	N Br	484 (M + H)

Example No.	Structure	APCI-MS
2237		420 (M + H)
2238		568 (M + H)
2239		-428 (M + H)
2240		396 (M + H)
2241		420 (M + H)

Example No.	Structure	APCI-MS
2242		468 (M + H)
2243		432 (M + H)
2244	Br N	468 (M + H)
2245	CI CI	458 (M + H)
2246		423 (M + H)

Example No.	Structure	APCI-MS
2247		420 (M + H)
2248		404 (M + H)
2249		448 (M + H)
2250		446 (M + H)
2251		540 (M + H)

Example No.	Structure	APCI-MS
2252		470 (M + H)
2253		472 (M + H)
2254		479 (M + H)
2255		433 (M + H)
2256		458 (M + H)

Example No.	Structure	APCI-MS
2257		515 (M + H)
2258		410 (M + H)
2259		394 (M + H)
2260		368 (M + H)
2261		372 (M + H)

Example No.	Structure	APCI-MS
2262		397 (M + H)
2263		464 (M + H)
2264		462 (M + H)
2265	N CI CI	458 (M + H)
2266		492 (M + H)

Example No.	Structure	APCI-MS
2267		448 (M + H)
2268		460 (M + H)
2269		434 (M + H)
2270		454 (M + H)
2271		478 (M + H)

Example No.	Structure	APCI-MS
2272		462 (M + H)
2273		516 (M + H)
2274		476 (M + H)
2275		522 (M + H)
2276	N H CI	454 (M + H)

Example No.	Structure	APCI-MS
2277		502 (M + H)
2278		530 (M + H)
2279		418 (M + H)
2280	CI CI CI	492 (M + H)
2281		470 (M + H)

Example No.	Structure	APCI-MS
2282		478 (M + H)
2283		470 (M + H)
2284	CI P CI	464 (M + H)
2285	N P CI	456 (M + H)
2286	N N N N N N N N N N N N N N N N N N N	422 (M + H)

Example No.	Structure	APCI-MS
2287	N N CI	438 (M + H)
2288		462 (M + H)
2289	N N F F F	472 (M + H)
2290	N N N N N N N N N N N N N N N N N N N	472 (M + H)
2291		434 (M + H)

Example No.	Structure	APCI-MS
2292		433 (M + H)
2293	CI CI	454 (M + H)
2294		460 (M + H)
2295		448 (M + H)
2296		460 (M + H)

Example No.	Structure	APCI-MS
2297	P P P P P P P P P P P P P P P P P P P	422 (M + H)
2298		474 (M + H)
2299		476 (M + H)
2300		516 (M + H)
2301		448 (M + H)

Example No.	Structure	APCI-MS
2302	The state of the s	470 (M + H)
2303		446 (M + H)
2304		488 (M + H)
2305		460 (M + H)
2306		434 (M + H)

Example No.	Structure	APCI-MS
2307		582 (M + H)
2308		442 (M + H)
2309		419 (M + H)
2310		434 (M + H)
2311	N Br	482 (M + H)

Example No.	Structure	APCI-MS
2312		418 (M + H)
2313		446 (M + H)
2314		482 (M + H)
2315	N H CI	472 (M + H)
2316		437 (M + H)

Example No.	Structure	APCI-MS
2317		434 (M + H)
2318		418 (M + H)
2319		462 (M + H)
2320		460 (M + H)
2321		554 (M + H)

Example No.	Structure	APCI-MS
2322		470 (M + H)
2323		537 (M + H)
2324		529 (M + H)
2325		424 (M + H)
2326		408 (M + H)

Example No.	Structure	APCI-MS
2327	The part of the pa	382 (M + H)
2328		386 (M + H)

#### Example 2329

trans-4-Bromo-N-{4-[(4-methylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2-trifluoromethoxy-benzenesulfonamide hydrochloride

### Step A: Synthesis of *trans-*4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-cyclohexanecarboxylic acid.

To a solution of *trans*-4-aminomethyl-cyclohexanecarboxylic acid (3.14 g, 20 mmol) in THF (20 mL) and 1 M aqueous sodium hydroxide (42 mL) was added a solution of 4-bromo-2-trifluoromethoxy benzenesulfonyl chloride (6.9 g, 20.4 mmol) in THF (20 mL) and the mixture was stirred for 2 hr at ambient temperature. The resulting mixture was concentrated and 1 M aqueous HCl (45 mL) was added. The resulting precipitate was filtered, washed with water and hexanes to give *trans*-4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-cyclohexanecarboxylic acid (7.18 g, 78%) as a white powder.

ESI MS m/e  $460/462 \text{ M} + \text{H}^+$ ; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.00 (brs, 1 H), 7.99 (brs, 1 H), 7.84-7.80 (m, 3 H), 2.72 (d, J = 6.3 Hz, 2 H), 2.10 (m, 1 H), 1.86 (m, 2 H), 1.71 (m, 2 H), 1.31 (m, 1 H), 1.23 (m, 2 H), 0.87 (m, 2 H).

## Step B: Synthesis of *trans*-4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-cyclohexanecarboxylic acid amide.

A solution of trans-4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-cyclohexanecarboxylic acid (7.14 g, 15.5 mmol) and triethylamine (2.35 mL, 16.9 mmol) in THF (25 mL) was cooled to 0 °C. To the mixture was added ethyl chloroformate (1.62 mL, 17 mmol) in THF (5 mL) over 10 min. After stirring at 0 °C for 15 min, aqueous ammonia (27 mL) was added dropwise and the mixture was stirred at ambient temperature for 2 hr. The mixture was concentrated under reduced pressure and the concentrate was treated with water to give a solid. The solid was filtered and washed with water and hexanes to give trans-4-[(4-bromo-2-trifluoromethoxy-

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benzenesulfonylamino)-methyl]-cyclohexanecarboxylic acid amide as a white solid (4.2 g, 59%).

ESI MS m/e  $459/461 \text{ M} + \text{H}^+$ ; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.98 (brs, 1 H), 7.84-7.80 (m, 3 H), 7.13 (s, 1 H), 6.62 (s, 1 H), 2.72 (d, J = 6.5 Hz, 2 H), 1.98 (m, 1 H), 1.70 (m, 4 H), 1.29 (m, 1 H), 1.23 (m, 2 H), 0.83 (m, 2 H).

## Step C: Synthesis of trans-N-(4-aminomethyl-cyclohexylmethyl)-4-bromo-2-trifluoromethoxy-benzenesulfonamide.

To a solution of *trans*-4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-cyclohexanecarboxylic acid amide (4.2 g, 9.2 mmol) in THF (40 mL) was added a solution of 1 M BH<sub>3</sub> in THF (32 mL, 32 mmol) over 40 min. The mixture was refluxed for 2 hr. After cooling to 0 °C, the mixture was quenched with water (7 mL). To the resulting mixture were added 4 M HCl in EtOAc (28 mL) and MeOH (28 mL) and the mixture was concentrated. To the residue was added MeOH (28 mL) and the mixture was once again concentrated. The resulting HCl-salt was recrystallized from Et<sub>2</sub>O and subsequently neutralized with 1 M aqueous sodium hydroxide. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (twice), the organic layers combined, dried over sodium sulfate, and concentrated under reduced pressure to give *trans-N*-(4-aminomethyl-cyclohexylmethyl)-4-bromo-2-trifluoromethoxy-benzenesulfonamide as a white solid (3.0 g, 74%).

ESI MS m/e 445/447 M + H<sup>+</sup>; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.84-7.79 (m, 3 H), 3.42 (brs, 2 H), 2.72 (d, J = 6.8 Hz, 2 H), 2.33 (d, J = 6.5 Hz, 2 H), 1.73 (m, 4 H), 1.27 (m, 1 H), 1.09 (m, 1 H), 0.80 (m, 4 H).

## Step D: Synthesis of *trans*-4-Bromo-N-{4-[(4-methylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2-trifluoromethoxy-benzenesulfonamide hydrochloride.

A mixture of (2-chloro-quinazolin-4-yl)-methylamine obtained in step A of example 50 (58 mg, 0.3 mmol) and trans-N-(4-aminomethyl-cyclohexylmethyl)-4-bromo-2-trifluoromethoxy-benzenesulfonamide amide (133 mg, 0.3 mmol) in 2-propanol (0.5 mL) was stirred at reflux for 24 hr. The mixture was cooled and the resulting white solid was collected by filtration and washed with 2-propanol to give trans-4-Bromo-N-{4-[(4-methylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2-trifluoromethoxy-benzenesulfonamide hydrochloride as a white solid (121 mg, 67%).

ESI MS m/e 602/604 M + H $^{+}$  ; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.61 (brs, 1 H), 9.70

(brs, 1 H), 8.26 (d, J = 8.1 Hz, 1 H), 8.15 (brs, 1 H), 8.02 (t, J = 5.7 Hz, 1 H), 7.84-7.74 (m, 4 H), 7.41 (m, 1 H), 3.32 (m, 2 H), 3.07 (d, J = 3.5 Hz, 3 H), 2.73 (t, J = 6.2 Hz, 2 H), 1.77 (m, 4 H), 1.53 (m, 1 H), 1.32 (m, 1 H), 0.96 (m, 2 H), 0.82 (m, 2 H).

#### Example 2330

trans-N-{4-[(4-Dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide hydrochloride

### Step A: Synthesis of *trans*-4-{[2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonylamino]-methyl}-cyclohexanecarboxylic acid.

To a solution of *trans*-4-aminomethyl-cyclohexanecarboxylic acid (1.5 g, 10 mmol) in THF (10 mL) and 1 M aqueous sodium hydroxide (27 mL) was added a solution of 2,5-bis(2,2,2-trifluoroethoxy) benzenesulfonyl chloride (3.8 g, 10.25 mmol) in THF (10 mL) dropwise and the mixture was stirred at ambient temperature for 2 hr. The resulting mixture was concentrated and 1 M aqueous HCl (22.5 mL) was added. The resulting precipitate was filtered, washed with water and hexanes to give *trans*-4-{[2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonylamino]-methyl}-cyclohexanecarboxylic acid as a white powder (2.8 g, 57%).

ESI MS m/e 494 M + H $^+$ ; <sup>1</sup>H NMR (500 MHz , DMSO-d<sub>6</sub>)  $\delta$  7.36 (m, 3 H), 7.23 (brs, 1 H), 4.88 (m, 4 H), 2.73 (m, 2 H), 2.10 (m, 1 H), 1.87 (m, 2 H), 1.72 (m, 2 H), 1.30 (m, 1 H), 1.23 (m, 2 H), 0.87 (m, 2 H).

## Step B: Synthesis of *trans*-4-{[2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonylamino]-methyl}-cyclohexanecarboxylic acid amide.

A solution of *trans*-4-{[2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonylamino]-methyl}-cyclohexanecarboxylic acid (2.78 g, 5.63 mmol) and triethylamine (1.9 mL,

13.6 mmol) in THF (25 mL) was cooled to 0 °C. To the mixture was added ethyl chloroformate (0.586 mL, 6.2 mmol) in THF (5 mL) over 10 min. After stirring at 0 °C for 15 min, 25% aqueous ammonia (10 mL) was added dropwise. The mixture was stirred at ambient temperature for 2 hr. The resulting mixture was concentrated under reduced pressure and the concentrate was diluted with water to give a solid. The solid was filtered and washed with water and hexanes to give *trans*-4-{[2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonylamino]-methyl}-cyclohexanecarboxylic acid amide as a white solid (2.7 g, 98%).

ESI MS m/e 493 M + H<sup>+</sup>; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.36 (m, 3 H), 7.23 (t, J = 6.1 Hz, 1 H), 7.13 (s, 1 H), 6.62 (s, 1 H), 4.88 (m, 4 H), 2.74 (t, J = 6.4 Hz, 2 H), 1.99 (m, 1 H), 1.75 (m, 4 H), 1.28 (m, 1 H), 1.23 (m, 2 H), 0.83 (m, 2 H).

# Step C: Synthesis of *trans-N*-(4-aminomethyl-cyclohexylmethyl)-2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide.

To a solution of *trans*-4-{[2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonylamino]-methyl}-cyclohexanecarboxylic acid amide (2.7 g, 5.5 mmol) in THF (20 mL) was added a solution of 1 M BH<sub>3</sub> in THF (20 mL, 20 mmol) over 40 min. The mixture was stirred at reflux for 2 hr. After cooling to 0 °C, the mixture was quenched with water (7 mL). To the mixture were added 4 M HCl in EtOAc (28 mL) and MeOH (50 mL) and the mixture was concentrated. To the residue was added MeOH (50 mL) and the mixture was once again concentrated. The resulting HCl-salt was recrystallized from Et<sub>2</sub>O and subsequently neutralized with 1 M aqueous sodium hydroxide. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (twice), the combined organic layers were dried over sodium sulfate, and concentrated under reduced pressure to give *trans-N*-(4-aminomethyl-cyclohexylmethyl)-2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide as a white solid (1.5 g, 57%).

ESI MS m/e 479 M + H<sup>+</sup>; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.36-7.32 (m, 3 H), 6.62 (brs, 1 H), 4.88-4.78 (m, 4 H), 3.42 (b, 2 H), 2.73 (d, J = 6.6 Hz, 2 H), 2.34 (d, J = 6.3 Hz, 2 H), 1.73 (m, 4 H), 1.27 (m, 1 H), 1.10 (m, 1 H), 0.77 (m, 4 H).

Step D: Synthesis of *trans-N*-{4-[(4-Dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide hydrochloride.

A mixture of (2-chloro-quinazoline-4-yl)-dimethyl-amine obtained in step B of example 1 (41.4 mg, 0.2 mmol) and trans-N-(4-aminomethyl-cyclohexylmethyl)-2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide (95.6 mg, 0.2 mmol) in 2-propanol was stirred at reflux for 24 hr. The reaction mixture was concentrated and the residue was purified by column chromatography (silica gel) to give the product as a white foam. The product was dissolved in CH<sub>2</sub>Cl<sub>2</sub> and treated with 1 M HCl in Et<sub>2</sub>O. The mixture was concentrated to give trans-N-{4-[(4-Dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2,5-bis-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide hydrochloride as a white foam (101 mg, 78%).

ESI MS m/e 650 M + H<sup>+</sup>; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.16 (d, J = 8.2 Hz, 1 H), 8.00 (brs, 1 H), 7.78 (t, J = 7.9, 1 H), 7.44 (brs, 1 H), 7.34 (m, 4 H), 7.24 (t, J = 5.9 Hz, 1 H), 4.88 (m, 4 H), 3.32 (s, 6 H), 3.29 (m, 2 H), 2.75 (t, J = 6.2 Hz, 2 H), 1.74 (m, 4 H), 1.52 (m, 1 H), 1.32 (m, 1 H), 0.94 (m, 2 H), 0.83 (m, 2 H).

#### Example 2331

trans-4-Bromo-N-(4-guanidinomethyl-cyclohexylmethyl)-2-trifluoromethoxy-benzenesulfonamide dihydrochloride

Step A: Synthesis of trans-[({4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-cyclohexylmethyl}-amino)-tert-butoxycarbonylamino-methyl]-carbamic acid tert-butyl ester.

To a solution of trans-N-(4-aminomethyl-cyclohexylmethyl)-4-bromo-2-trifluoromethoxy-benzenesulfonamide obtain in step C of example 2329 (45 mg, 0.1 mmol) and triethylamine (14 μL, 0.1 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (5 mL) was added (tert-butoxycarbonylamino-trifluoromethanesulfonylimino-methyl)-carbamic acid tert-butyl ester (39.1 mg, 0.1 mmol). The reaction mixture was stirred at ambient temperature for 2 hr and concentrated. The residue was purified by column chromatography (silica gel,

CH<sub>2</sub>Cl<sub>2</sub> to 10% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give trans-[({4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-

cyclohexylmethyl}-amino)-tert-butoxycarbonylamino-methyl]-carbamic acid tert-butyl ester as a white solid (63 mg, 92%).

ESI MS m/e 687/689 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.45 (s, 1 H), 8.22 (t, J = 5.6 Hz, 1 H), 7.97 (t, J = 5.6 Hz, 1 H), 7.99-7.79 (m, 3 H), 3.13 (t, J = 6.4 Hz, 2 H), 2.72 (t, J = 6 Hz, 2 H), 1.70 (m, 4 H), 1.46 (s, 9 H), 1.38 (s, 9 H), 1.31 (m, 2 H), 0.83 (m, 4 H).

## Step B: Synthesis of *trans*-4-bromo-N-(4-guanidinomethyl-cyclohexylmethyl)-2-trifluoromethoxy-benzenesulfonamide dihydrochloride.

A solution of trans-[({4-[(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-methyl]-cyclohexylmethyl}-amino)-tert-butoxycarbonylamino-methyl]-carbamic acid tert-butyl ester (53 mg, 0.077 mmol) in 50% TFA in CH<sub>2</sub>Cl<sub>2</sub> (2 mL) was stirred at ambient temperature for 3 hr and the reaction mixture was concentrated. To the residue was added a solution of 1 M HCl in Et<sub>2</sub>O (0.5 mL) and the mixture was concentrated to give trans-4-Bromo-N-(4-guanidinomethyl-cyclohexylmethyl)-2-trifluoromethoxy-

benzenesulfonamide dihydrochloride as a white solid (29 mg, 68%).

ESI MS m/e 487/489 M + H<sup>+</sup>; <sup>1</sup>H NMR (500 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.01 (t, J = 5.5 Hz, 1 H), 7.84 (m, 3 H), 7.68 (m, 1 H), 7.30 (m, 2 H), 6.85 (m, 2 H), 2.94 (t, J = 6.1 Hz, 2 H), 2.74 (t, J = 6.1 Hz, 2 H), 1.71 (m, 2 H), 1.31 (m, 4 H), 0.86 (m, 4 H).

#### Example 2332

cis-N',N'-Dimethyl- $N^2$ -{4-[(2-trifluoromethyl-benzylamino)-methyl]-cyclohexyl}-quinazoline-2,4-diamine ditrifluoro-acetic acid

#### Step A: Synthesis of cis-4-tert-butoxycarbonylamino-cyclohexanecarboxylic acid.

To a solution of cis-4-amino-cyclohexanecarboxylic acid (50 g, 350 mmol) in THF

(200 mL) and 1 M aqueous sodium hydroxide (380 mL, 380 mmol) was added (Boc)<sub>2</sub>O (83.5 g, 360 mmol). The reaction mixture was stirred at ambient temperature for 2 hr and concentrated. The residue was cooled to 0 °C followed by acidification with 1 M HCl (pH = 3). The resulting white solid was filtered, washed with water and hexanes to give cis-4-tert-butoxycarbonylamino-cyclohexanecarboxylic acid (71g, 83%) as a white solid. ESI MS m/e 244 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.00 (brs, 1 H), 6.74 (d, J = 4.25, 1 H), 3.30 (brs, 1 H), 2.35 (m, 1 H), 1.87 (m, 2 H), 1.55-1.37 (m, 15 H).

### Step B: Synthesis of cis-(4-carbamoyl-cyclohexyl)-carbamic acid tert-butyl ester.

To solution cooled at 0°C of cis-4-tert-butoxycarbonylaminocyclohexanecarboxylic acid (68.0 g, 280 mmol) and triethylamine (31.1 g, 307 mmol) in THF (300 mL) was added ethyl chloroformate (29.3 mL, 308 mmol) dropwise. After stirring at 0 °C for 30 min, 25% aqueous ammonia (168 mL) was added dropwise. The reaction mixture was stirred at ambient temperature for 2 hr and concentrated. residue was extracted with EtOAc (three times). The combined organic layer was washed with saturated aqueous NaHCO3, 1 M HCl, brine, and water, dried over Na2SO4, filtered, and concentrated to give cis-(4-carbamoyl-cyclohexyl)-carbamic acid tert-butyl ester (62.0 g, 88%) as a white solid.

ESI MS m/e 243 M + H<sup>+</sup>;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.10 (brs, 1 H), 6.69 (b, 2 H), 3.41 (brs, 1 H), 2.14 (m, 1 H), 1.79 (m, 2 H), 1.59 (m, 2 H), 1.45-1.37 (m, 13 H).

#### Step C: Synthesis of cis-4-amino-cyclohexanecarboxylic acid amide hydrochloride.

To a solution of cis-(4-carbamoyl-cyclohexyl)-carbamic acid tert-butyl ester (62 g, 256 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (250 mL) was added TFA (250 mL) and the mixture was stirred at ambient temperature for 1 hr. The mixture was concentrated and 2 M HCl in Et<sub>2</sub>O (150 mL) was added to give a white precipitate. The mixture was concentrated to give cis-4-amino-cyclohexanecarboxylic acid amide hydrochloride (45 g, 98%) as a white solid. ESI MS m/e 143 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.08 (m, 3 H), 7.28 (s, 1 H), 6.78 (s, 1 H), 3.10 (m, 1 H), 2.24 (m, 1 H), 1.90 (m, 2 H), 1.66 (m, 4 H), 1.50 (m, 2 H).

# Step D: Synthesis of *cis*-4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexanecarboxylic acid amide.

A solution of (2-chloro-quinazolin-4-yl)-dimethyl-amine obtained in step B of 812

example 1 (31.05 g, 150 mmol) and *cis*-4-amino-cyclohexanecarboxylic acid amide hydrochloride (26.7 g, 150 mmol) in pyridine (150 mL) was stirred at reflux for overnight. The reaction mixture was concentrated and residue was dissolve in CH<sub>2</sub>Cl<sub>2</sub>. The organic layer was washed with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub>. The organic layer was dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated. The residue was purified by column chromatography (silica gel, 2% to 10% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give a slightly brown solid and the solid was recrystallized from CH<sub>2</sub>Cl<sub>2</sub> to give *cis*-4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexanecarboxylic acid amide (20.6 g, 44%) as yellow crystals.

ESI MS m/e 314 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.19 (brs, 1 H), 8.15 (d, J = 8.4 Hz, 1 H), 7.77 (t, J = 8.0 Hz, 1 H), 7.42 (d, J = 7.2 Hz, 1 H), 7.35 (t, J = 8.4 Hz, 1 H), 7.21 (s, 1 H), 6.74 (s, 1 H), 4.12 (m, 1 H), 3.46 (m, 6 H), 2.24 (m, 1 H), 1.79-1.61 (m, 8 H).

### Step E: Synthesis of $cis-N^2$ -(4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine.

To a solution of *cis*-4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexanecarboxylic acid amide (18.78 g, 60 mmol) in THF (200 mL) was added a solution of 1 M BH<sub>3</sub> in THF (300 mL, 300 mmol). The mixture was stirred at reflux for 2 hr. After cooling the reaction mixture to 0 °C, 4 M HCl in EtOAc (100 mL) and MeOH (200 mL) were added. The mixture was concentrated. The mixture was treated with 1 M aqueous sodium hydroxide and the aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub>. The organic layer was dried over sodium sulfate, concentrated, and purified by column chromatography (silica gel, 10% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *cis*-N<sup>2</sup>-(4-aminomethyl-cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-quinazoline-2,4-diamine as a white solid (10.6 g, 59%).

ESI MS m/e 300 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.84 (d, J = 8.4 Hz, 1 H), 7.46 (t, J = 6.8 Hz, 1 H), 7.26 (d, J = 8.4 Hz, 1 H), 6.99 (t, J = 6.8 Hz, 1 H), 6.28 (brs, 1 H), 4.02 (m, 1 H), 3.19 (m, 6 H), 2.47 (d, J = 6.8 Hz, 2 H), 2.73 (m 2 H), 1.68-1.33 (m, 9 H).

Step F: Synthesis of  $cis-N^4$ ,  $N^4$ -dimethyl- $N^2$ -{4-[(2-trifluoromethyl-benzylamino)-methyl]-cyclohexyl}-quinazoline-2,4-diamine ditrifluoro-acetic acid.

A solution of cis-N²-(4-aminomethyl-cyclohexyl)-N⁴,N⁴-dimethyl-quinazoline-2,4-diamine (33 mg, 0.11 mmol) and 2-trifluoromethyl benzaldehyde (17.41 mg, 0.1 mmol) in MeOH (1 mL) was stirred at ambient temperature for 3 hr. To the mixture was added NaBH(OAc)<sub>3</sub> (85 mg, 0.4 mmol) and the mixture was stirred at ambient temperature for overnight. This resulting mixture was quenched with 50% DMSO in water (2 mL) and the solution was purified by preparative HPLC. The pure fractions were combined and lyophilized to give cis-N⁴,N⁴-dimethyl-N²-{4-[(2-trifluoromethyl-benzylamino)-methyl]-cyclohexyl}-quinazoline-2,4-diamine ditrifluoro-acetic acid (41.4 mg, 60%) as a white solid.

ESI MS m/e 458 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  13.12 (brs, 1 H), 8.94 (b, 2 H), 8.65 (d, J = 6.8 Hz, 1 H), 8.16 (d, J = 8.8 Hz, 1 H), 7.77-7.66 (m, 5 H), 7.41 (d, J = 8.4 Hz, 1 H), 7.35 (t, J = 8 Hz, 1 H), 4.22 (s, 2 H), 4.17 (m, 1 H), 3.46 (b, 6 H), 2.94 (m, 2 H), 1.87-1.44 (m, 9 H).

#### Example 2333

$$CF_3CO_2H$$

cis-5-(4-Chloro-phenyl)-2-trifluoromethyl-furan-3-carboxylic acid [4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-amide trifluoro-acetic acid

Step A: Synthesis of cis-5-(4-chloro-phenyl)-2-trifluoromethyl-furan-3-carboxylic acid [4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-amide trifluoroacetic acid.

A solution of  $cis-N^2$ -(4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine obtained in step E of example 2332 (30 mg, 0.1 mmol), 5-(4-chloro-phenyl)-2-trifluoromethyl-furan-3-acid chloride (37 mg, 0.12 mmol), and pyridine (12  $\mu$ L, 0.15 mmol) in DMF (0.5 mL) was stirred at ambient temperature for overnight. The resulting mixture was diluted with DMSO (0.8 mL) and the mixture was purified by preparative

HPLC. The pure fractions were combined and lyophilized to give *cis*-5-(4-chlorophenyl)-2-trifluoromethyl-furan-3-carboxylic acid [4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-amide trifluoro-acetic acid (17.5 mg, 26%) as a white solid. ESI MS m/e 572 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.30 (brs, 1 H), 8.65 (t, J = 6.8 Hz, 1 H), 8.19 (brs, 1 H), 8.14 (d, J = 8.0 Hz, 1 H), 7.83-7.30 (m, 8 H), 4.1 (m, 1 H), 3.46 (b, 6 H), 3.09 (m, 2 H), 1.77-1.38 (m, 9 H).

#### Example 2334

$$\begin{array}{c|c} N & O & OCH_3 \\ \hline N & H & OCH_3 \\ \hline CF_3CO_2H & \\ \end{array}$$

cis-N-[4-(4-Dimethylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4,5-trimethoxy-benzamide trifluoro-acetic acid

## Step A: Synthesis of *cis-N-*[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4,5-trimethoxy-benzamide trifluoro-acetic acid.

To HOBt-6-carboxaamidomethyl polystyrene 200-400 mesh (77 mg, 0.1 mmol) were added a solution of 0.3 M PyBroP in DMF (1 mL, 0.3 mmol), 3,4,5-trimethoxybenzoic acid (63 mg, 0.3 mmol), and diisopropylethylamine (85 μL, 0.5 mmol). The mixture was stirred at ambient temperature for 5 hr. The resin was washed with DMF (3 times), CH<sub>2</sub>Cl<sub>2</sub> (3 times), MeOH (3 times), CH<sub>2</sub>Cl<sub>2</sub> (2 times), and DMF (2 times). To the resin was added *cis-N*<sup>2</sup>-(4-aminomethyl-cyclohexyl)-*N*<sup>4</sup>,*N*<sup>4</sup>-dimethyl-quinazoline-2,4-diamine obtained in step E of example 2332 (28 mg, 0.09 mmol) in DMF (0.5 mL) and the mixture was stirred at ambient temperature for overnight. The resin was filtered and washed with 0.5 mL DMSO (2 times). The combined filtrates were purified by preparative HPLC. The pure fractions were combined and lyophilized to give *cis N*-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4,5-trimethoxy-benzamide trifluoro-acetic acid (7.4 mg, 12%) as a white solid.

ESI MS m/e 494 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.25 (brs, 1 H), 8.45 (t, J = 5.6 Hz, 1 H), 8.17 (brs, 1 H), 8.14 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), J = 8.0 Hz, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), J = 8.0 Hz, J = 8.

= 7.2 Hz, 1 H), 7.34 (t, J = 7.6 Hz, 1 H), 7.15 (s, 2 H), 4.13 (m, 1 H), 3.44 (s, 3 H), 3.39 (s, 3 H), 3.20 (m, 2 H), 1.77-1.37 (m, 9 H).

#### Example 2335

Biphenyl-4-carboxylic acid {4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-phenyl}-amide

#### Step A: Synthesis of (4-amino-benzyl)-carbamic acid tert-butyl ester.

A solution of 4-aminomethyl-phenylamine (12.2 g, 100 mmol) and (Boc)<sub>2</sub>O (21.8 g, 100 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (100 mL) was stirred at ambient temperature for overnight. The mixture was concentrated and the residue was purified by column chromatography (silica gel, CH<sub>2</sub>Cl<sub>2</sub> to 10% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give (4-amino-benzyl)-carbamic acid *tert*-butyl ester (11.6 g, 52%) as a slightly yellow solid.

ESI MS m/e 223 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.27 (t, J = 6.0 Hz, 1 H), 6.86 (d, J = 8.0 Hz, 2 H), 6.47 (d, J = 6.4 Hz, 2 H), 4.89 (s, 2 H), 3.91 (d, J = 6.0 Hz, 2 H), 1.39 (s, 9 H).

### Step B: Synthesis of biphenyl-4-carboxylic acid (4-aminomethyl-phenyl)-amide hydrochloride.

To a solution of (4-amino-benzyl)-carbamic acid *tert*-butyl ester (1.11 g, 5 mmol), biphenyl carboxylic acid (0.99 g, 5 mmol), EDC (1.2 g, 6.25 mmol), and HOAt (0.82 g, 6 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (10 mL) was added triethylamine (pH = 10) and the mixture was stirred at ambient temperature for overnight. The organic layer was washed with saturated aqueous NaHCO<sub>3</sub>, 1 M aqueous HCl, water, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered, and concentrated. The residue was dissolved in 50% TFA in CH<sub>2</sub>Cl<sub>2</sub> (10 mL) and the mixture was stirred at ambient temperature. After 30 minutes, the mixture was concentrated and diluted with 1 M HCl in Et<sub>2</sub>O (5 mL). The mixture was concentrated to give biphenyl-4-carboxylic acid (4-aminomethyl-phenyl)-amide hydrochloride (828 mg, 49%).

ESI MS m/e 303 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  10.40 (s, 1 H), 8.34 (b, 3 H), 8.07 (d, J = 8.0 Hz, 2 H), 7.83-7.73 (m, 6 H), 7.51-7.38 (m, 5 H), 4.0 (q, J = 5.6 Hz, 2 H).

## Step C: Synthesis of biphenyl-4-carboxylic acid {4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-phenyl}-amide.

A mixture of (2-chloro-quinazolin-4-yl)-dimethyl-amine obtained in step B of example 1 (42 mg, 0.2 mmol) and biphenyl-4-carboxylic acid (4-aminomethyl-phenyl)-amide hydrochloride (49 mg, 0.14 mmol) in 2-propanol (1 mL) and triethylamine (200 μL) was stirred at reflux for 2 days. The resulting mixture was concentrated and purified by column chromatography (silica gel, CH<sub>2</sub>Cl<sub>2</sub> to 10% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give biphenyl-4-carboxylic acid {4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-phenyl}-amide (10 mg, 15%) as a white solid.

ESI MS m/e 474 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  10.19 (s, 1 H), 8.02 (d, J = 7.2 Hz, 2 H), 7.86 (d, J = 8.4 Hz, 1 H), 7.80 (d, J = 8.4 Hz, 2 H), 7.73 (d, J = 7.2 Hz, 2 H), 7.68 (d, J = 7.6 Hz, 2 H), 7.50-7.15 (m, 8 H), 7.01 (t, J = 8.4 Hz, 1 H), 4.51 (d, J = 6.4 Hz, 2 H), 3.30 (s, 3 H), 3.2 (s, 3 H).

#### Example 2336

 $cis-N^2$ -{4-[2-(4-Bromo-2-trifluoromethoxy-benzylamino)-ethyl]-cyclohexyl}- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid

## Step A: Synthesis of cis-[4-(2-benzyloxycarbonylamino-ethyl)-cyclohexyl]-carbamic acid tert-butyl ester.

To a solution of cis-[4-(2-amino-ethyl)-cyclohexyl]-carbamic acid tert-butyl ester (4.84 g, 20 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (50 mL) and triethylamine (3.06 mL, 22 mmol) was added benzyl chloroformate (3.13 mL, 22 mmol) and the mixture was stirred for 4 hr. The resulting mixture was washed with water, 1 M aqueous HCl, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated. The residue was purified by column chromatography (silica gel,

CH<sub>2</sub>Cl<sub>2</sub> to 10% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *cis*-[4-(2-benzyloxycarbonylamino-ethyl)-cyclohexyl]-carbamic acid *tert*-butyl ester (5.46 g, 73%) as a colorless oil. ESI MS m/e 377 M + H<sup>+</sup>;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.36-7.24 (m, 5 H), 7.19 (t, *J* 

ESI MS m/e 377 M + H<sup>+</sup>; 'H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.36-7.24 (m, 5 H), 7.19 (t, J = 5.6 Hz, 1 H), 6.76 (d, J = 6.8 Hz, 1 H), 4.91 (s, 2 H), 3.40 (m, 1 H), 2.99 (m, 2 H), 1.44-1.33 (m, 20H).

#### Step B: Synthesis of cis-[2-(4-amino-cyclohexyl)-ethyl]-carbamic acid benzyl ester.

A solution of *cis*-[4-(2-benzyloxycarbonylamino-ethyl)-cyclohexyl]-carbamic acid *tert*-butyl ester (5.26 g, 14 mmol) in 50% TFA in CH<sub>2</sub>Cl<sub>2</sub> (60 mL) was stirred at ambient temperature for 1 hr. The mixture was concentrated and the residue was diluted with saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (therr times). The organic layer was dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated to give *cis*-[2-(4-amino-cyclohexyl)-ethyl]-carbamic acid benzyl ester (3.5 g, 91%) as a colorless oil.

ESI MS m/e 277 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.72 (b, 2 H), 7.34-7.27 (m, 5 H), 7.21 (t, J = 5.2 Hz, 1 H), 4.97 (s, 2 H), 3.14 (m, 1 H), 2.99 (q, J = 6.4 Hz, 2 H), 1.58-1.34 (m, 11 H).

# Step C: Synthesis of cis{2-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-ethyl}-carbamic acid benzyl ester.

A mixture of (2-chloro-quinazolin-4-yl)-dimethyl-amine obtained in step B of example 1 (2.45 g, 10.2 mmol) and cis-[2-(4-amino-cyclohexyl)-ethyl]-carbamic acid benzyl ester (3.3 g, 10.2 mmol) and triethylamine (1.65 mL, 10.2 mmol) in 2-propanol (15 mL) was heated at 170 °C for 45 min using a Smith Microwave Synthesizer. The mixture was concentrated and the residue was purified by column chromatography (silica gel, CH<sub>2</sub>Cl<sub>2</sub> to 10% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give cis{2-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-ethyl}-carbamic acid benzyl ester (4.48g, 85%) as a yellow oil. ESI MS m/e 448 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) 8 8.07-7.20 (m, 11 H), 4.98 (s, 2 H), 4.08 (m, 1 H), 3.39 (b, 6 H), 3.04 (m, 2 H), 1.7-1.3 (m, 11 H).

# Step D: Synthesis of $cis-N^2-[4-(2-amino-ethyl)-cyclohexyl]-N^4,N^4-dimethyl-quinazoline-2,4-diamine.$

To a solution of cis-{2-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-

ethyl}-carbamic acid benzyl ester (4.47 g, 10 mmol) in EtOH (20 mL) was added 1,4-cyclohexadiene (20 mL) and 200 mg of 10% Pd/C. The reaction mixture was stirred at ambient temperature for 18 hr, filtered through pad of celite, and concentrated. The residue was purified by column chromatography (silica gel, 5% to 15% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *cis-N*<sup>2</sup>-[4-(2-amino-ethyl)-cyclohexyl]-*N*<sup>4</sup>,*N*<sup>4</sup>-dimethyl-quinazoline-2,4-diamine (2.41g, 77%) as a yellow oil.

ESI MS m/e 314 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.82 (d, J = 8.0 Hz, 1 H), 7.44 (t, J = 6.8 Hz, 1 H), 7.27 (d, J = 8.0 Hz, 1 H), 6.97 (t, J = 6.8 Hz, 1 H), 6.31 (brs, 1 H), 3.97 (m, 1 H), 3.37 (b, 2 H), 3.17 (s, 3), 3.14 (s, 3 H), 2.62 (t, J = 7.6 Hz, 2 H), 1.68-1.31 (m, 11 H).

## Step E: Synthesis of $cis-N^2$ -{4-[2-(4-bromo-2-trifluoromethoxy-benzylamino)-ethyl]-cyclohexyl}- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid.

A solution of  $cis-N^2$ -[4-(2-amino-ethyl)-cyclohexyl]- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine (31.4 mg, 0.1 mmol) and 4-bromo-2-trifluoromethoxy benzaldehyde (26.9 mg, 0.1 mmol) in MeOH (1 mL) was stirred at ambient temperature. After 3 hr, NaBH(OAc)<sub>3</sub> (85 mg, 0.4 mmol) was added and the resulting mixture was stirred at ambient temperature for overnight. The reaction mixture was quenched with 50% DMSO in water (2 mL). The mixture was concentrated and purified by preparative HPLC. The pure fractions were combined and lyophilized to give  $cis-N^2$ -{4-[2-(4-bromo-2-trifluoromethoxy-benzylamino)-ethyl]-cyclohexyl}- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoroacetic acid (32.2 mg, 41%) as a white solid.

ESI MS m/e 566/568 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.76 (brs, 1 H), 8.81 (b, 2 H), 8.43 (m, 1 H), 8.09 (d, J = 8.4 Hz, 1 H), 7.71-7.56 (m, 4 H), 7.35 (d, J = 8.0 Hz, 1 H), 7.29 (t, J = 8.0 Hz, 1 H), 4.15 (m, 3 H), 3.39 (m, 6 H), 2.97 (m, 2 H), 1.67-1.30 (m, 11 H).

#### Example 2337

cis-2,6-Dichloro-N-{2-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-ethyl}-benzamide trifluoro-acetic acid

Step A: Synthesis of *cis*-2,6-dichloro-*N*-{2-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-ethyl}-benzamide trifluoro-acetic acid.

To a solution of cis-N²-[4-(2-amino-ethyl)-cyclohexyl]-N³,N³-dimethyl-quinazoline-2,4-diamine (31.4 mg, 0.1 mmol) and 2,6-dichlorobenzoyl chloride (20.7 mg, 0.1 mmol) in DMF (0.5 mL) was added triethylamine (20 uL, 0.14 mmol). After stirring the mixture at ambient temperature for 6 hr, DMSO (0.5 mL) was added and the mixture was purified by preparative HPLC. The pure fractions were combined and lyophilized to give cis-2,6-dichloro-N-{2-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-ethyl}-benzamide trifluoro-acetic acid (17.6 mg, 29%) as a white solid.

ESI MS m/e 486 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.93 (brs, 1 H), 8.26 (t, J = 5.2 Hz, 1 H), 8.14 (d, J = 8.0 Hz, 1 H), 7.95 (brs, 1 H), 7.76 (t, J = 8.4 Hz, 1 H), 7.52-7.31 (m, 5 H), 4.15 (m, 1 H), 3.45 (b, 6 H), 3.29 (m, 2 H), 1.76-1.31 (m, 11 H).

#### Example 2338

 $cis-N^2$ -[4-(2-Ethoxy-benzylamino)-cyclohexylmethyl]- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid

Step A: Synthesis of cis-(4-aminomethyl-cyclohexyl)-carbamic acid tert-butyl ester.

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To a solution of *cis*-(4-carbamoyl-cyclohexyl)-carbamic acid *tert*-butyl ester obtained in step B of example 2332 (9.68 g, 40 mmol) in THF (100 mL) was added a solution of 1 M BH<sub>3</sub> in THF (80 mL, 80 mmol) over 30 min. The mixture was stirred at reflux for 2 hr. After cooling the reaction mixture to ambient temperature, 1 M aqueous sodium hydroxide was carefully added. The solvents were removed under reduced pressure and the aqueous layer was extracted with  $CH_2Cl_2$  (twice). The organic layer was dried over sodium sulfate and concentrated under reduced pressure to give *cis*-(4-aminomethyl-cyclohexyl)-carbamic acid *tert*-butyl ester as colorless oil (5.16 g, 57%). ESI MS m/e 229 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  6.67 (d, J = 6.8 Hz, 1 H), 3.43 (m, 1 H), 2.41 (d, J = 6.4 Hz, 2 H) 1.49-1.22 (m, 18 H).

### Step B: Synthesis of cis-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexyl}-carbamic acid tert-butyl ester.

A mixture of *cis*-(4-aminomethyl-cyclohexyl)-carbamic acid *tert*-butyl ester (1.14 g, 5 mmol), (2-chloro-quinazoline-4-yl)-dimethyl-amine obtained in step B of example 1 (1.035 g, 5 mmol), and triethylamine (1.5 mL, 11 mmol) in 2-propanol (2.5 mL) was heated at 170 °C for 35 min using a Smith Microwave Synthesizer. The mixture was concentrated and the residue was purified by column chromatography (silica gel, CH<sub>2</sub>Cl<sub>2</sub> to 10% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *cis*-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexyl}-carbamic acid *tert*-butyl ester (1.28 g, 80%) as a white solid.

ESI MS m/e  $400 \text{ M} + \text{H}^{+}$ ; <sup>1</sup>H NMR  $(400 \text{ MHz}, \text{DMSO-d}_{6}) \delta 8.04-7.06 \text{ (m, 4 H)}, 6.77 \text{ (d, } J = 6.0 \text{ Hz}, 1 \text{ H)}, 3.40-3.16 \text{ (m, 9 H)}, 1.70-1.37 \text{ (m, 18 H)}.$ 

## Step C: Synthesis of cis- $N^2$ -(4-amino-cyclohexylmethyl)- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine.

A solution of *cis*-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexyl}-carbamic acid *tert*-butyl ester (1.2 g, 3 mmol) in 50% TFA in CH<sub>2</sub>Cl<sub>2</sub> (20 mL) was stirred at ambient temperature. After 30 minutes, the mixture was concentrated and the residue was diluted with 1 M aqueous sodium hydroxide. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (twice). The combined organic layer was dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated to give *cis-N*<sup>2</sup>-(4-amino-cyclohexylmethyl)-*N*<sup>4</sup>,*N*<sup>4</sup>-dimethyl-quinazoline-2,4-diamine (0.88 g, 98%) as a white solid.

ESI MS m/e 300 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.85 (d, J = 7.6 Hz, 1 H), 7.47 (t, J = 6.8 Hz, 1 H), 7.27 (brs, 1 H), 7.0 (t, J = 7.2 Hz, 1 H), 6.66 (brs, 1 H), 3.33-3.14 (m, 9 H), 1.69-1.48 (m, 9 H).

## Step D: Synthesis of cis- $N^2$ -[4-(2-ethoxy-benzylamino)-cyclohexylmethyl]- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid.

A solution of cis-N²-(4-amino-cyclohexylmethyl)-N³,N³-dimethyl-quinazoline-2,4-diamine (30 mg, 0.1 mmol) and 2-ethoxy benzaldehyde (15 mg, 0.1 mmol) in MeOH (1 mL) was stirred at ambient temperature. After 3 hr, NaBH(OAc)<sub>3</sub> (85 mg, 0.4 mmol) was added and the mixture was stirred at ambient temperature for overnight. The resulting mixture was quenched with 50% DMSO in water (2 mL) and the solution was purified by preparative HPLC. The pure fractions were combined and lyophilized to give cis-N²-[4-(2-ethoxy-benzylamino)-cyclohexylmethyl]-N³,N³-dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid (33 mg, 50%) as a white solid.

ESI MS m/e 434 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  13.03 (brs, 1 H), 8.79 (brs, 1 H), 8.49 (m, 2 H), 8.15 (d, J = 8.4 Hz, 1 H), 7.77 (t, J = 7.6 Hz, 1 H), 7.40-7.33 (m, 4 H), 7.07 (d, J = 7.6 Hz, 1 H), 6.99 (t, J = 7.2 Hz, 1 H), 4.11-4.06 (m, 4 H), 3.47-3.41 (m, 8 H), 3.15 (m, 1 H), 1.90-1.60 (m, 9 H), 1.37 (t, J = 7.2 Hz, 3 H).

#### Example 2339

cis-3,5-Dichloro-N-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexyl}-benzamide trifluoro-acetic acid

Step A: Synthesis of cis-3,5-dichloro-N-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexyl}-benzamide trifluoro-acetic acid.

A solution of  $cis-N^2$ -(4-amino-cyclohexylmethyl)-N',N'-dimethyl-quinazoline-2,4-

diamine (30 mg, 0.1 mmol) and 3,5-dichlorobenzoylchloride (20.9 mg, 0.1 mmol) and pyridine (12 μL, 0.25 mmol) in DMSO (1 mL) was stirred at ambient temperature for overnight. The mixture was purified by preparative HPLC. The pure fractions were combined and lyophilized to give *cis*-3,5-dichloro-*N*-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexyl}-benzamide trifluoro-acetic acid.( 18 mg, 31%) as a white solid.

ESI MS m/e 472 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.13 (brs, 1 H), 8.34 (d, J = 7.2 Hz, 1 H), 8.15 (d, J = 8.8 Hz, 1 H), 8.06 (brs, 1 H), 7.82-7.73 (m, 4 H), 7.45 (d, J = 7.6 Hz, 1 H), 7.36 (t, J = 7.6 Hz, 1 H), 3.9 (m, 1 H), 3.47-3.25 (m, 8 H), 1.83-1.56 (m, 9 H).

#### Example 2340

 $trans-N^2-\{4-[(2,3-Dimethoxy-benzylamino)-methyl]-cyclohexyl\}-N^4,N^4-dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid$ 

### Step A: Synthesis of *trans-4-(tert-*butoxycarbonylamino-methyl)-cyclohexanecarboxylic acid.

To a solution of *trans*-4-amino-cyclohexanecarboxylic acid (37.7 g, 0.24 mol) in a mixture of dioxane (250 ml) and water (200 ml) cooled in an ice bath were added 1 M aqueous sodium hydroxide (10.07 g, 0.25 mol) and (Boc)<sub>2</sub>O (57.6 g, 0.26 mol). The reaction mixture was stirred at ambient temperature. After 3 hr, the mixture was concentrated and the residue was dissolved in water. The aqueous layer was washed with  $Et_2O$  (3 times). The aqueous layer was cooled in an ice bath and acidified with 1 M aqueous HCl (pH = 2) and the resulting white precipitate was dried to give *trans*-4-(*tert*-butoxycarbonylamino-methyl)-cyclohexanecarboxylic acid (47.4 g, 76.8%) as a white solid.

ESI MS m/e 258 M + H $^{+}$ ; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  11.95 (brs, 1 H), 6.79 (t, J=6.0 Hz, 1 H), 2.76 (t, J=6.0 Hz, 2 H), 2.11 (m, 1 H), 1.87 (m, 2 H), 1.69 (m, 2 H), 1.36 (s,

9 H), 1.27 (m, 3 H), 0.9 (m, 2 H).

### Step B: Synthesis of *trans*-[4-(*tert*-butoxycarbonylamino-methyl)-cyclohexyl]-carbamic acid benzyl ester.

To a solution of trans-4-(tert-butoxycarbonylamino-methyl)-cyclohexanecarboxylic acid (46.9 g, 0.18 mol) in benzene (300 mL) were added triethylamine (24.2 g, 0.24 mol) and diphenylphosphoryl azide (55.9 g, 0.20 mol). The reaction mixture was stirred at 80 °C for 1 hr. To the mixture was added benzyl alcohol (25.9 g, 0.24 mol) and stirred at 100 °C for 4 hr. The mixture was subsequently cooled to ambient temperature for overnight, concentrated, and the resulting pale orange solid dissolved in EtOAc. The organic layer was washed with water (three times), concentrated, and the residue was purified by column chromatography (silica gel, 50% EtOAc in hexane) to give trans-[4-(tert-butoxycarbonylamino-methyl)-cyclohexyl]-carbamic acid benzyl ester (66.7g, 100%) as a white solid.

ESI MS m/e 363 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.24-7.23 (m, 5 H), 5.06 (s, 2 H), 4.57 (m, 2 H), 3.44 (brs, 1 H), 2.97 (t, J = 6.4 Hz, 2 H), 2.04 (m, 2 H), 1.79 (m, 2 H), 1.43 (s, 9 H), 1.08-0.76 (m, 5 H).

### Step C: Synthesis of *trans-*(4-amino-cyclohexylmethyl)-carbamic acid *tert-*butyl ester.

To a solution of *trans*-[4-(*tert*-butoxycarbonylamino-methyl)-cyclohexyl]-carbamic acid benzyl ester (5.32 g, 0.015 mol) in EtOH (200 mL) was added 10% Pd/C (50 mg). The mixture was stirred at ambient temperature under hydrogen atmosphere for 4 hr. The resulting mixture was filtered through a pad of celite and concentrated. The residue was purified by column chromatography (silica gel, 3% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *trans*-(4-amino-cyclohexylmethyl)-carbamic acid *tert*-butyl ester as a colorless solid (3.197 g, 95.4%).

ESI MS m/e 229 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.44 (brs, 1 H), 4.59 (b, 1 H), 2.96 (m, 2 H), 2.08 (m, 2 H), 1.83 (m, 2 H), 1.43 (s, 9 H), 1.08 (m, 5 H).

## Step D: Synthesis of trans-N<sup>2</sup>-(4-aminomethyl-cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid

A mixture of trans-(4-amino-cyclohexylmethyl)-carbamic acid tert-butyl ester

(0.24 g, 1 mmol) and (2-chloro-quinazolin-4-yl)-dimethyl-amine obtained in step B of example 1 (0.32 g, 1.4 mmol) in 2-propanol (5 mL) was heated to 170 °C for 30 min using a Smith Microwave Synthesizer. This procedure was repeated 19 times. The reaction mixtures were combined and purified by column chromatography (silica gel) to give 1.13 g of a yellow solid. The yellow solid was dissolved in 50% TFA in CH<sub>2</sub>Cl<sub>2</sub> (20 mL) and the mixture was stirred at ambient temperature. After 10 hours, the mixture was concentrated and the residue was purified by preparative HPLC. The pure fractions were combined and lyophilized to give trans-N<sup>2</sup>-(4-aminomethyl-cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid (0.49 g, 5%) as a white solid.

ESI MS m/e 300 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.16 (d, J = 5.6 Hz, 1 H), 8.11 (m, 2 H), 7.86 (d, J = 8.0 Hz, 1 H), 7.51 (t, J = 7.6 Hz, 1 H), 7.41 (d, J = 8.0 Hz, 1 H), 7.18 (t, J = 6.8 Hz, 1 H), 3.8 (brs, 1 H), 3.47 (s, 6 H), 2.10 (m, 2 H), 1.92 (m, 2 H), 1.42-1.12 (m, 5 H).

### Step E: Synthesis of $trans-N^2-\{4-[(2,3-dimethoxy-benzylamino)-methyl]-cyclohexyl\}-N',N'-dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid.$

A mixture of 2,3-dimethoxy benzaldehyde (15 mg, 0.09 mmol),  $trans-N^2$ -(4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid (28 mg, 0.053 mmol), NaBH(OAc)<sub>3</sub> (76 mg, 0.36 mmol), and MeOH (2 mL) was heated at 100 °C for 40 seconds using a Smith Microwave Synthesizer. The resulting mixture was purified by preparative HPLC. The pure fractions were combined and lyophilized to give  $trans-N^2$ -{4-[(2,3-dimethoxy-benzylamino)-methyl]-cyclohexyl}- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid (10.2 mg, 28 %).

ESI MS m/e 450 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.68 (d, J = 6.0 Hz, 1 H), 9.41 (brs, 1 H), 7.85 (d, J = 7.6 Hz, 1 H), 7.52 (t, J = 7.2 Hz, 1 H), 7.46 (d, J = 8.0 Hz, 1 H), 7.19 (t, J = 7.2 Hz, 1 H), 7.09 (t, J = 8.0 Hz, 1 H), 6.98 (d, J = 7.2 Hz, 1 H), 6.90 (d, J = 7.6 Hz, 1 H), 4.16 (s, 2 H), 3.96 (s, 3 H), 3.87 (s, 3 H), 3.75 (m, 1 H), 3.47 (m, 6 H), 2.80 (m, 2 H), 2.11 (m, 2 H), 1.86 (m, 2 H), 1.48-1.50 (m, 5 H).

#### Example 2341

cis- $N^2$ -[4-(3,5-Dichloro-benzylamino)-cyclohexyl]- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid

### Step A: Synthesis of cis-(4-tert-butoxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester.

To a suspension of *cis-4-tert*-butoxycarbonylamino-cyclohexanecarboxylic acid (50.0 g, 206 mmol) in benzene were added triethylamine (26.9 g, 266 mmol) and phosphorazidic acid diphenyl ester (62.2 g, 226 mmol). The reaction mixture was stirred at 80°C for 1 hr. Benzyl alcohol (31.4 g, 290 mmol) was added and the mixture was stirred at reflux for 24 hr. The reaction mixture was concentrated and the residue was dissolved in EtOAc and H<sub>2</sub>O. The organic layer was separated and the aqueous layer was extracted with EtOAc (twice). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by flash chromatography (silica gel, 30% EtOAc in hexane) to give *cis-*(4-*tert-*butoxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester (54.1 g, 76%) as a colorless oil.

ESI MS m/e 349 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.34-7.28 (m, 5 H), 7.12 (d, J = 5.6 Hz, 1 H), 6.62 (brs, 1 H), 4.98 (s, 2 H), 3.39-3.37 (m, 2 H), 1.60-1.45 (m, 8 H), 1.37 (s, 9 H).

#### Step B: Synthesis of cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester.

Using the procedure for the step C of example 2340, the title compound was obtained.

ESI MS m/e 215 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  6.60 (d, J = 6.0 Hz, 1 H), 3.30-3.28 (m, 1 H), 2.74 (s, 1 H), 1.59-1.51 (m, 2 H), 1.45-1.37 (m, 15 H).

### Step C: Synthesis of cis-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]826

#### carbamic acid tert-butyl ester.

A solution of *cis*-(4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester (0.5 g, 2.3 mmol), (2-chloro-quinazolin-4-yl)-dimethly-amine obtained in step B in example 1 (0.53, 2.6 mmol), diisopropylethylamine (1.22 mL, 7.0 mmol) and 2-propanol (1.0 mL) was heated using a Smith Microwave Synthesizer at 170 °C for 1 hour. This reaction procedure was repeated 39 more times and the resulting reaction mixtures were combined. The mixture was concentrated and the residue was purified by column chromatography (silica gel, 2% to 4% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *cis*-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester (22.1 g, 0.057 mol, 61%) as a colorless oil.

ESI MS m/e 386 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.85 (d, J = 8.0 Hz, 1 H), 7.47 (t, J = 8.4 Hz, 1 H), 7.27 (d, J = 8.0 Hz, 1 H), 7.00 (t, J = 7.6 Hz, 1 H), 6.60 (brs, 1 H), 6.18 (brs, 1 H), 3.89-3.88 (m, 1 H), 3.39 (brs, 1 H), 3.19 (s, 6 H), 1.77-1.71 (m, 2 H), 1.68-1.52 (m, 6 H), 1.38 (s, 9 H).

### Step D: Synthesis of $cis-N^2$ -(4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinazolin-2,4-diamine.

Using the procedure for the step C of example 2338, the title compound was obtained.

ESI MS m/e 286 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.84 (d, J = 8.4 Hz, 1 H), 7.45 (t, J = 6.8 Hz, 1 H), 7.26 (d, J = 8.4 Hz, 1 H), 6.99 (t, J = 7.6 Hz, 1 H), 6.20 (brs, 1 H), 3.90-3.89 (m, 1 H), 3.18 (s, 6 H), 2.79 (s, 1 H), 1.74-1.71 (m, 2 H), 1.57-1.41 (m, 8 H).

## Step E: Synthesis of $cis-N^2$ -[4-(3,5-dichloro-benzylamino)-cyclohexyl]- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid.

To a solution of cis-N²-(4-amino-cyclohexyl)-N⁴,N⁴-dimethyl-quinazolin-2,4-diamine (31.4 mg, 0.11 mmol) in MeOH (0.5 mL) was added 3,5-dichlorobenzaldehyde (17.5 mg, 0.10 mmol). The mixture was stirred at ambient temperature for 0.5 hr and sodium triacetoxyborohydride (85 mg, 0.40mmol) was added. The mixture was stirred for overnight and the reaction was quenched with 50% DMSO in water (1.0 mL). The mixture was purified by preparative HPLC. The pure fractions were combined and lyophilized to give cis-N²-[4-(3,5-dichloro-benzylamino)-cyclohexyl]-N⁴,N⁴-dimethyl-quinazoline-2,4-diamine ditrifluoro-acetic acid (23 mg, 0.041 mmol, 37%) as a white

solid.

ESI MS m/e 444 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  13.55 (s, 1 H), 8.90 (brs, 3 H), 8.17 (d, J = 8.0 Hz, 1 H), 7.79 (t, 7.6 Hz, 1 H), 7.68 (s, 1 H), 7.61 (s, 2 H), 7.41 (d, J = 7.6 Hz, 1 H), 7.36 (t, J = 7.6 Hz, 1 H), 4.23 (s, 2 H), 4.07 (s, 1 H), 3.48 (s, 6 H), 2.00-1.92 (m, 4 H), 1.82-1.74 (m, 4 H).

#### Example 2342

cis-N-[4-(4-Dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide trifluoro-acetic acid.

### Step A: Synthesis of *cis-N*-[4-(4-dimethylamino-quinazolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide trifluoro-acetic acid.

Using the procedure for the step A of example 2333, the title compound was obtained.

ESI MS m/e 426 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.46 (brs, 1 H), 8.36 (s, 1 H), 8.15 (d, J = 8.0 Hz, 1 H), 7.97 (brs, 1 H), 7.94-7.89 (m, 1 H), 7.77-7.73 (m, 2 H), 7.56-7.49 (m, 1 H), 7.41 (brs, 1 H), 7.36 (t, J = 7.6 Hz, 1 H), 4.07 (m, 1 H), 3.87 (m, 1 H), 3.47 (brs, 6 H), 1.89 (m, 2 H), 1.74 (m, 6 H).

#### Example 2343

2 CF<sub>3</sub>CO<sub>2</sub>H

cis-4-Dimethlyamino-N-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-benzamide ditrifluoro-acetic acid

## Step A: Synthesis of *cis*-4-dimethlyamino-N-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-benzamide ditrifluoro-acetic acid.

To a solution of 4-dimethylaminobenzoic acid (16.5 mg, 0.10 mmol) in DMF (0.5 mL) were added HATU (45.6 mg, 0.12 mmol), diisopropylethylamine (34.8 uL, 0.20 mmol), and cis-N²-(4-amino-cyclohexyl)-N⁴,N⁴-dimethyl-quinazolin-2,4-diamine obtained in step D of example 2341 (28.5 mg, 0.10 mmol) and stirred at ambient temperature for overnight. The resulting mixture was diluted with DMSO (0.5 mL) and purified by preparative HPLC. The pure fractions combined and lyophilized to give cis-4-dimethlyamino-N-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-benzamide ditrifluoro-acetic acid (34.1 mg, 0.052mmol, 52%) as a white solid.

ESI MS m/e 433 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.73 (s, 1 H), 8.34 (s, 1 H), 8.16 (d, J = 8.0 Hz, 1 H), 7.78-7.70 (m, 4 H), 7.43 (d, J = 7.6 Hz, 1 H), 7.35 (t, J = 8.0 Hz, 1 H), 6.67 (d, J = 8.8 Hz, 2 H), 4.05 (m, 1 H), 3.86 (m, 1 H), 3.47 (s, 6 H), 2.95 (s, 3 H), 2.53 (s, 3 H), 1.91 (m, 2 H), 1.75-1.72 (m, 6 H).

#### Example 2344

trans-4-Bromo-N-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-2-trifluoromethoxy-benzenesulfonamide

#### Step A: Synthesis of trans-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester.

To a solution of trans-1,4-diamino-cyclohexane (10 g, 0.088 mol) in 1,4-dioxane (400 mL) was added a solution of (Boc)<sub>2</sub>O (4.78 g, 0.022 mol) in 1,4-dioxane (100 ml) over 30 min. The mixture was stirred at ambient temperature for overnight and then the dioxane was removed in vacuo. The resulting precipitate was dissolved in H<sub>2</sub>O (500 mL) and left to sit for 1 hour. During this time, the di-Boc-protected diamino-cyclohexane fell out as a white crystalline precipitate. This was subsequently filtered from the aqueous solvent. The aqueous layer was extracted with EtOAc (three times). The organic layers were combined and washed with H<sub>2</sub>O. The organic layer was dried over MgSO<sub>4</sub> and concentrated to give trans-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (4 g, 0.019 mol, 85%).

ESI MS m/e 215 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  6.63 (d, J = 8.0 Hz, 1 H), 3.11-3.09 (m, 1 H), 2.44-2.37 (m, 1 H), 1.70-1.67 (m, 4 H), 1.41-1.31 (m, 11 H), 1.20-0.95 (m, 4 H).

# Step B: Synthesis of *trans*-[4-(4-bromo-2-trifluoromethoxy-benzenesulfonylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester.

To a solution of trans-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (1 g, 0.0047 mol) in CH<sub>2</sub>Cl<sub>2</sub> were added disopropylethylamine (1.63 mL, 0.0093 mol) and 4bromo-2-trifluoromethoxy-benzenesulfonyl chloride (1.03 mL, 0.0051 mol). The reaction mixture was stirred at ambient temperature for 1 hr and then washed with water. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (twice), the organic layers were combined, dried over MgSO<sub>4</sub>, and concentrated. The resulting precipitate was recrystallized with CH,Cl, and hexanes give · to trans-[4-(4-bromo-2-trifluoromethoxybenzenesulfonylamino)-cyclohexyl]-carbamic acid tert-butyl ester (2.39 g, 0.0046 mol, 99%).

ESI MS m/e 517 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.99 (d, J= 7.6 Hz, 1 H), 7.85 (d, J= 8.0 Hz, 1 H), 7.79-7.77 (m, 1 H), 6.67 (d, J= 8.0 Hz, 1 H), 3.14-2.94 (m, 2 H), 1.70-1.60 (m, 4 H), 1.34 (s, 9 H), 1.30-1.18 (m, 2 H), 1.14-1.03 (m, 2 H).

#### Step C: Synthesis of trans-N-(4-amino-cyclohexyl)-4-bromo-2-trifluoromethoxy-benzenesulfonamide.

Using the procedure for the step C of example 2338, the title compound was obtained.

ESI MS m/e 417/419 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.85 (d, J = 8.4 Hz, 1 H), 7.79-7.76 (m, 3 H), 3.32 (brs, 2 H), 3.03-2.95 (m, 1 H), 2.41-2.36 (m, 1 H), 1.67-1.57 (m, 4 H), 1.28-1.18 (m, 2 H), 0.99-0.89 (m, 2 H).

### Step D: Synthesis of *trans*-4-bromo-N-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-2-trifluoromethoxy-benzenesulfonamide.

To a solution of trans-N-(4-amino-cyclohexyl)-4-bromo-2-trifluoromethoxy-benzenesulfonamide (100 mg, 0.24 mmol) in 2-propanol (0.5 mL) was added (2-chloro-quinazolin-4-yl)-dimethly-amine obtained in step B of example 1 (54.7 mg, 0.26mmol). The mixture was heated using a Smith Microwave Synthesizer at 170 °C for 15 min. The mixture was concentrated and the residue was purified by chromatography (2% to 4% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give trans-4-bromo-N-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-2-trifluoromethoxy-benzenesulfonamide (42 mg, 0.71 mmol, 30%) as a white solid.

ESI MS m/e 588/590 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.02 (d, J = 7.6 Hz, 1 H), 7.88 (d, J = 8.4 Hz, 1 H), 7.82-7.77 (m, 3 H), 7.45-7.41 (m, 1 H), 7.25-7.41 (m, 1 H), 6.99 (t, J = 7.2 Hz, 1 H), 6.37 (brs, 1 H), 3.68-3.67 (m, 1 H), 3.16 (s, 6 H), 3.09-3.02 (m, 1 H), 1.89-1.86 (m, 2 H), 1.69-1.67 (m, 2 H), 1.40-1.17 (m, 4 H).

#### Example 2345

trans-4'-Fluoro-biphenyl-4-carboxylic acid [4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-amide.

#### Step A: Synthesis of 4'-fluoro-biphenyl-4-carboxylic acid.

To a solution of 4-bromobenzoic acid (5 g, 0.025 mol) in THF (150 mL) under an

atmosphere of argon were added tetrakis(triphenylphosphine) palladium(0) (862 mg, 0.75 mmol), 2 M aqueous Na<sub>2</sub>CO<sub>3</sub> (30 mL), and a solution 4-fluorophenyboronic acid (3.48 g, 0.025 mol) in a minimal amount of ethanol (~10 mL). The resulting reaction mixture was stirred at reflux under an argon atmosphere for overnight. The reaction mixture was cooled to ambient temperature and acidified with addition of 1 M HCl aqueous. The aqueous layer was extracted with Et<sub>2</sub>O (three times). The organic layers were combined, dried over MgSO<sub>4</sub>, filtered and concentrated. The resulting precipitate was crystallized in Et<sub>2</sub>O and hexane to give 4'-fluoro-biphenyl-4-carboxylic acid (4.4 g, 0.020 mol, 82%) as a white solid.

<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 12.96 (s, 1 H), 8.00-7.98 (m, 2 H), 7.78-7.75 (m, 4 H), 7.34-7.31 (m, 2 H).

# Step B: Synthesis of *trans*-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester.

Using the procedure for the step D of example 2344, the title compound was obtained.

ESI MS m/e 386 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.83 (d, J= 8.0 Hz, 1 H), 7.46 (t, J= 6.8 Hz, 1 H), 7.27-7.25 (m, 1 H), 6.99 (t, J= 7.2 Hz, 1 H), 6.71 (d, J= 8.4 Hz, 1 H), 6.38 (brs, 1 H), 3.72 (m, 1 H), 3.17 (s, 6 H), 1.92-1.90 (m, 2 H), 1.79-1.76 (m, 2 H), 1.37 (s, 9 H), 1.34-1.23 (m, 4 H).

# Step C: Synthesis of *trans*-4'-fluoro-biphenyl-4-carboxylic acid [4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-amide.

To a solution of *trans*-[4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester (0.76 g, 0.20 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (20 mL) was added TFA (304 μL, 0.39 mmol). The solution was stirred at ambient temperature for 4 hr. The resulting mixture was concentrated and the residue was dissolved in CH<sub>2</sub>Cl<sub>2</sub>. The organic layer was washed with a dilute aqueous NaOH and aqueous NaHCO<sub>3</sub> solution. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (twice) and the organic layers combined, dried over MgSO<sub>4</sub>, and concentrated. To a solution of the residue (0.1 g) and 4'-fluoro-biphenyl-4-carboxylic acid (76 mg, 0.35 mmol) in CH<sub>2</sub>Cl<sub>2</sub> were added HOAt (62 mg, 0.46 mmol), WSC•HCl (87 mg, 0.46 mmol), and diisopropylethylamine (31 uL, 0.18 mmol). The mixture was stirred for 1 hr at ambient temperature and the reaction was quenched with

water. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (twice). The organic layers were combined, dried over MgSO<sub>4</sub>, concentrated and the residue purified by column chromatography (silica gel, 2% to 4% 2 M NH<sub>3</sub>/MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *trans*-4'-fluoro-biphenyl-4-carboxylic acid [4-(4-dimethlyamino-quinazolin-2-ylamino)-cyclohexyl]-amide (35 mg, 0.072, 21%) as a white solid.

ESI MS m/e 484 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.30 (brs, 1 H), 8.12 (brs, 2 H), 7.92 (d, J = 8.4 Hz, 2 H), 7.77-7.72 (m, 5 H), 7.44 (brs, 1 H), 7.34-7.28 (m, 3 H), 3.82 (brs, 2 H), 3.47 (brs, 6 H), 2.04 (m, 2 H), 1.94 (m, 2 H), 1.54-1.48 (m, 4 H).

#### Example 2346

2 CF<sub>3</sub>CO<sub>2</sub>H

cis-N²-[4-(4-Bromo-2-trifluoromethoxy-benzylamino)-cyclohexyl]-N⁴-tert-butyl-quinazoline-2,4-diamine ditrifluoro-acetic acid

#### Step A: Synthesis of tert-butyl-(2-chloro-quinazolin-4-yl)-amine.

To a solution of 2,4-dichloro-quinazoline obtained in step B of example 1 (4 g, 20 mmol) in THF (50 mL) were added *tert*-butyl amine (2.15 mL, 20.5 mmol) and diisopropylethylamine (3.5 mL, 21 mmol). The mixture was stirred at ambient temperature for 2 hr. The mixture was concentrated and the residue was dissolved in EtOAc. The organic layer was washed with water, dried over Na<sub>2</sub>SO<sub>4</sub>, and filtered. The mixture was concentrated to give *tert*-butyl-(2-chloro-quinazolin-4-yl)-amine as a white solid (3 g, 64%).

ESI MS m/e 236 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.40 (d, J = 8.4 Hz, 1 H), 7.75-7.36 (m, 2 H), 7.58 (d, J = 8.4 Hz, 1 H), 7.48 (t, J = 7.2 Hz, 1 H), 1.52 (s, 9 H).

# Step B: Synthesis of $cis-N^2$ -(4-amino-cyclohexyl)- $N^4$ -tert-butyl-quinazoline-2,4-diamine.

To a suspension of cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (122

mg, 0.57 mmol) in 2-propanol (2 mL) were added *tert*-butyl-(2-chloro-quinazolin-4-yl)-amine (100 mg, 0.42 mmol) and diisopropylethylamine (180 μL, 1 mmol) and the mixture was heated at 170 °C for 1 hr using a Smith Microwave Synthesizer. The resulting solution was concentrated and purified by column chromatography (silica gel, 3% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give [4-(4-*tert*-butylamino-quinazolin-2-ylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester (112 mg, 65%) as a yellow solid. To a suspension of *cis*-[4-(4-*tert*-butylamino-quinazolin-2-ylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester (95 mg, 0.23 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (3 mL) was added trifluoroacetic acid (2 mL) dropwise. The reaction mixture was stirred at ambient temperature for 2 hr. The solution was concentrated, alkalized with saturated aqueous NaHCO<sub>3</sub> and 1 M aqueous sodium hydroxide (pH = 9), and the aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated. The solid was collected by filtration to give *cis-N*<sup>2</sup>-(4-amino-cyclohexyl)-*N*<sup>4</sup>-*tert*-butyl-quinazoline-2,4-diamine (44.6 mg, 53%) as a yellow solid.

ESI MS m/e 314 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.48 (t, J = 6.8 Hz, 1 H), 7.38 (m, 2 H), 7.04 (t, J = 8.0 Hz, 1 H), 5.42 (brs, 1 H), 4.15 (m, 1 H), 2.85 (m, 1 H), 1.2-1.9 (m, 17 H).

Step C: Synthesis of  $cis-N^2$ -[4-(4-bromo-2-trifluoromethoxy-benzylamino)-cyclohexyl]- $N^4$ -tert-butyl-quinazoline-2,4-diamine ditrifluoro-acetic acid.

Using the procedure for the step C of example 2341, the title compound was obtained.

ESI MS m/e 566 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.36 (d, J = 8.0 Hz, 1 H), 7.67-7.64 (m, 2 H), 7.53-7.48 (m, 3 H), 7.43 (s, 1 H), 7.33 (m, 1 H), 6.17 (s, 1 H), 4.45 (m, 1 H), 4.28 (s, 2 H), 3.35 (m, 1 H), 2.14 –1.6 (m, 17 H).

#### Example 2347

# Step A: Synthesis of {4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-benzyl}-carbamic acid tert-butyl ester.

Using the procedure for the step D of example 2330, the title compound was obtained.

ESI MS m/e 377 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.38 (brs, 1 H), 8.08 (brs, 1 H), 7.70 (brs, 1 H), 7.47 (brs, 1 H), 7.36 (t, J = 6.2 Hz, 1 H), 7.30 (d, J = 8.0 Hz, 3 H), 7.16 (d, J = 7.6 Hz, 2 H), 4.60 (d, J = 6.4 Hz, 2 H), 4.07 (d, J = 6.0 Hz, 2 H), 3.39 (s, 6 H), 1.37 (s, 9 H).

# Step B: Synthesis of $N^2$ -(4-aminomethyl-benzyl)- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine hydrochloride.

To a cooled solution of  $\{4-[(4-\text{dimethylamino-quinazolin-2-ylamino})-\text{methyl}]$ -benzyl $\}$ -carbamic acid tert-butyl ester (3.90 g, 9.57 mmol) in MeOH was added 1 M HCl in Et<sub>2</sub>O (67.0 ml, 67.0 mmol) and the solution was stirred for overnight. The resulting mixture was concentrated to give  $N^2$ -(4-aminomethyl-benzyl)-N', N'-dimethyl-quinazoline-2,4-diamine hydrochloride as a white crystalline solid (3.48 g, 95.6%).

ESI MS m/e 308.2 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.16 (d, J = 7.2 Hz, 1 H), 7.75 (brs, 1 H), 7.48 (m, 5 H), 7.39 (brs, 1 H), 4.76 (s, 2 H), 4.12 (s, 2 H), 3.51 (m, 6 H).

# Step C: Synthesis of 4-bromo-N-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-benzyl}-2-trifluoromethoxy-benzenesulfonamide.

A solution of  $N^2$ -(4-aminomethyl-benzyl)- $N^4$ ,  $N^4$ -dimethyl-quinazoline-2,4-diamine hydrochloride (50.0 mg, 0.131 mmol), 4-bromo-2-trifluoromethoxy-benzenesulfonyl chloride (53.3 mg, 0.157 mmol) and diisopropylethylamine (91  $\mu$ l, 0.524 mmol) in 2-

propanol (1.5 mL) was stirred at ambient temperature for 2 hr. The resulting mixture was concentrated, and the residue was purified by column chromatography (silica gel, 10% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give 4-bromo-N-{4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-benzyl}-2-trifluoromethoxy-benzenesulfonamide as a white crystalline compound (40 mg, 50%).

ESI MS m/e 612 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.51 (t, J = 6.4 Hz, 1 H), 8.06 (brs, 1 H), 7.76-7.67 (m, 4 H), 7.54-7.41 (m, 2 H), 7.24 (d, J = 7.6 Hz, 3 H), 7.14 (d, J = 8.0 Hz, 2 H), 4.56 (d, J = 6.0 Hz, 2 H), 4.08 (d, J = 6.0 Hz, 2 H), 3.36 (s, 6 H).

#### Example 2348

 ${\bf 4-bromo-} N-[{\bf 4-(4-dimethylamino-quinazolin-2-ylamino)-phenyl}]-{\bf 2-trifluoromethoxy-benzenesulfonamide}$ 

#### Step A: Synthesis of (4-amino-phenyl)-carbamic acid tert-butyl ester.

Using the procedure for the step A of example 2344, the title compound was obtained.

ESI MS m/e 209 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.75 (s, 1 H), 7.03 (d, J = 7.6 Hz, 2 H), 6.43 (dt, J = 9.5, 2.7 Hz, 2 H), 4.71 (s, 2 H), 1.43 (s, 9 H).

# Step B: Synthesis of $N^2$ -(4-amino-phenyl)- $N^4$ , $N^4$ -dimethyl-quinazoline-2,4-diamine hydrochloride.

A mixture of (2-chloro-quinazolin-4-yl)-dimethyl-amine obtained in step B of example 1 (0.5 g, 2.6 mmol) and (4-amino-phenyl)-carbamic acid *tert*-butyl ester (0.5 g, 2.6 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (2 mL) was heated by Smith Synthesizer at 130 °C for 20 min. The mixture was concentrated to give [4-(4-dimethylamino-quinazolin-2-ylamino)-phenyl]-carbamic acid *tert*-butyl ester as a pale yellow solid (0.86 g, 87%). The reaction was repeated six times, and the total product combined was 8.5 g. To a solution of above product (8.5 g, 22.4 mmol) in MeOH (250 mL) was added 4 M HCl in dioxane (8.4 ml,

33.6 mmol) dropwise, and the mixture was stirred at ambient temperature for overnight. The mixture was concentrated to give  $N^2$ -(4-amino-phenyl)- $N^4$ ,  $N^4$ -dimethyl-quinazoline-2,4-diamine hydrochloride as a pale pink solid (6.2 g, 87.5%).

ESI MS m/e 280 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, D<sub>2</sub>O)  $\delta$  7.84 (d, J = 8.8 Hz, 1 H), 7.54 (td, J = 7.8, 1.2 Hz, 1 H), 7.46 (dt, J = 9.5, 2.7 Hz, 2 H), 7.27-7.16 (m, 4 H), 3.35 (b, 3 H), 3.12 (b, 3 H).

### Step C: Synthesis of 4-bromo-N-[4-(4-dimethylamino-quinazolin-2-ylamino)-phenyl]-2-trifluoromethoxy-benzenesulfonamide.

Using the procedure for the step C of example 2347, the title compound was obtained.

ESI MS m/e 584 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  10.27 (brs, 1 H), 9.14 (brs, 1 H), 7.98 (d, J = 8.4 Hz, 1 H), 7.80-7.71 (m, 5 H), 7.60-7.56 (m, 1 H), 7.44 (d, J = 8.4 Hz, 1 H), 7.15 (t, J = 7.4 Hz, 1 H), 6.95 (d, J = 16.8 Hz, 2 H), 9.29 (s, 6 H).

#### Example 2349

4'-Chloro-biphenyl-4-carboxylic acid [4-(4-dimethylamino-quinazolin-2-ylamino)-phenyl]-amide trifluoro-acetic acid

Synthesis of 4'-chloro-biphenyl-4-carboxylic acid [4-(4-dimethylamino-quinazolin-2-ylamino)-phenyl]-amide trifluoro-acetic acid.

A solution of  $N^2$ -(4-amino-phenyl)- $N^4$ ,  $N^4$ -dimethyl-quinazoline-2,4-diamine hydrochloride obtained in step B of example 2348 (81.6 mg, 0.258 mmol), 4'-chloro-biphenyl-4-carboxylic acid (50.0 mg, 0.215 mmol), HATU (106 mg, 0.280 mmol), and diisopropylethylamine (150  $\mu$ L, 0.860 mmol), in CH<sub>2</sub>Cl<sub>2</sub> (2 mL) was stirred at ambient temperature for overnight, and the mixture was concentrated. The residue was purifided by HPLC to give 4'-chloro-biphenyl-4-carboxylic acid [4-(4-dimethylamino-quinazolin-2-ylamino)-phenyl]-amide trifluoro-acetic acid as a white solid (10 mg, 9 %).

ESI MS m/e 494 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  10.33 (s, 1 H), 8.17 (d, J = 8.0 Hz, 1 H), 8.80 (d, J = 8.8 Hz, 2 H), 7.85-7.75 (m, 7 H), 7.63-7.53 (m, 6 H), 7.36 (t, J = 7.6 Hz, 1 H), 3.46 (s, 6 H).

#### Example 2350

N-[1-(4-Dimethylamino-quinazolin-2-yl)-piperidin-4-ylmethyl]-2-fluorobenzenesulfonamide

### Step A: Synthesis of N-[1-(4-dimethylamino-quinazolin-2-yl)-piperidin-4-ylmethyl]-2-fluoro-benzenesulfonamide.

To a solution of 4-aminomethyl-piperidine-1-carboxylic acid tert-butyl ester (60 mg, 0.28 mmol) and diisopropylethylamine (49 mL, 0.28 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (2 mL) was added 2-fluorobenzenesulfonyl chloride (54 mg, 0.28 mmol) and the mixture was stirred at ambient temperature for 18 hr. To the resulting mixture was added trifluoroacetic acid (0.70 mL) and stirred at ambient temperature for 18 hr. The reaction mixture was concentrated and neutralized with saturated aqueous NaHCO<sub>1</sub>. The aqueous layer was extracted with EtOAc, and the organic layer was concentrated to give 2-fluoro-Npiperidin-4-ylmethyl-benzenesulfonamide as a pale yellow solid. To a solution of above solid (0.076 g, 0.28 mmol) and diisopropylethylamine (0.072 mL, 0.42 mmol) in 2propanol (3 mL) was added (2-chloro-quinazolin-4-yl)-dimethyl-amine obtained in step B of example 1 (0.044 g, 0.21 mmol) and the resulting mixture was stirred at 100 °C for 18 The mixture was concentrated, and the residue was purified by column hr. chromatography (silica gel, 5% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give N-[1-(4-dimethylaminoquinazolin-2-yl)-piperidin-4-ylmethyl]-2-fluoro-benzenesulfonamide as a pale yellow solid (0.024 g, 26%).

ESI MS m/e 444 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) 8 7.98 (m, 1 H), 7.86 (m, 1 H), 7.77 (m 1 H), 7.67 (m, 1 H), 7.47-7.29 (m, 4 H), 7.02 (m, 1 H), 4.69 (m, 2 H), 3.21 (s, 6 H), 2.76 (m, 4 H), 1.66 (m, 3 H), 1.00 (m, 2 H).

Using the procedure for example 2329 and purification by preparative HPLC, the compounds of example 2351 - 2819 were obtained.

Using the procedure for example 2331 and purification by preparative HPLC, the compounds of example 2820 - 2842 were obtained.

Using the procedure for example 2332, the compounds of example 2843 - 3003 were obtained.

Using the procedure for example 2333, the compounds of example 3004 - 3090 were obtained.

Using the procedure for example 2334, the compounds of example 3091 - 3161 were obtained.

Using the procedure for example 2335 and purification by preparative HPLC, the compounds of example 3162 - 3178 were obtained.

Using the procedure for example 2336, the compounds of example 3179 - 3208 were obtained.

Using the procedure for example 2337, the compounds of example 3209 was obtained.

Using the procedure for example 2338, the compounds of example 3210 - 3225 were obtained.

Using the procedure for example 2339, the compounds of example 3226 - 3228 were obtained.

Using the procedure for example 2340, the compounds of example 3229 - 3231 were obtained.

Using the procedure for example 2341, the compounds of example 3232 - 3393 were obtained.

Using the procedure for example 2342, the compounds of example 3394 - 3472 were obtained.

Using the procedure for example 2343, the compounds of example 3473 - 3527 were obtained.

Using the procedure for example 2346, the compounds of example 3528 - 3535 were obtained.

Using the procedure for example 2347 and purification by preparative HPLC, the compounds of example 3536 - 3545 were obtained.

Using the procedure for example 2348 and purification by preparative HPLC, the compounds of example 3546 - 3548 were obtained.

Using the procedure for example 2349, the compounds of example 3549 - 3567 were obtained.

Using the procedure for example 2350 and purification by preparative HPLC, the compounds of example 3568 - 3579 were obtained.

Example No.	Structure	ESI-MS	Retention Time (min)
2351	CF <sub>3</sub> CO <sub>2</sub> H	454.0 (M+H)	3.60
2352	N N N N N N N N N N N N N N N N N N N	530.2 (M+H)	4.02
2353	N N N N N N N N N N N N N N N N N N N	545.4 (M+H)	3.05
2354	$ \begin{array}{c}                                     $	496.4 (M+H)	3.49
2355	CF <sub>3</sub> CO <sub>2</sub> H	537.4 (M+H)	3.24
2356	CF <sub>3</sub> CO <sub>2</sub> H	440.0 (M+H)	3.47

Example No.	Structure	ESI-MS	Retention Time (min)
2357	HN O O O O O O O O O O O O O O O O O O O	484 <u>.</u> 4 (M+H)	3.49
2358	HN OH  N N H N S O 2  CF <sub>3</sub> CO <sub>2</sub> H	470.2 (M+H)	3.20
2359	2CF <sub>3</sub> CO <sub>2</sub> H	539.4 (M+H)	3.12
2360	CF <sub>3</sub> CO <sub>2</sub> H	522.2 (M+H)	4.22
2361	HN N H N S O2  2CF <sub>3</sub> CO <sub>2</sub> H	599.0 (M+H)	3.48
2362	CF <sub>3</sub> CO <sub>2</sub> H	560.2 (M+H)	3.99

Example No.	Structure	ESI-MS	Retention Time (min)
2363	HN N H S O <sub>2</sub>	548.4 (M+H)	4.06
2364	NNN HNNN H NNNN H NNNN NNNN NNNN NNNNN NNNNN NNNNNN	534.0 (M+H)	3.11
2365	HN N H S O O O O O O O O O O O O O O O O O O	502.4 (M+H)	3.81
2366	HN N H N S O2  CF <sub>3</sub> CO <sub>2</sub> H	530.2 (M+H)	4.04
2367	CF <sub>3</sub> CO <sub>2</sub> H	532.4 (M+H)	3.85
2368	CF <sub>3</sub> CO <sub>2</sub> H	520.2 (M+H)	3.86

Example No.	Structure	ESI-MS	Retention Time (min)
2369	CF <sub>3</sub> CO <sub>2</sub> H	474.2 (M+H)	3.72
2370	HN O CI N N H S O CI CF <sub>3</sub> CO <sub>2</sub> H	518.2 (M+H)	3.71
2371	HN N H CI N N N S O2	573.2 (M+H)	3.15
2372	CF <sub>3</sub> CO <sub>2</sub> H	556.2 (M+H)	4.38
2373	2CF <sub>3</sub> CO <sub>2</sub> H	633.4 (M+H)	3.48
2374	CF <sub>3</sub> CO <sub>2</sub> H	594.2 (M+H)	4.23

Example No.	Structure	ESI-MS	Retention Time (min)
2375	HN N H N N N N N N N N N N N N N N N N	582.4 (M+H)	4.26
. 2376	CF <sub>3</sub> CO <sub>2</sub> H	536.2 (M+H)	4.06
2377	$\begin{array}{c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$	564.2 (M+H)	4.32
2378	CF <sub>3</sub> CO <sub>2</sub> H	566.4 (M+H)	4.11
2379	CF <sub>3</sub> CO <sub>2</sub> H	554.2 (M+H)	4.10
2380	$\begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	614.2 (M+H)	4.26

Example No.	Structure	ESI-MS	Retention Time (min)
2381	CF <sub>3</sub> CO <sub>2</sub> H	524.4 (M+H)	3.87
2382	$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$	568.2 (M+H)	3.87
2383	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	586.2 (M + H)	4.18
2384	$\begin{array}{c c}  & & & & & & & & & & & & & & & & & & &$	614.2 (M+H)	4.45
2385	CF <sub>3</sub> CO <sub>2</sub> H	620.4 (M+H)	4.32
2386	CF <sub>3</sub> CO <sub>2</sub> H	468.2 (M + H)	3.20

Example No.	Structure	ESI-MS	Retention Time (min)
2387	CF <sub>3</sub> CO <sub>2</sub> H	551.6 (M+H)	2.82
2388	CF <sub>3</sub> CO <sub>2</sub> H	454.0 (M+H)	3.06
2389	$\begin{array}{c} HN & O \\ \downarrow & N \\ N & \downarrow & M \\ \downarrow $	498.6 (M+H)	3.10
2390	CF <sub>3</sub> CO <sub>2</sub> H	484.2 (M+H)	2.76
2391	HN N N N N N N N N N N N N N N N N N N	553.6 (M+H)	2.40
2392	CF <sub>3</sub> CO <sub>2</sub> H	536.4 (M+H)	3.77

Example No.	Structure	ESI-MS	Retention Time (min)
2393	HN N H H S O2  2CF <sub>3</sub> CO <sub>2</sub> H	613.4 (M+H)	2.74
2394	O <sub>2</sub> S-NH <sub>2</sub> HN N H N N N N N N N N N N N N N N N N	623.4 (M+H)	3.06
2395	HN N H N S O2  CF <sub>3</sub> CO <sub>2</sub> H	574.4 (M+H)	3.51
2396	HN H H S O2  CF <sub>3</sub> CO <sub>2</sub> H	562.2 (M+H)	3.59
2397	HN N N N N N N N N N N N N N N N N N N	548.6 (M+H)	2.48
2398	HN N H S O2  CF3CO2H	516.4 (M+H)	3.39

Example No.	Structure	ESI-MS	Retention Time (min)
2399	CF <sub>3</sub> CO <sub>2</sub> H	550.4 (M+H)	3.56
2400	CF <sub>3</sub> CO <sub>2</sub> H	546.2 (M+H)	3.38
2401	CF <sub>3</sub> CO <sub>2</sub> H	534.0 (M+H)	3.43
2402	CF <sub>3</sub> CO <sub>2</sub> H	608.2 (M+H)	3.75
2403	CF <sub>3</sub> CO <sub>2</sub> H	518 (M+H)	3.22
2404	HN O H Br O <sub>2</sub> CF <sub>3</sub> CO <sub>2</sub> H	562.2 (M+H)	3.20

Example No.	Structure	ESI-MS	Retention Time (min)
2405	HN N H S O2  CF <sub>3</sub> CO <sub>2</sub> H	626.0 (M+H)	3.76
2406	CF <sub>3</sub> CO <sub>2</sub> H	614.0 (M+H)	3.72
2407	HN N N N N N N N N N N N N N N N N N N	610.0 (M+H)	3.57
2408	$CF_3CO_2H$	598.2 (M+H)	3.97
2409	$CF_3CO_2H$	564.2 (M+H)	3.46
2410	CF <sub>3</sub> CO <sub>2</sub> H	508.0 (M+H)	3.44

Example No.	Structure	ESI-MS	Retention Time (min)
2411	HN $N$ $H$ $N$	616.2 (M+H)	3.94
2412	CF <sub>3</sub> CO <sub>2</sub> H	604.2 (M+H)	4.51
2413	CF <sub>3</sub> CO <sub>2</sub> H	600.2 (M+H)	4.32
2414	LHN N CI	588.0 (M+H)	4.38
2415	CF <sub>3</sub> CO <sub>2</sub> H	650.2 (M+H)	4.20
2416	CF <sub>3</sub> CO <sub>2</sub> H	726.4 (M+H)	4.52

Example No.	Structure	ESI-MS	Retention Time (min)
2417	2CF <sub>3</sub> CO <sub>2</sub> H	741.6 (M+H)	3.59
2418	CF <sub>3</sub> CO <sub>2</sub> H	692.2 (M+H)	4.12
2419	2CF <sub>3</sub> CO <sub>2</sub> H	767.6 (M+H)	4.59
2420	CF <sub>3</sub> CO <sub>2</sub> H	733.4 (M+H)	3.87
2421	CF <sub>3</sub> CO <sub>2</sub> H	636.2 (M+H)	4.08
2422	HNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	680.2 (M+H)	4.07

Example No.	Structure	ESI-MS	Retention Time (min)
2423	HN OH FFF  N N H SO2 OFFF  CF3CO2H	666.0 (M+H)	3.86
2424	HN N H SO O F F F	735.4 (M+H)	3.50
2425	HN N N N SO2 O F F F	718.4 (M+H)	4.64
2426	HN N H SO FFF	795.6 (M+H)	3.70
2427	CF <sub>3</sub> CO <sub>2</sub> H	744.2 (M+H)	4.43
2428	HN N N H SO2 OFFF	698.0 (M+H)	4.26

Example No.	Structure	ESI-MS	Retention Time (min)
2429	CF <sub>3</sub> CO <sub>2</sub> H	732.4 (M+H)	4.37
2430	HNN N H SO2 O F F F	726.4 (M+H)	4.52
2431	CF <sub>3</sub> CO <sub>2</sub> H	728.4 (M+H)	4.36
2432	CF <sub>3</sub> CO <sub>2</sub> H	716.4 (M+H)	4.32
2433	CF <sub>3</sub> CO <sub>2</sub> H	616.0 (M+H)	4.22
2434	CF <sub>3</sub> CO <sub>2</sub> H	692.0 (M+H)	4.57

Example No.	Structure	ESI-MS	Retention Time (min)
2435	2CF <sub>3</sub> CO <sub>2</sub> H	707.2 (M+H)	3.64
2436	CF <sub>3</sub> CO <sub>2</sub> H	658.2 (M+H)	4.15
2437	CF <sub>3</sub> CO <sub>2</sub> H	733.2 (M+H)	4.68
2438	CF <sub>3</sub> CO <sub>2</sub> H	699.2 (M+H)	3.88
2439	$\begin{array}{c} & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$	646.4 (M+H)	4.08
2440	$\begin{array}{c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$	632.4 (M+H)	3.86

Example No.	Structure	ESI-MS	Retention Time (min)
<b>2441</b>	HN N H S O O O F F F	701.4 (M+H)	3.51
2442	HN N H H SO2 OFF	684.2 (M+H)	4.75
2443	$\begin{array}{c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$	761.2 (M+H)	3.74
2444	HN N N N N N N N N N N N N N N N N N N	722.2 (M+H)	4.59
2445	$\begin{array}{c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$	710.2 (M+H)	4.60
2446	HN $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	696.2 (M+H)	3.53

Example No.	Structure	ESI-MS	Retention Time (min)
2447	HN N H SO2 OFFF	664.2 (M+H)	4.39
2448	HNN N SO2 OF FF	692.0 (M+H)	4.65
2449	CF <sub>3</sub> CO <sub>2</sub> H	698.0 (M+H)	4.59
2450	CF <sub>3</sub> CO <sub>2</sub> H	694.2 (M+H)	4.42
2451	CF <sub>3</sub> CO <sub>2</sub> H	682.2 (M+H)	4.42
2452	CF <sub>3</sub> CO <sub>2</sub> H	590.2 (M+H)	4.28

Example No.	Structure	ESI-MS	Retention Time (min)
2453	CF <sub>3</sub> CO <sub>2</sub> H	666.2 (M+H)	4.61
2454	2CF <sub>3</sub> CO <sub>2</sub> H	681.2 (M+H)	3.72
2455	CF <sub>3</sub> CO <sub>2</sub> H	632.4 (M+H)	4.21
2456	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	707.2 (M+H)	4.70
2457	$ \begin{array}{c} \downarrow \\ \downarrow \\$	673.2 (M+H)	3.94
2458	CF <sub>3</sub> CO <sub>2</sub> H	576.2 (M+H)	4.16

Example No.	Structure	ESI-MS	Retention Time (min)
2459	HN O F F F F O CF3CO2H	620.4 (M+H)	4.19
2460	HN OH  HN FFF  N N FFF  O2 FF  CF3CO2H	606.6 (M+H)	3.94
2461	HN N F F F N N N N N N N N N N N N N N N	675.4 (M+H)	3.59
2462	HN N F F F F F F F F F F F F F F F F F F	658.6 (M+H)	4.82
2463 .	HN N F F F F CO2 F F F	735.4 (M+H)	3.82
2464	CF <sub>3</sub> CO <sub>2</sub> H	696.0 (M+H)	4.56

Example No.	Structure	ESI-MS	Retention Time (min)
2465	CF <sub>3</sub> CO <sub>2</sub> H	684.4 (M+H)	4.61
2466	HN N F F F F P P P P P P P P P P P P P P	670.2 (M+H)	3.56
2467	CF <sub>3</sub> CO <sub>2</sub> H	638.2 (M+H)	4.43
2468	CF <sub>3</sub> CO <sub>2</sub> H	666.2 (M+H)	4.68
2469	CF <sub>3</sub> CO <sub>2</sub> H	672.2 (M+H)	4.60
2470	HNN N FFF F F F F F F F F F F F F F F F	668.2 (M+H)	4.44

Example No.	Structure	ESI-MS	Retention Time (min)
2471	CF <sub>3</sub> CO <sub>2</sub> H	656.4 (M+H)	4.47
2472	2CF <sub>3</sub> CO <sub>2</sub> H	595.4 (M+H)	3.32
2473	CF <sub>3</sub> CO <sub>2</sub> H	534.0 (M+H)	3.81
2474	CF <sub>3</sub> CO <sub>2</sub> H	520.4 (M+H)	3.56
2475	HN N N H S O2 CF3CO2H	589.2 (M+H)	3.25
2476	CF <sub>3</sub> CO <sub>2</sub> H	572.4 (M+H)	4.47

Example No.	Structure	ESI-MS	Retention Time (min)
2477	2CF <sub>3</sub> CO <sub>2</sub> H	649.4 (M+H)	3.50
2478	CF <sub>3</sub> CO <sub>2</sub> H	610.4 (M+H)	4.26
2479	HN H H H S S S S S S S S S S S S S S S S	598.2 (M+H)	4.30
2480	HN N N N N N N N N N N N N N N N N N N	584.4 (M+H)	3.29
2481	HN N H S O2 CF3CO2H	552.6 (M+H)	4.11
2482	CF <sub>3</sub> CO <sub>2</sub> H	580.6 (M+H)	<b>4.40</b>

Example No.	Structure	ESI-MS	Retention Time (min)
2483	CF <sub>3</sub> CO <sub>2</sub> H	586.2 (M+H)	4.30
2484	CF <sub>3</sub> CO <sub>2</sub> H	582.4 (M+H)	4.14
2485	CF <sub>3</sub> CO <sub>2</sub> H	570.2 (M+H)	4.14
2486	CF <sub>3</sub> CO <sub>2</sub> H	504.2 (M+H)	3.94
2487	CF <sub>3</sub> CO <sub>2</sub> H	580.6 (M+H)	4.34
2488	2CF <sub>3</sub> CO <sub>2</sub> H	595.2 (M+H)	3.41

Example No.	Structure	ESI-MS	Retention Time (min)
2489	CF <sub>3</sub> CO₂H	490.2 (M+H)	3.84
2490	CF <sub>3</sub> CO <sub>2</sub> H	534.2 (M+H)	3.84
2491	CF <sub>3</sub> CO <sub>2</sub> H	520.4 (M+H)	3.60
2492	2CF <sub>3</sub> CO <sub>2</sub> H	589.2 (M+H)	3.29
2493	CF <sub>3</sub> CO <sub>2</sub> H	572.4 (M+H)	4.51
2494	2CF <sub>3</sub> CO <sub>2</sub> H	649.4 (M+H)	3.52

Example No.	Structure	ESI-MS	Retention Time (min)
2495	CF <sub>3</sub> CO <sub>2</sub> H	610.2 (M+H)	4.29
2496	CF <sub>3</sub> CO <sub>2</sub> H	598.2 (M+H)	4.34
2497	CF <sub>3</sub> CO <sub>2</sub> H	552.6 (M+H)	4.13
2498	CF <sub>3</sub> CO <sub>2</sub> H	580.6 (M+H)	4.37
2499	CF <sub>3</sub> CO <sub>2</sub> H	586.2 (M+H)	4.30
2500	CF <sub>3</sub> CO <sub>2</sub> H	570.2 (M+H)	4.18

Example No.	Structure	ESI-MS	Retention Time (min)
2501	2CF <sub>3</sub> CO <sub>2</sub> H	547.4 (M+H)	3.69
2502	2CF <sub>3</sub> CO <sub>2</sub> H	623.4 (M+H)	4.10
2503	3CF <sub>3</sub> CO <sub>2</sub> H	638.2 (M+H)	3.20
2504	2CF <sub>3</sub> CO <sub>2</sub> H	589.2 (M+H)	3.62
2505	3CF <sub>3</sub> CO <sub>2</sub> H	664.4 (M+H)	4.25
2506	O N N N N N N N N N N N N N N N N N N N	630.4 (M+H)	3.35

Example No.	Structure	ESI-MS	Retention Time (min)
2507	NH N N N N N N N N N N N N N N N N N N	533.2 (M+H)	3.57
2508	HN O O O O O O O O O O O O O O O O O O O	577.6 (M+H)	3.58
2509	HN OH  N N N N N N N N N N N N N N N N N N N	563.2 (M+H)	3.28
2510	HN N N N N N N N N N N N N N N N N N N	632.6 (M+H)	3.06
2511	2CF <sub>3</sub> CO <sub>2</sub> H	615.4 (M+H)	4.30
2512	HN N N N N N N N N N N N N N N N N N N	692.2 (M+H)	3.38

Example No.	Structure	ESI-MS	Retention Time (min)
2513	PHN HN HN SO2 H	641.4 (M+H)	4.13
2514	HNN H S S S S S S S S S S S S S S S S S	595.4 (M+H)	3.89
2515	HN N H S S S S S S S S S S S S S S S S S	623.4 (M+H)	4.20
2516	2CF <sub>3</sub> CO <sub>2</sub> H	629.2 (M+H)	4.15
2517	2CF <sub>3</sub> CO <sub>2</sub> H	613.2 (M+H)	4.02
2518	CF <sub>3</sub> CO <sub>2</sub> H	528.2 (M+H)	4.03

Example No.	Structure	ESI-MS	Retention Time (min)
2519	CF <sub>3</sub> CO <sub>2</sub> H	570.2 (M+H)	3.96
2520	O N N N H O CI N S CI S CI CI S CI S CI S CI S CI S	611.0 (M+H)	3.69
2521	HN N O CI N S CI CI CF3CO2H	514.2 (M+H)	3.94
2522	HN N N N N N N N N N N N N N N N N N N	625.4 (M+H)	3.94
2523	HN N H O H O CI	558.2 (M+H)	3.96
2524	CF <sub>3</sub> CO <sub>2</sub> H	544.2 (M+H)	3.67

Example No.	Structure	ESI-MS	Retention Time (min)
2525	HN N N H O CI S CI	613.2 (M+H)	3.31
2526	HN N N H O CI CI CF <sub>3</sub> CO <sub>2</sub> H	596.2 (M+H)	4.69
2527	HN N N N N N N N N N N N N N N N N N N	673.4 (M+H)	3.57
2528	CF <sub>3</sub> CO <sub>2</sub> H	634.4 (M+H)	4.41
2529	CF <sub>3</sub> CO <sub>2</sub> H	622.2 (M+H)	4.45
2530	CF <sub>3</sub> CO <sub>2</sub> H	576 (M+H)	4.25

Example No.	Structure	ESI-MS	Retention Time (min)
2531	HN N H O CI S CI CF3CO₂H	604.4 (M+H)	4.52
2532	CF <sub>3</sub> CO <sub>2</sub> H	610.2 (M+H)	4.40
2533	CF <sub>3</sub> CO <sub>2</sub> H	606.4 (M+H)	4.29
2534	HN Z H S O CI CI CF <sub>3</sub> CO <sub>2</sub> H	594.2 (M+H)	4.27
2535	2CF <sub>3</sub> CO <sub>2</sub> H	571.8 (M + H)	4.99
2536	CF <sub>3</sub> CO <sub>2</sub> H	609.8 (M + H)	4.43

Example No.	Structure	ESI-MS	Retention Time (min)
2537	CF <sub>3</sub> CO <sub>2</sub> H	536.4 (M + H)	4.86
2538	CF <sub>3</sub> CO <sub>2</sub> H	564.6 (M + H)	5.13
2539	CF <sub>3</sub> CO <sub>2</sub> H	530.6 (M + H)	4.65
2540	2CF <sub>3</sub> CO <sub>2</sub> H	605.6 (M + H)	5.21
2541	CF3CO2H	571.6 (M + H)	4.45
2542	HN N H O CI  2CF <sub>3</sub> CO <sub>2</sub> H	568.8 (M + H)	4.09

Example No.	Structure	ESI-MS	Retention Time (min)
2543	CF <sub>3</sub> CO <sub>2</sub> H	570.6 (M + H)	5.11
2544	2CF <sub>3</sub> CO <sub>2</sub> H	629.6 (M + H)	4.37
2545	2CF <sub>3</sub> CO <sub>2</sub> H	655.6 (M + H)	5.35
2546	CF <sub>3</sub> CO <sub>2</sub> H	621.8 (M + H)	4.63
2547	CF <sub>3</sub> CO <sub>2</sub> H	606.8 (M + H)	5.45
2548	CF <sub>3</sub> CO <sub>2</sub> H	644.6 (M + H)	5.21

Example No.	Structure	ESI-MS	Retention Time (min)
2549	CF <sub>3</sub> CO <sub>2</sub> H	632.6 (M + H)	5.25
2550	2CF <sub>3</sub> CO <sub>2</sub> H	618.6 (M + H)	4.29
2551	HN N N N N N N N N N N N N N N N N N N	616.6 (M+H)	5.14
2552	CF3CO2H	604.6 (M + H)	5.13
2553	CF <sub>3</sub> CO <sub>2</sub> H	544.6 (M + H)	5.03
2554	2CF <sub>3</sub> CO <sub>2</sub> H	585.6 (M + H)	5.13

Example No.	Structure	ESI-MS	Retention Time (min)
2555	2CF <sub>3</sub> CO <sub>2</sub> H	623.6 (M+H)	<b>4.25</b>
2556	CF <sub>3</sub> CO <sub>2</sub> H	574.6 (M + H)	4.73
2557	2CF <sub>3</sub> CO <sub>2</sub> H	649.0 (M + H)	5.25
2558	CF <sub>3</sub> CO <sub>2</sub> H	615.0 (M + H)	4.51
2559	HN N H O Br	617.4 (M + H)	4.15
2560	CF <sub>3</sub> CO <sub>2</sub> H	600.6 (M + H)	5.37

Example No.	Structure	ESI-MS	Retention Time (min)
2561	2CF <sub>3</sub> CO <sub>2</sub> H	677.0 (M + H)	4.45
2562	CF <sub>3</sub> CO <sub>2</sub> H	638.6 (M+H)	5.18
2563	2CF <sub>3</sub> CO <sub>2</sub> H	612.6 (M+H)	4.16
2564	CF <sub>3</sub> CO <sub>2</sub> H	580.0 (M + H)	5.01
2565	CF <sub>3</sub> CO <sub>2</sub> H	608.0 (M + H)	5.26
2566	2CF <sub>3</sub> CO <sub>2</sub> H	613.6 (M + H)	4.44

Example No.	Structure	ESI-MS	Retention Time (min)
2567	2CF <sub>3</sub> CO <sub>2</sub> H	639.6 (M + H)	5.48
2568	CF <sub>3</sub> CO <sub>2</sub> H	552.6 (M + H)	4.92
2569	2CF <sub>3</sub> CO <sub>2</sub> H	607.8 (M + H)	4.33
2570	2CF <sub>3</sub> CO <sub>2</sub> H	667.4 (M + H)	4.67
2571	CF <sub>3</sub> CO <sub>2</sub> H	628.6 (M + H)	5.29
2572	2CF <sub>3</sub> CO <sub>2</sub> H	602.6 (M + H)	4.35

Example No.	Structure	ESI-MS	Retention Time (min)
2573	HN N N H N N N N N N N N N N N N N N N	570.6 (M + H)	5.23
2574	CF <sub>3</sub> CO <sub>2</sub> H	805.4 (M + H)	4.91
2575	2CF <sub>3</sub> CO <sub>2</sub> H	730.8 (M + H)	4.47
2576	CF <sub>3</sub> CO <sub>2</sub> H	771.6 (M+H)	4.93
2577	CF <sub>3</sub> CO <sub>2</sub> H	745.6 (M + H)	5.01
2578	CF <sub>3</sub> CO <sub>2</sub> H	580.8 (M+H)	5.18

Example No.	Structure	ESI-MS	Retention Time (min)
2579	2CF <sub>3</sub> CO <sub>2</sub> H	621.8 (M + H)	5.27
2580	CF <sub>3</sub> CO <sub>2</sub> H	587.6 (M + H)	4.51
2581	2CF <sub>3</sub> CO <sub>2</sub> H	584.6 (M + H)	4.21
2582	CF <sub>3</sub> CO <sub>2</sub> H	582.8 (M + H)	5.03
2583	CF <sub>3</sub> CO <sub>2</sub> H	653.8 (M + H)	4.90
2584	CF <sub>3</sub> CO <sub>2</sub> H	604.6 (M + H)	5.33

Example No.	Structure	ESI-MS	Retention Time (min)
2585	2CF <sub>3</sub> CO <sub>2</sub> H	645.6 (M + H)	5.41
2586	CF <sub>3</sub> CO <sub>2</sub> H	458.6 (M + H)	4.39
2587	HN N H O O F	458.6 (M + H)	4.40
2588	CF <sub>3</sub> CO <sub>2</sub> H	474.6 (M + H)	4.39
2589	HN N H O CI  CF <sub>3</sub> CO <sub>2</sub> H	474.6 (M + H)	4.58
2590	CF <sub>3</sub> CO <sub>2</sub> H	542.6 (M + H)	4.79

Example No.	Structure	ESI-MS	Retention Time (min)
2591	CF <sub>3</sub> CO <sub>2</sub> H	518.6 (M + H)	4.51
2592	CF <sub>3</sub> CO <sub>2</sub> H	500.8 (M + H)	4.33
2593	HN N H H O O F F F CF3CO <sub>2</sub> H	524.6 (M + H)	4.61
2594	HN N H N O F F F F CF3CO₂H	508.6 (M + H)	4.57
2595	CF <sub>3</sub> CO <sub>2</sub> H	496.8 (M + H)	4.87
2596	CF <sub>3</sub> CO <sub>2</sub> H	446.8 (M + H)	4.29

Example No.	Structure	ESI-MS	Retention Time (min)
2597	CF <sub>3</sub> CO <sub>2</sub> H	472.8 (M + H)	4.47
2598	CF <sub>3</sub> CO <sub>2</sub> H	472.8 (M+H)	4.53
2599	CF <sub>3</sub> CO <sub>2</sub> H	488.6 (M + H)	4.55
2600	CF <sub>3</sub> CO <sub>2</sub> H	487.6 (M + H)	4.65
2601	CF <sub>3</sub> CO <sub>2</sub> H	556.6 (M + H)	4.91
2602	CF <sub>3</sub> CO <sub>2</sub> H	532.4 (M + H)	4.61

Example No.	Structure	ESI-MS	Retention Time (min)
2603	CF <sub>3</sub> CO <sub>2</sub> H	514.8 (M + H)	4.43
2604	CF <sub>3</sub> CO <sub>2</sub> H	538.6 (M + H)	4.80
2605	CF <sub>3</sub> CO <sub>2</sub> H	510.6 (M + H)	5.00
2606	CF <sub>3</sub> CO <sub>2</sub> H	460.6 (M + H)	4.40
2607	CF <sub>3</sub> CO <sub>2</sub> H	486.6 (M + H)	4.60
2608	CF <sub>3</sub> CO <sub>2</sub> H	484.6 (M + H)	4.64

Example No.	Structure	ESI-MS	Retention Time (min)
2609	CF <sub>3</sub> CO <sub>2</sub> H	503.6 (M + H)	4.74
2610	CF <sub>3</sub> CO <sub>2</sub> H	502.6 (M + H)	4.86
2611	CF <sub>3</sub> CO <sub>2</sub> H	570.8 (M + H)	5.00
2612	THE SECOND SECON	546.0 (M + H)	4.80
2613	CF <sub>3</sub> CO <sub>2</sub> H	528.8 (M + H)	4.63
2614	CF <sub>3</sub> CO <sub>2</sub> H	552.8 (M + H)	4.90

Example No.	Structure	ESI-MS	Retention Time (min)
2615	CF <sub>3</sub> CO <sub>2</sub> H	536.6 (M + H)	4.82
2616	CF <sub>3</sub> CO <sub>2</sub> H	524.8 (M+H)	5.07
2617	CF <sub>3</sub> CO <sub>2</sub> H	474.6 (M + H)	4.55
2618	CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	4.59
2619	CF <sub>3</sub> CO <sub>2</sub> H	502.6 (M + H)	4.81
2620	CF <sub>3</sub> CO <sub>2</sub> H	552.8 (M + H)	4.94

Example No.	Structure	ESI-MS	Retention Time (min)
2621	CF <sub>3</sub> CO <sub>2</sub> H	482.6 (M + H)	4.73
2622	CF <sub>3</sub> CO <sub>2</sub> H	546.6 (M + H)	4.85
2623	CF <sub>3</sub> CO <sub>2</sub> H	536.4 (M + H)	5.08
2624	CF <sub>3</sub> CO <sub>2</sub> H	630.4 (M + H)	5.11
2625	CF <sub>3</sub> CO <sub>2</sub> H	604.6 (M + H)	5.16
2626	CF <sub>3</sub> CO <sub>2</sub> H	518.6 (M + H)	4.75

Example No.	Structure	ESI-MS	Retention Time (min)
2627	CF <sub>3</sub> CO <sub>2</sub> H	518.6 (M+H)	4.91
2628	2CF <sub>3</sub> CO <sub>2</sub> H	561.6 (M + H)	4.61
2629	CF <sub>3</sub> CO <sub>2</sub> H	500.8 (M + H)	4.75
2630	CF <sub>3</sub> CO <sub>2</sub> H	500.2 (M + H)	4.85
2631	$CL^{3}CO^{5}H$	516.6 (M + H)	<b>4.8</b> 1
2632	CF <sub>3</sub> CO <sub>2</sub> H	516.6 (M + H)	4.95

Example No.	Structure	ESI-MS	Retention Time (min)
2633	CF <sub>3</sub> CO <sub>2</sub> H	584.6 (M+H)	5.18
2634	CF <sub>3</sub> CO <sub>2</sub> H	560.6 (M + H)	4.87
2635	CF <sub>3</sub> CO <sub>2</sub> H	542.8 (M + H)	4.80
2636	CF <sub>3</sub> CO <sub>2</sub> H	566.6 (M + H)	<b>5.01</b>
2637	CF <sub>3</sub> CO <sub>2</sub> H	550.8 (M + H)	4.95
2638	CF <sub>3</sub> CO <sub>2</sub> H	538.6 (M + H)	5.20

Example No.	Structure	ESI-MS	Retention Time (min)
2639	CF <sub>3</sub> CO₂H	488.6 (M + H)	4.65
2640	CF <sub>3</sub> CO <sub>2</sub> H	482.6 (M + H)	4.73
2641	CF <sub>3</sub> CO <sub>2</sub> H	516.8 (M + H)	4.97
2642	CF <sub>3</sub> CO <sub>2</sub> H	566.6 (M + H)	5.12
2643	CF <sub>3</sub> CO <sub>2</sub> H	496.8 (M + H)	4.89
2644	CF <sub>3</sub> CO <sub>2</sub> H	560.0 (M + H)	4.98

Example No.	Structure	ESI-MS	Retention Time (min)
2645	CF <sub>3</sub> CO <sub>2</sub> H	550.6 (M + H)	5.21
2646	CF <sub>3</sub> CO <sub>2</sub> H	532.6 (M + H)	4.99
2647	CF <sub>3</sub> CO <sub>2</sub> H	532.6 (M + H)	5.03
2648	2CF <sub>3</sub> CO <sub>2</sub> H	575.8 (M + H)	4.80
2649	CF <sub>3</sub> CO <sub>2</sub> H	486.6 (M + H)	4.64
2650	CF <sub>3</sub> CO <sub>2</sub> H	486.6 (M + H)	4.66

Example No.	Structure	ESI-MS	Retention Time (min)
<b>2651</b>	CF <sub>3</sub> CO <sub>2</sub> H	502.6 (M + H)	4.72
2652	CF <sub>3</sub> CO <sub>2</sub> H	502.6 (M + H)	4.87
2653	HN N N N N N N N N N N N N N N N N N N	570.6 (M + H)	5.03
2654	CF <sub>3</sub> CO <sub>2</sub> H	546.6 (M + H)	4.77
2655	CF <sub>3</sub> CO <sub>2</sub> H	528.8 (M + H)	4.68
2656	CF <sub>3</sub> CO <sub>2</sub> H	552.8 (M + H)	4.89

Example No.	Structure	ESI-MS	Retention Time (min)
2657	HN N N N N N N N N N N N N N N N N N N	536.6 (M + H)	4.85
2658	HN N H O O O O O O O O O O O O O O O O O	524.8 (M + H)	5.15
2659	HN N N H O O O O O O O O O O O O O O O O	474.8 (M + H)	4.63
2660	CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	4.61
2661	HN H O CI  CF <sub>3</sub> CO <sub>2</sub> H	502.6 (M + H)	4.86
2662	HN N N N N N N N N N N N N N N N N N N	546.6 (M + H)	4.64

Example No.	Structure	ESI-MS	Retention Time (min)
2663	HN N N H O CI CI CF3CO <sub>2</sub> H	536.4 (M + H)	4.81
2664	HN H H H O F F Br	630.4 (M + H)	4.85
2665	HN N N N N N N N N N N N N N N N N N N	604.6 (M + H)	4.87
2666	HN N H O O O O O O O O O O O O O O O O O	518.6 (M + H)	4.67
2667	CF <sub>3</sub> CO <sub>2</sub> H	518.6 (M + H)	4.90
2668	2CF <sub>3</sub> CO <sub>2</sub> H	561.6 (M + H)	4.64

Example No.	Structure	ESI-MS	Retention Time (min)
2669	CF <sub>3</sub> CO <sub>2</sub> H	500.8 (M + H)	4.73
2670	HN N N N N N N N N N N N N N N N N N N	500.8 (M + H)	4.74
2671	CF <sub>3</sub> CO <sub>2</sub> H	516.6 (M + H)	4.89
2672	CF <sub>3</sub> CO <sub>2</sub> H	516.6 (M + H)	4.93
2673	HN N N H N S Br	560.0 (M + H)	4.89
2674	CF <sub>3</sub> CO <sub>2</sub> H	542.8 (M + H)	4.76

Example No.	Structure	ESI-MS	Retention Time (min)
2675	HNN H O OFF  CF3CO₂H	566.6 (M + H)	5.03
2676	HN N H O F F F F O CF <sub>3</sub> CO <sub>2</sub> H	550.8 (M + H)	4.96
2677	HN N H O O O O O O O O O O O O O O O O O	538.8 (M + H)	5.25
2678	HN N N N N N N N N N N N N N N N N N N	488.6 (M+H)	4.67
2679	CF <sub>3</sub> CO <sub>2</sub> H	482.4 (M + H)	4.71
2680	HN N N H O CI	516.6 (M + H)	4.95

Example No.	Structure	ESI-MS	Retention Time (min)
2681	CF <sub>3</sub> CO <sub>2</sub> H	566.8 (M + H)	5.07
2682	HN N N N N N N N N N N N N N N N N N N	496.8 (M + H)	4.83
2683	HN N N N N N N N N N N N N N N N N N N	560.6 (M + H)	5.01
2684	CF <sub>3</sub> CO <sub>2</sub> H	550.6 (M + H)	5.07
2685	HN N H HN S OF F Br	644.6 (M + H)	5.29
2686	HN N N N N N N N N N N N N N N N N N N	618.6 (M + H)	5.25

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Example No.	Structure	ESI-MS	Retention Time (min)
2687	HN 2 NH HZ O CF3CO2H	532.6 (M + H)	5.01
2688	CF <sub>3</sub> CO <sub>2</sub> H	532.6 (M + H)	5.04
2689	HN N H N O N N N N N N N N N N N N N N N	575.8 (M + H)	4.75
2690	CF <sub>3</sub> CO <sub>2</sub> H	484.6 (M + H)	4.51
2691	CF <sub>3</sub> CO <sub>2</sub> H	500.8 (M + H)	4.59
2692	CF <sub>3</sub> CO <sub>2</sub> H	500.8 (M + H)	4.71

Example No.	Structure	ESI-MS	Retention Time (min)
2693	ST S	544.6 (M + H)	4.63
2694	CF <sub>3</sub> CO <sub>2</sub> H	526.8 (M+H)	4.55
2695	CF <sub>3</sub> CO <sub>2</sub> H	550.6 (M+H)	4.79
2696	CF <sub>3</sub> CO <sub>2</sub> H	534.6 (M + H)	4.69
2697	CF <sub>3</sub> CO <sub>2</sub> H	522.4 (M + H)	5.03
2698	CF <sub>3</sub> CO <sub>2</sub> H	472.8 (M + H)	4.43

Example No.	Structure	ESI-MS	Retention Time (min)
2699	CF <sub>3</sub> CO <sub>2</sub> H	466.6 (M + H)	4.50
2700	HNN N H O F F CF <sub>3</sub> CO <sub>2</sub> H	550.6 (M + H)	4.87
2701	CF <sub>3</sub> CO <sub>2</sub> H	480.6 (M + H)	4.65
2702	CF <sub>3</sub> CO <sub>2</sub> H	544.6 (M + H)	4.75
2703	$\begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \end{array} \\ \end{array} \\ \begin{array}{c} \\ \end{array} \\ \end{array} \\ \begin{array}{c} \\ \end{array} \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	534.6 (M + H)	4.90
2704	HN N N N N N N N N N N N N N N N N N N	628.6 (M + H)	5.08

Example No.	Structure	ESI-MŚ	Retention Time (min)
2705	HN N N N N N N N N N N N N N N N N N N	602.6 (M + H)	5.10
2706	CF <sub>3</sub> CO <sub>2</sub> H	516.8 (M + H)	4.71
2707	CF <sub>3</sub> CO <sub>2</sub> H	516.8 (M + H)	4.81
2708	HN N H N N N N N N N N N N N N N N N N	559.6 (M + H)	4.50
2709	CF <sub>3</sub> CO <sub>2</sub> H	498.8 (M + H)	4.64
2710	CF <sub>3</sub> CO <sub>2</sub> H	498.8 (M + H)	4.73

Example No.	Structure	ESI-MS	Retention Time (min)
2711	CF <sub>3</sub> CO <sub>2</sub> H	514.8 (M + H)	4.87
2712	CF <sub>3</sub> CO <sub>2</sub> H	564.6 (M+H)	4.93
2713	CF <sub>3</sub> CO <sub>2</sub> H	548.6 (M + H)	4.87
2714	CF <sub>3</sub> CO <sub>2</sub> H	536.6 (M + H)	5.19
2715	CF <sub>3</sub> CO <sub>2</sub> H	603.8 (M + H)	4.76
2716	CF <sub>3</sub> CO <sub>2</sub> H	603.4 (M + H)	4.87

Example No.	Structure	ESI-MS	Retention Time (min)
2717	CF <sub>3</sub> CO <sub>2</sub> H	671.6 (M+H)	5.05
2718	+0 = ZT	647.6 (M + H)	4.79
2719	CF <sub>3</sub> CO <sub>2</sub> H	629.8 (M + H)	4.67
2720	CF <sub>3</sub> CO <sub>2</sub> H	653.8 (M + H)	4.91
2721	CF <sub>3</sub> CO <sub>2</sub> H	637.8 (M + H)	4.85
2722	CF <sub>3</sub> CO <sub>2</sub> H	625.8 (M + H)	5.14

Example No.	Structure	ESI-MS	Retention Time (min)
2723	CF <sub>3</sub> CO <sub>2</sub> H	575.6 (M + H)	4.63
2724	CF <sub>3</sub> CO <sub>2</sub> H	569.8 (M + H)	4.66
2725	CF <sub>3</sub> CO <sub>2</sub> H	603.8 (M + H)	4.88
2726	CF <sub>3</sub> CO <sub>2</sub> H	653.8 (M + H)	5.01
2727	CF <sub>3</sub> CO <sub>2</sub> H	583.8 (M + H)	4.77
2728	CF <sub>3</sub> CO <sub>2</sub> H	647 (M + H)	4.92

Example No.	Structure	ESI-MS	Retention Time (min)
2729	CF <sub>3</sub> CO <sub>2</sub> H	637.8 (M + H)	5.13
2730	CF <sub>3</sub> CO <sub>2</sub> H	731.6 (M+H)	5.19
2731	CF <sub>3</sub> CO <sub>2</sub> H	705.8 (M + H)	5.22
2732	CF <sub>3</sub> CO <sub>2</sub> H	619.8 (M + H)	4.91
2733	O D D D D D D D D D D D D D D D D D D D	619.8 (M + H)	4.93
2734	2CF <sub>3</sub> CO <sub>2</sub> H	663.0 (M + H)	4.67

Example No.	Structure	ESI-MS	Refention Time (min)
2735	CF <sub>3</sub> CO <sub>2</sub> H	631.8 (M + H)	5.01
2736	$\begin{array}{c} \text{CF}_3\\ \text{CF}_3\text{CO}_2\text{H} \end{array}$	699.0 (M + H)	5.19
2737	CF <sub>3</sub> CO <sub>2</sub> H	675.8 (M + H)	4.95
2738	CF <sub>3</sub> CO <sub>2</sub> H	657.8 (M+H)	4.81
2739	O NH NH F3C SC	665.8 (M + H)	4.97
2740	CF <sub>3</sub> CO <sub>2</sub> H	653.8 (M + H)	5.27

Example No.	Structure	ESI-MS	Retention Time (min)
2741	O NH	603.4 (M + H)	4.77
2742	ONH NH N N N N N N N N N N N N N N N N N	597.8 (M + H)	4.79
2743	CF <sub>3</sub> CO <sub>2</sub> H	631.8 (M + H)	5.02
2744	CF <sub>3</sub> CO <sub>2</sub> H	681.8 (M + H)	5.14
2745	CF <sub>3</sub> CO <sub>2</sub> H	611.8 (M + H)	4.93
2746	CF <sub>3</sub> CO <sub>2</sub> H	675.0 (M + H)	5.05

Example No.	Structure	ESI-MS	Retention Time (min)
2747	CF <sub>3</sub> CO <sub>2</sub> H	665.8 (M + H)	5.29
2748	CF <sub>3</sub> CO <sub>2</sub> H	759.6 (M+H)	5.31
2749	CF <sub>3</sub> CO <sub>2</sub> H	733.8 (M + H)	5.36
2750	CF <sub>3</sub> CO <sub>2</sub> H	647.8 (M + H)	5.05
2751	CF <sub>3</sub> CO <sub>2</sub> H	647.8 (M + H)	5.08
2752	2CF <sub>3</sub> CO <sub>2</sub> H	691.0 (M + H)	4.89

Example No.	Structure	ESI-MS	Retention Time (min)
2753	CF <sub>3</sub> CO <sub>2</sub> H	559.6 (M + H)	4.51
2754	CF <sub>3</sub> CO <sub>2</sub> H	575.6 (M + H)	4.57
2755	CF <sub>3</sub> CO <sub>2</sub> H	575.6 (M + H)	4.69
2756	CF <sub>3</sub> CO <sub>2</sub> H	619.6 (M+H)	4.63
2757	$ \begin{array}{c} \downarrow O \downarrow O \\ HN \downarrow NH \\ \downarrow N \\ \downarrow $	625.8 (M + H)	4.72
2758	CF <sub>3</sub> CO <sub>2</sub> H	609.8 (M + H)	4.67

Example No.	Structure	ESI-MS	Retention Time (min)
2759	CF <sub>3</sub> CO <sub>2</sub> H	541.8 (M + H)	4.45
2760	CF <sub>3</sub> CO <sub>2</sub> H	625.8 (M + H)	4.38
2761	CF <sub>3</sub> CO <sub>2</sub> H	555.8 (M + H)	4.57
2762	CF <sub>3</sub> CO <sub>2</sub> H	609.8 (M + H)	4.94
2763	$\begin{array}{c} + O \\ + O \\$	677.8 (M + H)	5.05
2764	CF <sub>3</sub> CO <sub>2</sub> H	591.6 (M + H)	4.73

Example No.	Structure	ESI-MS	Retention Time (min)
2765	CF <sub>3</sub> CO <sub>2</sub> H	591.6 (M + H)	4.75
2766	2CF <sub>3</sub> CO <sub>2</sub> H	635.0 (M + H)	4.47
2767	H <sub>2</sub> N NH CI NS O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	503.6 (M + H)	3.83
2768	H <sub>2</sub> N NH CI N NH N SO <sub>2</sub> CI O <sub></sub>	503.6 (M + H)	3.99
2769	H <sub>2</sub> N OF 3  CF <sub>3</sub> CF <sub>3</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	571.6 (M + H)	4.16
2770	H <sub>2</sub> N NH N N N S O <sub>2</sub> Br 2CF <sub>3</sub> CO <sub>2</sub> H	547.6 (M + H)	3.85

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Example No.	Structure	ESI-MS	Retention Time (min)
2771	H <sub>2</sub> N NH	529.6 (M+H)	3.75
2772	H <sub>2</sub> N NH F <sub>3</sub> CO F <sub>3</sub> CO O <sub>2</sub> H	553.8 (M+H)	3.99
2773	H <sub>2</sub> N NH F <sub>3</sub> C H SO <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	537.6 (M + H)	3.93
2774	H <sub>2</sub> N NH N N N N N N N N N N O <sub>2</sub>	525.8 (M + H)	4.22
2775	H <sub>2</sub> N NH NH NH S S S S S S S S S S S S S S S	475.6 (M + H)	3.64
2776	H <sub>2</sub> N NH N N N N N N N N N N N N N N N N N	469.6 (M + H)	3.71

Example No.	Structure	ESI-MS	Retention Time (min)
2777	H <sub>2</sub> N NH S <sub>O<sub>2</sub></sub> CI	503.6 (M+H)	3.97
2778	H <sub>2</sub> N NH OCF <sub>3</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	553.8 (M+H)	4.17
2779	H <sub>2</sub> N NH N N N N N N N N N N N N N O <sub>2</sub>	483.4 (M + H)	3.87
2780	$H_2N$ $NH$ $NH$ $S_{O_2}$ $S_{O_2}$ $S_{O_2}$	547.6 (M + H)	4.04
2781	H <sub>2</sub> N NH CI CI O <sub>2</sub> CI O <sub>3</sub> CO <sub>2</sub> H	537.4 (M + H)	4.23
2782	2CF <sub>3</sub> CO <sub>2</sub> H	631.6 (M + H)	4.23

Example No.	Structure	ESI-MS	Retention Time (min)
2783	H <sub>2</sub> N NH CF <sub>3</sub> CF <sub>3</sub> CF <sub>3</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	605.8 (M + H)	4.41
2784	H <sub>2</sub> N NH O <sub>2</sub>	519.6 (M + H)	4.01
2785	H <sub>2</sub> N NH	519.6 (M + H)	4.07
2786	H <sub>2</sub> N NH S <sub>2</sub> NH S <sub>2</sub> S <sub>2</sub> 3CF <sub>3</sub> CO <sub>2</sub> H	562.6 (M + H)	3.77
2787	H <sub>2</sub> N NH NH NH NH NH NH NH NH NH NH NH NH NH	531.6 (M + H)	3.90
2788	H <sub>2</sub> N CI NH N CI O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	531.6 (M + H)	4.04

Example No.	Structure	ESI-MS	Retention Time (min)
2789	H <sub>2</sub> N NH CF <sub>3</sub> O <sub>2</sub> C <sub>1</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	599.6 (M + H)	4.24
2790	H <sub>2</sub> N NH N N N O <sub>2</sub> B <sub>r</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	575.0 (M+H)	3.95
2791	H <sub>2</sub> N NH N N N N N N N O <sub>2</sub> O <sub>2</sub> O <sub>2</sub>	557.6 (M + H)	3.86
2792	H <sub>2</sub> N NH NH F <sub>3</sub> C O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	565.6 (M + H)	4.03
2793	H <sub>2</sub> N NH N N N N N N N N N N N O <sub>2</sub>	554 (M + H)	4.29
2794	NH NH NH NH NS O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	503.6 (M + H)	3.78

Example No.	Structure	ESI-MS	Retention Time (min)
2795	H <sub>2</sub> N NH NH N S O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	497.6 (M + H)	3.83
2796	H <sub>2</sub> N NH NH SO <sub>2</sub> Ci	531.6 (M+H)	4.05
2797	H <sub>2</sub> N NH N N N OCF <sub>3</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	582.0 (M + H)	4.23
2798	H <sub>2</sub> N NH NH NH NH NH NH NH NH NH N	511 (M+H)	3.95
2799	$H_2N$ $NH$ $H$ $N$	575.6 (M + H)	4.10
2800	H <sub>2</sub> N NH NH NH NH NH NH NH NH NH N	565.0 (M + H)	4.32

Example No.	Structure	ESI-MS	Retention Time (min)
2801	H <sub>2</sub> N NH F <sub>3</sub> CO H H O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	659.6 (M+H)	4.35
2802	H <sub>2</sub> N NH CF <sub>3</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	634.0 (M+H)	4.43
2803	H <sub>2</sub> N NH NH NH NH NH NH NH NH NH NH NH NH S <sub>2</sub>	547.6 (M + H)	4.09
2804	H <sub>2</sub> N NH NH NH NH NH NH NH NH NH NH NH NH NH	547.6 (M + H)	4.15
2805	H <sub>2</sub> N NH NH NH S <sub>2</sub> NN S <sub>3</sub> NN S <sub>4</sub> NN S <sub>2</sub> NN S <sub>2</sub> NN S <sub>3</sub> NN S <sub>4</sub> NN S <sub>4</sub> NN S <sub>5</sub> NN S <sub>4</sub> NN S <sub>5</sub> NN	590.6 (M + H)	3.93
2806	H <sub>2</sub> N <sub>1</sub> NH N N N N N N N N O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	459.6 (M + H)	4.07

Example No.	Structure	ESI-MS	Retention Time (min)
2807	H <sub>2</sub> N <sub>N</sub> H N <sub>1</sub> N <sub>1</sub> N <sub>2</sub> N <sub>2</sub> F O <sub>2</sub> CCF <sub>3</sub> CO <sub>2</sub> H	477.6 (M+H)	4.07
2808	H <sub>2</sub> N <sub>N</sub> H CI N <sub>S</sub> O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	475.6 (M+H)	4.07
2809	$\begin{array}{c} H_2N \\ NH \\ N \\$	475.6 (M+H)	4.23
2810	H <sub>2</sub> N <sub>NH</sub> N S O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	501.8 (M + H)	4.15
2811	H <sub>2</sub> N NH  F <sub>3</sub> C  N  S  2CF <sub>3</sub> CO <sub>2</sub> H	509.4 (M + H)	4.27
2812	H <sub>2</sub> N <sub>N</sub> H N N N S O <sub>2</sub> OCF <sub>3</sub> OCF <sub>3</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	525.6 (M + H)	4.37

Example Ño.	Structure	ESI-MS	Retention Time (min)
2813	H <sub>2</sub> N <sub>-</sub> NH N N O <sub>2</sub> 2CF <sub>3</sub> CO <sub>2</sub> H	519.6 (M+H)	4.25
2814	H <sub>2</sub> N NH  CI  N  O <sub>2</sub> CI  2CF <sub>3</sub> CO <sub>2</sub> H	509.4 (M + H)	4.49
2815	$H_2N_{NH}$ $F_3CO_2H$ $F_3CO_2H$	603.0 (M + H)	4.60
2816	$H_2N_NH$ $N$	577.6 (M + H)	4.72
2817	H <sub>2</sub> N <sub>N</sub> H CH <sub>2</sub> N <sub>N</sub> H N <sub>O<sub>2</sub></sub> 2CF <sub>3</sub> CO <sub>2</sub> H	491 (M + H)	4.31
2818	H <sub>2</sub> N-NH N N N N N N N N N N N N N N N N N N N	491.6 (M + H)	4.33

Example No.	Structure	ESI-MS	Retention Time (min)
2819	H <sub>2</sub> N <sub>N</sub> H N N N N N N N N N N N O <sub>2</sub>	534.6 (M+H)	4.01
2820	H <sub>2</sub> N H H O D D D D D D D D D D D D D D D D D	325.4 (M+H)	3.91
2821	H <sub>2</sub> N H CI	359.4 (M+H)	4.24
2822	H <sub>2</sub> N H O O O O O O O O O O O O O O O O O O	409.4 (M + H)	4.51
2823	H₂N H H₂N H SO O O O O O O O O O O O O O O O O O	339.6 (M + H)	4.09
2824	NH H₂N H O O Br 2HCl	403.4 (M + H)	4.28

Example No.	Structure	ESI-MS	Retention Time (min)
2825	H₂N H CI O CI 2HCI	393.0 (M + H)	4.57
2826	NH H₂N H O F F F F 2HCI	521.6 (M + H)	4.69
2827	H <sub>2</sub> N H	461.6 (M + H)	4.77
2828	H <sub>2</sub> N H NH	375.4 (M + H)	4.33
2829	H <sub>N</sub> N CO. SO. SO. SHCI	375.4 (M + H)	4.39
2830	2HCI	418.8 (M + H)	4.33

Example No.	Structure	ESI-MS	Retention Time (min)
2831	H <sub>2</sub> N H S O F O S	343.4 (M + H)	3.96
2832	H₂N H SO SHCI	343.4 (M + H)	4.03
2833	H₂N H O CI	359.4 (M + H)	4.05
2834	H <sub>2</sub> N H O CI O O CI 2HCI	359.4 (M + H)	4.24
2835	H <sub>2</sub> N H O Br	403.4 (M + H)	4.07
2836	H <sub>2</sub> N HO O	385.4 (M + H)	4.00

Example No.	Structure	ESI-MS	Retention Time (min)
2837	H₂N N H O O F F O O F F O O O O O O O O O O O	409.4 (M + H)	4.32
2838	NH H₂N N N SO F F F	393.6 (M + H)	4.23
2839	H₂N H , O O O O O O O O O O O O O O O O O O	381.6 (M + H)	4.62
2840	H₂N H SO	330.8 (M+H)	3.83
2841	NH H₂N H SO F SO F 2HCI	361.4 (M+H)	4.05
2842	H <sub>2</sub> N H N O F F F CI 2HCI	427.4 (M + H)	4.51

Example No.	Structure	ESI-MS	Retention Time (min)
2843	2CF <sub>3</sub> CO <sub>2</sub> H	458.4 (M + H)	3,22
2844	2CF <sub>3</sub> CO <sub>2</sub> H	415.4 (M + H)	3.01
2845	2CF <sub>3</sub> CO <sub>2</sub> H	432.6 (M + H)	3.26
2846	$\begin{array}{c c} N & N & N \\ N & N & N \\ N & H \end{array}$ $2CF_3CO_2H$	396.2 (M+H)	2.81
2847	2CF <sub>3</sub> CO <sub>2</sub> H	450.0 (M + H)	3.09
2848	2CF <sub>3</sub> CO <sub>2</sub> H	408.4 (M + H)	2.85

Example No.	Structure	ESI-MS	Retention Time (min)
2849	2CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	2.89
2850	2CF <sub>3</sub> CO <sub>2</sub> H	440.0 (M + H)	3.20
2851	2CF <sub>3</sub> CO <sub>2</sub> H	482.4 (M + H)	3.43
2852	2CF <sub>3</sub> CO <sub>2</sub> H	466.4 (M + H)	2.71
2853	2CF <sub>3</sub> CO <sub>2</sub> H	380.2 (M + H)	2.72
2854	2CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	2.91

Example No.	Structure	ESI-MS	Retention Time (min)
2855	2CF <sub>3</sub> CO <sub>2</sub> H	450.0 (M + H)	2.82
2856	2CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	2.69
2857	CI N N H OH 2CF₃CO₂H	440.0 (M + H)	2.85
2858	2CF <sub>3</sub> CO <sub>2</sub> H	550.6 (M + H)	3.80
2859	3CF <sub>3</sub> CO <sub>2</sub> H	441.4 (M+H)	3.03
2860	2CF <sub>3</sub> CO <sub>2</sub> H	446.6 (M + H)	3.41

Example No.	Structure	ESI-MS	Retention Time (min)
2861	2CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	2.91
2862	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	3.05
2863	3CF₃CO₂H	441.4 (M + H)	2.68
2864	3CF <sub>3</sub> CO <sub>2</sub> H	463.4 (M + H)	2.76
2865	2CF <sub>3</sub> CO <sub>2</sub> H	408.4 (M + H)	2.91
2866	NNNN CI CI CI CI 2CF3CO2H	492.2 (M + H)	3.30

Example No.	Structure	ESI-MS	Retention Time (min)
2867	2CF <sub>3</sub> CO <sub>2</sub> H	464.2 (M + H)	2.93
2868	2CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	3.27
2869	2CF <sub>3</sub> CO <sub>2</sub> H	390.6 (M + H)	2.88
2870	2CF <sub>3</sub> CO <sub>2</sub> H	482.2 (M + H)	3.43
2871	2CF <sub>3</sub> CO <sub>2</sub> H	408.4 (M + H)	2.91
2872	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	420.4 (M + H)	2.91

Example No.	Structure	ESI-MS	Retention Time (min)
2873	2CF <sub>3</sub> CO <sub>2</sub> H	468.2 (M + H)	3.09
2874	2CF <sub>3</sub> CO <sub>2</sub> H	406.4 (M + H)	2.80
2875	2CF <sub>3</sub> CO <sub>2</sub> H	464.2 (M + H)	2.97
2876	N N N N N N N N N N N N N N N N N N N	524.6 (M + H)	3.12
2877	2CF <sub>3</sub> CO <sub>2</sub> H	442.4 (M + H)	3.10
2878	2CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	2.90

Example No.	Structure	ESI-MS	Retention Time (min)
2879	2CF <sub>3</sub> CO <sub>2</sub> H	480.2 (M + H)	2.89
2880	2CF <sub>3</sub> CO <sub>2</sub> H	468.2 (M + H)	3.07
2881	NNN NOH OH	422.4 (M + H)	2.61
2882	2CF <sub>3</sub> CO <sub>2</sub> H	450.0 (M+H)	2.93
2883	2CF <sub>3</sub> CO <sub>2</sub> H	404.6 (M + H)	3.01
2884	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	3.08

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Example No.	Structure	ESI-MS	Retention Time (min)
2885	2CF <sub>3</sub> CO <sub>2</sub> H	440.0 (M + H)	3.18
2886	2CF <sub>3</sub> CO <sub>2</sub> H	470.4 (M + H)	3.25
2887	2CF <sub>3</sub> CO <sub>2</sub> H	450.0 (M + H)	3.01
2888	2CF <sub>3</sub> CO <sub>2</sub> H	466.4 (M + H)	3.40
2889	2CF <sub>3</sub> CO <sub>2</sub> H	415.4 (M+H)	2.83
2890	$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	458.4 (M + H)	3.25

Example No.	Structure	ESI-MS	Retention Time (min)
2891	2CF <sub>3</sub> CO <sub>2</sub> H	468.2 (M + H)	3.00
2892	NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	406.4 (M + H)	2.66
2893	2CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.92
2894	3CF <sub>3</sub> CO <sub>2</sub> H	379.4 (M + H)	2.71
2895	$\begin{array}{c} N \\ N \\ N \\ H \end{array}$ $2CF_3CO_2H$	434.4 (M + H)	2.87
2896	2CF <sub>3</sub> CO <sub>2</sub> H	480.2 (M + H)\	3.17

Example No.	Structure	ESI-MS	Retention Time (min)
2897	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	426.2 (M + H)	2.98
2898	2CF <sub>3</sub> CO <sub>2</sub> H	480.2 (M + H)	2.99
2899	$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	528.4 (M+H)	3.15
2900	2CF <sub>3</sub> CO <sub>2</sub> H	458.4 (M + H)	3.19
2901	2CF <sub>3</sub> CO <sub>2</sub> H	480.2 (M + H)	2.92
2902	2CF <sub>3</sub> CO <sub>2</sub> H	470.4 (M + H)	3.27

Example No.	Structure	ESI-MS	Retention Time (min)
2903	N N N N N N N N N N N N N N N N N N N	404.6 (M + H)	2.87
2904	2CF <sub>3</sub> CO <sub>2</sub> H	460.4 (M + H)	3.48
2905	2CF <sub>3</sub> CO <sub>2</sub> H	410.4 (M + H)	2.96
2906	2CF <sub>3</sub> CO <sub>2</sub> H	450.0 (M + H)	3.03
2907	2CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.08
2908	$ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\ N \\ N \end{array} $ $ \begin{array}{c} N \\ N \\$	452.2 (M + H)	2.79

Example No.	Structure	ESI-MS	Retention Time (min)
2909	N N N N S	396.2 (M+H)	2.81
2910	3CF <sub>3</sub> CO <sub>2</sub> H	459.4 (M + H)	3.21
2911	$\begin{array}{c c} N & N & N & N \\ N & N & CI & CI \\ 2CF_3CO_2H \end{array}$	458.2 (M + H)	3.08
2912	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	410.4 (M + H)	2.88
2913	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	426.2 (M + H)	3.01
2914	3CF <sub>3</sub> CO <sub>2</sub> H	429.4 (M + H)	2.97

Example No.	Structure	ESI-MS	Retention Time (min)
2915	3CF <sub>3</sub> CO₂H	507.2 (M + H)	3.53
2916	2CF <sub>3</sub> CO <sub>2</sub> H	522.4 (M + H)	3.56
2917	3CF <sub>3</sub> CO <sub>2</sub> H	483.2 (M+H)	2.80
2918	N N N N N N N N N N N N N N N N N N N	507.2 (M+H)	3.27
2919	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	474.2 (M + H)	3.10
2920	2CF <sub>3</sub> CO <sub>2</sub> H	450.0 (M + H)	3.00

Example No.	Structure	ESI-MS	Retention Time (min)
2921	2CF <sub>3</sub> CO <sub>2</sub> H	498.4 (M + H)	3.15
2922	3CF₃CO₂H	459.4 (M + H)	2.99
2923	$2CF_3CO_2H$	476.0 (M + H)	3.10
2924	$\begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	.518.2 (M + H)	3.10
2925	2CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	3.12
2926	2CF <sub>3</sub> CO <sub>2</sub> H	490.4 (M + H)	3.35

Example No.	Structure	ESI-MS	Retention Time (min)
2927	2CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.11
2928	2CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M + H)	3.29
2929	2CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	3.01
2930	3CF <sub>3</sub> CO <sub>2</sub> H	433.4 (M + H)	2.59
2931	2CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	2.90
2932	2CF <sub>3</sub> CO <sub>2</sub> H	456.2 (M + H)	3.10

Example No.	Structure	ESI-MS	Retention Time (min)
2933	2CF3CO2H	492.2 (M + H)	3.25
2934	2CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	3.11
2935	$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	490.4 (M + H)	3.20
2936	2CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	3.17
2937	$S_{F}$	489.6 (M + H)	3.31
2938	2CF <sub>3</sub> CO <sub>2</sub> H	528.2 (M + H)	3.03

Example No.	Structure	ESI-MS	Retention Time (min)
2939	2CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	2.99
2940	2CF <sub>3</sub> CO <sub>2</sub> H	447.4 (M + H)	2.66
2941	2CF <sub>3</sub> CO <sub>2</sub> H	532.4 (M + H)	3.66
2942	$\begin{array}{c} N \\ N \\ N \\ N \end{array}$ $\begin{array}{c} N \\ N \\ N \end{array}$ $\begin{array}{c} N \\ N \\ N \end{array}$ $\begin{array}{c} O \\ N \\ N \end{array}$	514.4 (M + H)	3.08
2943	N N N N N N N N N N N N N N N N N N N	393.4 (M + H)	2.79
2944	2CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	3.24

Example No.	Structure	ESI-MS	Retention Time (min)
2945	2CF <sub>3</sub> CO <sub>2</sub> H	526.6 (M+H)	3.44
2946	NNN PFF PFF 2CF3CO2H	526.6 (M + H)	3.42
2947	$\begin{array}{c c}  & & & & & & & & & & \\  & & & & & & & &$	490.4 (M + H)	3.35
2948	2CF <sub>3</sub> CO <sub>2</sub> H	462.2 (M + H)	3.43
2949	2CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	3.13
2950	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	458.4 (M + H)	3.10

Example No.	Structure	ESI-MS	Retention Time (min)
2951	2CF <sub>3</sub> CO <sub>2</sub> H	476.4 (M + H)	3.19
2952	N N N N N N N N N N N N N N N N N N N	438.2 (M+H)	2.95
2953	N N N OH OH 2CF <sub>3</sub> CO <sub>2</sub> H	422.4 (M + H)	2.61
2954	$\begin{array}{c c}  & & & & & & & & & & & & & & & & & & &$	458.2 (M + H)	3.07
2955	2CF <sub>3</sub> CO <sub>2</sub> H	470.4 (M + H)	3.45
2956	2CF <sub>3</sub> CO <sub>2</sub> H	471.6 (M + H)	2.88

Example No.	Structure	ESI-MS	Retention Time (min)
2957	2CF₃CO₂H	472.4 (M + H)	3.36
2958	2CF₃CO₂H	450 (M + H)	2.75
2959	2CF₃CO₂H	448.4 (M + H)	3.20
2960	2CF <sub>3</sub> CO <sub>2</sub> H	508.4 (M + H)	3.00
2961	2CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.80
2962	2CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	3.20

Example No.	Structure	ESI-MS	Retention Time (min)
2963	2CF <sub>3</sub> CO <sub>2</sub> H	404.4 (M + H)	2.87
2964	2CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	3.00
2965	N N N N N N N N N N N N N N N N N N N	394.4 (M + H)	2.30
2966	2CF <sub>3</sub> CO <sub>2</sub> H	505.4 (M + H)	2.60
2967	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	3.00
2968	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	436.4 (M + H)	2.71

Example No.	Structure	ESI-MS	Retention Time (min)
2969	2CF <sub>3</sub> CO₂H	432.4 (M + H)	3.30
2970	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	2.95
2971	2CF <sub>3</sub> CO <sub>2</sub> H	415.4 (M + H)	2.79
2972	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	480.2 (M + H)	3.00
2973	2CF <sub>3</sub> CO <sub>2</sub> H	496.2 (M + H)	3.46
2974	2CF <sub>3</sub> CO <sub>2</sub> H	562.2 (M + H)	2.99

Example No.	Structure	ESI-MS	Retention Time (min)
2975	2CF <sub>3</sub> CO <sub>2</sub> H	492.4 (M + H)	3.64
2976	2CF <sub>3</sub> CO <sub>2</sub> H	492.2 (M + H)	3.25
2977	2CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M+H)	3.22
2978	N N N O F F	456.2 (M + H)	3.09
2979	2CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	2.89
2980	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	2.79

Example No.	Structure	ESI-MS	Retention Time (min)
2981	2CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	2.91
2982	3CF <sub>3</sub> CO <sub>2</sub> H	441.4 (M + H)	2.55
2983	2CF <sub>3</sub> CO <sub>2</sub> H	446.4 (M + H)	3.13
2984	3CF <sub>3</sub> CO <sub>2</sub> H	461.4 (M + H)	2.46
2985	2CF <sub>3</sub> CO <sub>2</sub> H	422.2 (M + H)	3.01
2986	2CF3CO2H	510.2 (M + H)	2.85

Example No.	Structure	ESI-MS	Retention Time (min)
2987	2CF <sub>3</sub> CO <sub>2</sub> H	414.4 (M + H)	2.86
2988	2CF <sub>3</sub> CO <sub>2</sub> H	534.2 (M + H)	3.13
2989	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	3.08
2990	$\begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	510.4 (M+H)	3.32
2991	$\begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	510.4 (M + H)	3.17
2992	2CF <sub>3</sub> CO <sub>2</sub> H	476.4 (M + H)	3.17

Example No.	Structure	ESI-MS	Retention Time (min)
2993	NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	476.2 (M + H)	3.21
2994	2CF <sub>3</sub> CO <sub>2</sub> H	454.2 (M + H)	2.77
2995	2CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	2.89
2996	2CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	3.12
2997	$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	496.4 (M + H)	3.29
2998	3CF₃CO₂H	472.6 (M + H)	2.99

Example No.	Structure	ESI-MS	Retention Time (min)
2999	2CF <sub>3</sub> CO <sub>2</sub> H	466.4 (M + H)	3.37
3000	2CF <sub>3</sub> CO <sub>2</sub> H	574.2 (M + H)	3.64
3001	2CF <sub>3</sub> CO <sub>2</sub> H	430.4 (M + H)	3.05
3002	2CF <sub>3</sub> CO <sub>2</sub> H	532.4 (M + H)	4.05
3003	PF OF NNNN NNNN NNNNNNNNNNNNNNNNNNNNNNNN	552.0 (M + H)	3.37
3004	CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	3.51

Example No.	Structure	ESI-MS	Retention Time (min)
3005	CF <sub>3</sub> CO <sub>2</sub> H	454.2 (M + H)	3.91
3006	CF <sub>3</sub> CO <sub>2</sub> H	472.4 (M + H)	4.02
3007	CF <sub>3</sub> CO <sub>2</sub> H	494.4 (M + H)	4.01
3008	CF <sub>3</sub> CO <sub>2</sub> H	537.4 (M + H)	3.77
3009	CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	3.63
3010	CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	3.51

Example No.	Structure	ESI-MS	"Retention Time (min)
3011	CF <sub>3</sub> CO <sub>2</sub> H	396.2 (M + H)	3.47
3012	CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.52
3013	CF <sub>3</sub> CO <sub>2</sub> H	395.4 (M + H)	3.15
3014	CF <sub>3</sub> CO <sub>2</sub> H	460.2 (M + H)	4.03
3015	CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M+H)	3.65
3016	CF <sub>3</sub> CO <sub>2</sub> H	462.2 (M + H)	4.09

Example No.	Structure	ESI-MS	Retention Time (min)
3017	CF <sub>3</sub> CO <sub>2</sub> H	484.2 (M + H)	3.79
3018	CF <sub>3</sub> CO <sub>2</sub> H	498.6 (M + H)	3.88
3019	$\begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	483.2 (M + H)	3.80
3020	CF <sub>3</sub> CO <sub>2</sub> H	478.2 (M + H)	3.49
3021	CF <sub>3</sub> CO <sub>2</sub> H	450.0 (M + H)	3.61
3022	CF <sub>3</sub> CO <sub>2</sub> H	448.2 (M + H)	3.70

Example No.	Structure	ESI-MS	Retention Time (min)
3023	CF <sub>3</sub> CO <sub>2</sub> H	554.4 (M + H)	4.41
3024	CF <sub>3</sub> CO <sub>2</sub> H	598.2 (M + H)	4.03
3025	CF <sub>3</sub> CO <sub>2</sub> H	499.2 (M + H)	3.59
3026	$CF_3CO_2H$	524.6 (M + H)	3.84
3027	2CF <sub>3</sub> CO <sub>2</sub> H	497.4 (M + H)	3.80
3028	CF <sub>3</sub> CO <sub>2</sub> H	410.2 (M + H)	3.43

Example No.	Structure	ESI-MS	Retention Time (min)
3029	CF <sub>3</sub> CO <sub>2</sub> H	468.2 (M + H)	3.77
3030	$\begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	463.2 (M + H)	3.73
3031	CF <sub>3</sub> CO <sub>2</sub> H	490.4 (M + H)	3.91
3032	$CF_3CO_2H$	490.4 (M + H)	3.94
3033	CF <sub>3</sub> CO <sub>2</sub> H	490.4 (M + H)	3.85
3034	$CF_3CO_2H$	490.4 (M + H)	3.87

Example No.	Structure	ESI-MS	Retention Time (min)
3035	$CF_3CO_2H$	490.4 (M + H)	3.63
3036	$CF_3CO_2H$	490.2 (M + H)	3.54
3037	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	540.4 (M + H)	3.95
3038	CF <sub>3</sub> CO <sub>2</sub> H	440.4 (M + H)	3.58
3039	$CF_3CO_2H$	458.4 (M + H)	3.56
3040	$CF_3CO_2H$	476.4 (M + H)	3.83

Example No.	Structure	ESI-MS	Retention Time (min)
3041	CF <sub>3</sub> CO <sub>2</sub> H	490.4 (M + H)	3.82
3042	CF <sub>3</sub> CO <sub>2</sub> H	508.0 (M+H)	3.85
3043	CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	3.71
3044	CF <sub>3</sub> CO <sub>2</sub> H	464.2 (M + H)	3.65
3045	CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	3.47
3046	$CF_3CO_2H$	440.4 (M + H)	3.59

Example No.	Structure	ESI-MS	Retention Time (min)
3047	CF <sub>3</sub> CO <sub>2</sub> H	464.2 (M + H)	3.36
3048	CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	3.39
3049	CF <sub>3</sub> CO <sub>2</sub> H	432.4 (M + H)	3.81
3050	CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	3.69
3051	CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	3.69
3052	CF <sub>3</sub> CO₂H	472.4 (M + H)	4.03

Example No	Structure	ESI-MS	Refention Time (min)
3053	CF <sub>3</sub> CO <sub>2</sub> H	429.2 (M + H)	3.47
3054	CF <sub>3</sub> CO <sub>2</sub> H	488.4 (M + H)	4.60
3055	CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	3.41
3056	CF <sub>3</sub> CO <sub>2</sub> H	530.2 (M + H)	3.83
3057	CF <sub>3</sub> CO <sub>2</sub> H	446.4 (M + H)	4.02
3058	CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	3.70

Example No.	Structure	ESI-MS	Retention Time (min)
3059	CF <sub>3</sub> CO <sub>2</sub> H	472.4 (M + H)	3.55
3060	CF <sub>3</sub> CO <sub>2</sub> H	506.4 (M + H)	3.71
3061	CF <sub>3</sub> CO <sub>2</sub> H	530.2 (M + H)	3.61
3062	CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	4.41
3063	CF <sub>3</sub> CO <sub>2</sub> H	476.4 (M+H)	4.14
3064	CF <sub>3</sub> CO <sub>2</sub> H	502.4 (M+H)	4.83

Example No.	Structure	ESI-MS	Retention Time (min)
3065	CF <sub>3</sub> CO <sub>2</sub> H	480.4 (M + H)	4.09
3066	CF <sub>3</sub> CO <sub>2</sub> H	486.4 (M + H)	3.84
3067	CF <sub>3</sub> CO <sub>2</sub> H	440.4 (M + H)	3.46
3068	$CF_3CO_2H$	494.4 (M + H)	3.79
3069	CF <sub>3</sub> CO <sub>2</sub> H	472.4 (M + H)	3.55
3070	CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	3.63

Example No.	Structure	ESI-MS	Retention Time (min)
3071	CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	3.69
3072	CF <sub>3</sub> CO <sub>2</sub> H	440.4 (M + H)	3.69
3073	CF <sub>3</sub> CO <sub>2</sub> H	440.4 (M + H)	3.66
3074	CF <sub>3</sub> CO <sub>2</sub> H	422.4 (M + H)	3.55
3075	- CF <sub>3</sub> CO <sub>2</sub> H	460.4 (M + H)	4.24
3076	CF <sub>3</sub> CO <sub>2</sub> H	429.2 (M + H)	3.42

Example No.	Structure	ESI-MS	Retention Time (min)
3077	CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.61
3078	$CF_3CO_2H$	488.4 (M + H)	3.86
3079	CF <sub>3</sub> CO <sub>2</sub> H	518.6 (M+H)	4.74
3080	$CF_3CO_2H$	458.2 (M + H)	3.68
3081	CF <sub>3</sub> CO <sub>2</sub> H	410.4 (M + H)	3.58
3082	$CF_3CO_2H$	540.4 (M + H)	4.19

Example No.	Structure	ESI-MS	Retention Time (min)
3083	CF <sub>3</sub> CO <sub>2</sub> H	422.2 (M + H)	3.50
3084	CF <sub>3</sub> CO <sub>2</sub> H	494.4 (M + H)	3.39
3085	CF <sub>3</sub> CO <sub>2</sub> H	440.0 (M + H)	3.55
3086	CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	3.48
3087	CF <sub>3</sub> CO <sub>2</sub> H	454.2 (M + H)	3.75
3088	$CF_3CO_2H$	472.4 (M + H)	3.83

Example No.	Structure	ESI-MS	Retention Time (min)
3089	CF <sub>3</sub> CO <sub>2</sub> H	422.2 (M + H)	3.51
3090	CF <sub>3</sub> CO <sub>2</sub> H	472.4 (M + H)	3.87
3091	CF <sub>3</sub> CO <sub>2</sub> H	500.4 (M + H)	3.03
3092	2CF <sub>3</sub> CO <sub>2</sub> H	447.4 (M + H)	2.59
3093	CF <sub>3</sub> CO <sub>2</sub> H	486.4 (M + H)	3.25
3094	CF <sub>3</sub> CO <sub>2</sub> H	488.4 (M + H)	2.81

Example No.	Structure	ESI-MS	Retention Time (min)
3095	CF <sub>3</sub> CO <sub>2</sub> H	452.4 (M + H)	2.98
3096	CF <sub>3</sub> CO <sub>2</sub> H	496.4 (M + H)	3.29
3097	CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	2.77
3098	CF <sub>3</sub> CO <sub>2</sub> H	458.4 (M + H)	3.06
3099	CF <sub>3</sub> CO <sub>2</sub> H	484.4 (M + H)	3.40
3100	CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	2.69

Example No.	Structure	ESI-MS	Retention Time (min)
3101	2CF <sub>3</sub> CO <sub>2</sub> H	496.4 (M + H)	3.01
3102	CF <sub>3</sub> CO <sub>2</sub> H	483.4 (M + H)	2.79
3103	CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.76
3104	CF <sub>3</sub> CO <sub>2</sub> H	516.2 (M + H)	3.03
3105	CF <sub>3</sub> CO <sub>2</sub> H	480.4 (M + H)	2.41
3106	CF <sub>3</sub> CO <sub>2</sub> H	483.2 (M + H)	2.84

Example No.	Structure	ESI-MS	Retention Time (min)
3107	2CF <sub>3</sub> CO <sub>2</sub> H	455 (M + H)	2.45
3108	2CF <sub>3</sub> CO <sub>2</sub> H	455.2 (M + H)	3.19
3109	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	461.4 (M+H)	2.60
3110	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	470.4 (M + H)	2.74
3111	CF <sub>3</sub> CO <sub>2</sub> H	446.6 (M + H)	2.61
3112	CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	2.35

Example No.	Structure	ESI-MS	Retention Time (min)
3113	CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	3.04
3114	2CF <sub>3</sub> CO₂H	456.2 (M + H)	2.44
3115	2CF <sub>3</sub> CO <sub>2</sub> H	455.2 (M + H)	2.11
3116	$CF_3CO_2H$	454.2 (M + H)	3.21
3117	2CF <sub>3</sub> CO <sub>2</sub> H	433.6 (M + H)	2.34
3118	2CF <sub>3</sub> CO <sub>2</sub> H	444.6 (M+)	2.93

Example No.	Structure	ESI-MS	Retention Time (min)
3119	2CF <sub>3</sub> CO <sub>2</sub> H	421.4 (M + H)	2.23
3120	CF <sub>3</sub> CO <sub>2</sub> H	506.4 (M + H)	3.31
3121	2CF <sub>3</sub> CO₂H	511.6 (M + H)	3.21
3122	$CF_3CO_2H$	479.4 (M + H)	3.60
3123	CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	2.37
3124	$CF_3CO_2H$	516.4 (M + H)	3.02

Example No.	Structure	ESI-MS	Retention Time (min)
3125	CF <sub>3</sub> CO <sub>2</sub> H	394.4 (M + H)	2.45
3126	CF <sub>3</sub> CO₂H	450.2 (M + H)	2.41
3127	2CF <sub>3</sub> CO <sub>2</sub> H	477.0 (M + H)	2.88
3128	2CF <sub>3</sub> CO <sub>2</sub> H	405.6 (M + H)	2.61
3129	CF <sub>3</sub> CO <sub>2</sub> H	472.6 (M + H)	3.17
3130	CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	2.59

Example No.	Structure	ESI-MS	Retention Time (min)
3131	CF <sub>3</sub> CO <sub>2</sub> H	484.2 (M + H)	2.99
3132	2CF <sub>3</sub> CO <sub>2</sub> H	453.0 (M + H)	2.45
3133	CF <sub>3</sub> CO <sub>2</sub> H	488.4 (M + H)	3.59
3134	CF <sub>3</sub> CO <sub>2</sub> H	454.2 (M + H)	2.81
3135	2CF <sub>3</sub> CO <sub>2</sub> H	421.4 (M + H)	2.89
3136	CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	2.53

Example No.	Structure	ESI-MS	Retention Time (min)
3137	2CF <sub>3</sub> CO <sub>2</sub> H	483.2 (M + H)	2.83
3138	CF <sub>3</sub> CO₂H	487.4 (M+2H+)	3.40
3139	CF <sub>3</sub> CO <sub>2</sub> H	445.6 (M + H)	2.36
3140	2CF <sub>3</sub> CO <sub>2</sub> H	453.2 (M+H)	2.46
3141	CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M + H)	2.77
3142	CF <sub>3</sub> CO <sub>2</sub> H	672.2 (M + H)	3.92

Example No.	Structure	ESI-MS	Retention Time (min)
3143	CF <sub>3</sub> CO <sub>2</sub> H	576.2 (M + H)	3.71
3144	2CF <sub>3</sub> CO <sub>2</sub> H	421.2 (M + H)	2.01
3145	$CF_3CO_2H$	494.4 (M + H)	2.77
3146	2CF <sub>3</sub> CO <sub>2</sub> H	405.6 (M + H)	1.99
3147	CF <sub>3</sub> CO <sub>2</sub> H	488.4 (M + H)	3.13
3148	CF <sub>3</sub> CO <sub>2</sub> H	430.4 (M + H)	2.91

Example No.	Structure	ESI-MS	Retention Time (min)
3149	2CF <sub>3</sub> CO <sub>2</sub> H	459.4 (M + H)	2.47
3150	CF <sub>3</sub> CO <sub>2</sub> H	486.6 (M+H)	2.93
3151	CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	3.03
3152	CF <sub>3</sub> CO <sub>2</sub> H	465.2 (M + H)	3.13
3153	2CF <sub>3</sub> CO <sub>2</sub> H	483.4 (M + H)	2.67
3154	CF <sub>3</sub> CO <sub>2</sub> H	556.4 (M + H)	2.84

Example No.	Structure	ESI-MS	Retention Time (min)
3155	2CF <sub>3</sub> CO <sub>2</sub> H	443.4 (M + H)	2.94
3156	CF <sub>3</sub> CO₂H	508.2 (M + H)	3.20
3157	CF <sub>3</sub> CO <sub>2</sub> H	440.0 (M + H)	2.72
3158	CF <sub>3</sub> CO <sub>2</sub> H	532.4 (M + H)	3.58
3159	CF <sub>3</sub> CO <sub>2</sub> H	535.4 (M + H)	3.51
3160	CF <sub>3</sub> CO <sub>2</sub> H	504.4 (M + H)	3.49

Example No.	Structure	ESI-MS	Retention Time (min)
3161	CF <sub>3</sub> CO <sub>2</sub> H	572.4 (M + H)	3.71
3162	CF3CO2H	460.2 (M + H)	3.80
3163	HN N N N N N N N N N N N N N N N N N N	589.2 (M + H)	4.00
3164	CF <sub>3</sub> CO <sub>2</sub> H	492.2 (M + H)	3.90
3165	CF <sub>3</sub> CO <sub>2</sub> H	478.2 (M + H)	3.80
3166	CF <sub>3</sub> CO <sub>2</sub> H	607.6 (M + H)	4.00

Example No.	Structure	ESI-MS	Retention Time (min)
3167	CF <sub>3</sub> CO <sub>2</sub> H	504.2 (M + H)	3.40
3168	CF <sub>3</sub> CO₂H	506.2 (M + H)	3.90
3169	CF <sub>3</sub> CO <sub>2</sub> H	480.2 (M + H)	3.80
3170	CF <sub>3</sub> CO <sub>2</sub> H	466.2 (M + H)	3.70
3171	CF <sub>3</sub> CO <sub>2</sub> H	515.2 (M + H)	3.90
3172	CF <sub>3</sub> CO <sub>2</sub> H	644.2 (M + H)	4.10

Example No.	Structure	ESI-MS	Retention Time (min)
3173	CF <sub>3</sub> CO <sub>2</sub> H	488.2 (M + H)	3.90
3174	CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M+H)	3.80
3175	CF <sub>3</sub> CO <sub>2</sub> H	525.4 (M+H)	3.70
3176	CF <sub>3</sub> CO <sub>2</sub> H	654.2 (M + H)	3.90
3177	CF₃CO₂H	428.2 (M + H)	3.10
3178	CF <sub>3</sub> CO <sub>2</sub> H	414.4 (M + H)	2.90

Example No.	Structure	ESI-MS	Retention Time (min)
3179	2CF <sub>3</sub> CO <sub>2</sub> H	506.4 (M + H)	3.04
3180	2CF <sub>3</sub> CO <sub>2</sub> H	578.8 (M + H)	3.50
3181	2CF <sub>3</sub> CO <sub>2</sub> H	520.6 (M + H)	3.19
3182	2CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	2.80
3183	2CF <sub>3</sub> CO <sub>2</sub> H	494.6 (M + H)	2.66
3184	2CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M + H)	2.66

Example No.	Structure	ESI-MS	Retention Time (min)
3185	2CF <sub>3</sub> CO <sub>2</sub> H	492.6 (M + H)	2.94
3186	2CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	2.65
3187	2CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	2.68
3188	2CF <sub>3</sub> CO <sub>2</sub> H	566.4 (M + H)	3.03
3189	2CF <sub>3</sub> CO <sub>2</sub> H	512.6 (M + H)	2.85
3190	2CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	3.09

Example No.	Structure	ESI-MS	Retention Time (min)
3191	3CF <sub>3</sub> CO <sub>2</sub> H	477.4 (M + H)	2.51
3192	2CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	2.67
3193	2CF <sub>3</sub> CO <sub>2</sub> H	494.6 (M + H)	2.78
3194	2CF <sub>3</sub> CO <sub>2</sub> H	494.6 (M + H)	2.60
3195	2CF <sub>3</sub> CO <sub>2</sub> H	434.6 (M + H)	2.67
3196	2CF <sub>3</sub> CO <sub>2</sub> H	546.4 (M + H)	4.30

Example No.	Structure	ESI-MS	Retention Time (min)
3197	2CF <sub>3</sub> CO <sub>2</sub> H	606.6 (M + H)	3.95
3198	2CF <sub>3</sub> CO <sub>2</sub> H	536.6 (M+H)	3.83
3199	2CF <sub>3</sub> CO <sub>2</sub> H	492.4 (M + H)	2.97
3200	2CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M + H)	2.79
3201	2CF <sub>3</sub> CO <sub>2</sub> H	542.0 (M + H)	2.85
3202	2CF <sub>3</sub> CO <sub>2</sub> H	492.6 (M + H)	2.81

Example No.	Structure	ESI-MS	Retention Time (min)
3203	2CF <sub>3</sub> CO <sub>2</sub> H	590.4 (M + H)	3.02
3204	2CF <sub>3</sub> CO <sub>2</sub> H	502.2 (M + H)	2.91
3205	$2CF_3CO_2H$	480.4 (M + H)	2.51
3206	2CF <sub>3</sub> CO <sub>2</sub> H	536.4 (M + H)	3.21
3207	3CF <sub>3</sub> CO <sub>2</sub> H	443.6 (M + H)	2.66
3208	2CF <sub>3</sub> CO <sub>2</sub> H	536.4 (M + H)	3.08

Example No.	Structure	ESI-MS	Retention Time (min)
3209	$2CF_3CO_2H$	520.0 (M + H)	3.51
3210	2CF <sub>3</sub> CO <sub>2</sub> H	480.4 (M + H)	2.58
3211	N N N N N N N N N N N N N N N N N N N	552.0 (M + H)	3.11
3212	2CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	3.22
3213	2CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	2.70
3214	2CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	2.58

Example No.	Structure	ESI-MS	Retention Time (min)
3215	2CF <sub>3</sub> CO <sub>2</sub> H	480.4 (M + H)	2.73
3216	3CF <sub>3</sub> CO <sub>2</sub> H	429.4 (M + H)	3.29
3217	2CF <sub>3</sub> CO <sub>2</sub> H	480.2 (M + H)	2.78
3218	2CF <sub>3</sub> CO <sub>2</sub> H	522.4 (M + H)	3.77
3219	2CF <sub>3</sub> CO <sub>2</sub> H	450.2 (M + H)	2.57
3220	2CF <sub>3</sub> CO <sub>2</sub> H	498.0 (M + H)	2.97

Example No.	Structure	ESI-MS	Retention Time (min)
3221	2CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M+H)	3.17
3222	2CF <sub>3</sub> CO <sub>2</sub> H	480.0 (M+H)	3.08
3223	2CF <sub>3</sub> CO <sub>2</sub> H	590.2 (M + H)	4.20
3224	NNNN Br HOBR 2CF <sub>3</sub> CO <sub>2</sub> H	576.4 (M + H)	3.95
3225	2CF <sub>3</sub> CO <sub>2</sub> H	512.4 (M + H)	3.86
3226	CF <sub>3</sub> CO <sub>2</sub> H	472.4 (M + H)	3.07

Example No.	Structure	ESI-MS	Retention Time (min)
3227	CF <sub>3</sub> CO <sub>2</sub> H	540.6 (M + H)	3.75
3228	CF <sub>3</sub> CO <sub>2</sub> H	464.4 (M + H)	3.07
3229	2CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M + H)	3.40
3230	$ \begin{array}{c c} N & N & N & N & N & N & N & N & N & N &$	552.6 (M + H)	3.50
3231	$2CF_3CO_2H$	590.2 (M + H)	3.60
3232	2CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	3.25

Example No.	Structure	ESI-MS	Retention Time (min)
3233	2CF <sub>3</sub> CO <sub>2</sub> H	382.2 (M + H)	2.67
3234	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	3.05
3235	2CF <sub>3</sub> CO <sub>2</sub> H	394.4 (M + H)	2.75
3236	2CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.82
3237	2CF <sub>3</sub> CO <sub>2</sub> H	426.4 (M + H)	3.17
3238	2CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	3.44

Example No.	Structure	ESI-MS	Retention Time (min)
3239	2CF <sub>3</sub> CO <sub>2</sub> H	452.2 (M + H)	2.69
3240	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	2.80
3241	2CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	2.79
3242	2CF <sub>3</sub> CO <sub>2</sub> H	536.4 (M + H)	3.75
3243	3CF <sub>3</sub> CO <sub>2</sub> H	427.2 (M + H)	2.95
3244	2CF <sub>3</sub> CO <sub>2</sub> H	432.4 (M + H)	3.41

Example No.	Structure	ESI-MS	Retention Time (min)
3245	2CF <sub>3</sub> CO <sub>2</sub> H	434.2 (M + H)	2.84
3246	2CF <sub>3</sub> CO <sub>2</sub> H	410.2 (M + H)	3.02
3247	3CF <sub>3</sub> CO <sub>2</sub> H	427.4 (M + H)	2.61
3248	2CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	2.91
3249	FFF F NNNN 2CF <sub>3</sub> CO <sub>2</sub> H	460.4 (M + H)	3.19
3250	2CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	2.79

Example No.	Structure	ESI-MS	Retention Time (min)
3251	2CF <sub>3</sub> CO <sub>2</sub> H	394.4 (M + H)	2.83
3252	2CF <sub>3</sub> CO <sub>2</sub> H	454.2 (M + H)	3.08
3253	2CF <sub>3</sub> CO <sub>2</sub> H	392.4 (M + H)	2.73
3254	2CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	2.92
3255	3CF <sub>3</sub> CO <sub>2</sub> H	510.4 (M + H)	3.17
3256	2CF <sub>3</sub> CO <sub>2</sub> H	428.2 (M + H)	3.08

Example No.	Structure	ESI-MS	Retention Time (min)
3257	2CF <sub>3</sub> CO <sub>2</sub> H	392.4 (M + H)	2.63
3258	$\begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	412.2 (M + H)	2.83
3259	NNN HOO	466.4 (M + H)	2.89
3260	N H Br 2CF <sub>3</sub> CO <sub>2</sub> H	454.0 (M + H)	3.05
3261	2CF <sub>3</sub> CO <sub>2</sub> H	408.2 (M + H)	2.53
3262	2CF <sub>3</sub> CO <sub>2</sub> H	390.4 (M + H)	2.92

Example No.	Structure	ESI-MS	Retention Time (min)
3263	2CF <sub>3</sub> CO <sub>2</sub> H	422.2 (M + H)	3.05
3264	2CF <sub>3</sub> CO <sub>2</sub> H	456.4 (M + H)	3.25
3265	2CF <sub>3</sub> CO <sub>2</sub> H	452.2 (M + H)	3.37
3266	2CF <sub>3</sub> CO <sub>2</sub> H	401.2 (M + H)	2.76
3267	2CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	3.17
3268	OH NNNN NH 2CF <sub>3</sub> CO <sub>2</sub> H	392.4 (M + H)	2.61

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Example No.	Structure	ESI-MS	Retention Time (min)
3269	2CF <sub>3</sub> CO <sub>2</sub> H	406.4 (M + H)	2.86
3270	3CF <sub>3</sub> CO <sub>2</sub> H	365.4 (M + H)	2.61
3271	2CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.83
3272	2CF <sub>3</sub> CO <sub>2</sub> H	466.4 (M + H)	3.10
3273	2CF <sub>3</sub> CO <sub>2</sub> H	514.4 (M + H)	3.13
3274	2CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	3.17

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Example No.	Structure	ESI-MS	Retention Time (min)
3275	2CF <sub>3</sub> CO <sub>2</sub> H	466.4 (M + H)	2.86
3276	2CF <sub>3</sub> CO <sub>2</sub> H	456.2 (M + H)	3.22
3277	2CF <sub>3</sub> CO <sub>2</sub> H	446.6 (M + H)	3.45
3278	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	2.95
3279	2CF <sub>3</sub> CO <sub>2</sub> H	420.2 (M + H)	3.03
3280	2CF <sub>3</sub> CO <sub>2</sub> H	382.4 (M + H)	2.72

Example No.	Structure	ESI-MS	Retention Time (min)
3281	2CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	3.07
3282	2CF <sub>3</sub> CO <sub>2</sub> H	396.2 (M+H)	2.79
3283	2CF <sub>3</sub> CO <sub>2</sub> H	412.4 (M + H)	2.95
3284	32CF <sub>3</sub> CO <sub>2</sub> H	493.4 (M + H)	3.57
3285	CI S S N H O S 2CF <sub>3</sub> CO <sub>2</sub> H	508.2 (M + H)	3.52
3286	2CF <sub>3</sub> CO <sub>2</sub> H	469.6 (M + H)	2.76

Example No.	Structure	ESI-MS	Retention Time (min)
3287	3CF <sub>3</sub> CO <sub>2</sub> H	493.2 (M + H)	3.17
3288	2CF <sub>3</sub> CO <sub>2</sub> H	460.2 (M + H)	2.95
3289	2CF <sub>3</sub> CO <sub>2</sub> H	484.2 (M + H)	3.14
3290	2CF <sub>3</sub> CO <sub>2</sub> H	462.2 (M + H)	3.11
3291	$\begin{array}{c c} & & & \\ & & & \\ N & & \\ N & & & \\ N &$	462.2 (M + H)	3.11
3292	FFF F NNNN 2CF3CO2H	476.4 (M + H)	3.39

Example No.	Structure	ESI-MS	Retention Time (min)
3293	2CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	3.05
3294	2CF <sub>3</sub> CO <sub>2</sub> H	464.2 (M + H)	3.21
3295	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	2.94
3296	3CF <sub>3</sub> CO <sub>2</sub> H	419.4 (M + H)	2.51
3297	3CF <sub>3</sub> CO <sub>2</sub> H	366.4 (M + H)	2.26
3298	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	2.93

Example No.	Structure	ESI-MS	Retention Time (min)
3299	2CF <sub>3</sub> CO <sub>2</sub> H	442.4 (M + H)	2.97
3300	PFF F NNNN NNNN CI 2CF <sub>3</sub> CO <sub>2</sub> H	478.2 (M + H)	3.19
3301	$\begin{array}{c c} & & & & & & & & & & & & & & & & \\ & & & & $	462.2 (M + H)	3.05
3302	P OH OH 2CF <sub>3</sub> CO <sub>2</sub> H	476.4 (M + H)	3.20
3303	2CF <sub>3</sub> CO <sub>2</sub> H	366.4 (M + H)	2.64
3304	2CF <sub>3</sub> CO <sub>2</sub> H	412.4 (M + H)	2.85

Example No.	Structure	ESI-MS	Retention Time (min)
3305	2CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.67
3306	3CF <sub>3</sub> CO <sub>2</sub> H	449.4 (M + H)	2.74
3307	2CF <sub>3</sub> CO <sub>2</sub> H	394.4 (M + H)	2.86
3308	2CF <sub>3</sub> CO <sub>2</sub> H	478.2 (M + H)	3.38
3309	2CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	3.09
3310	2CF <sub>3</sub> CO <sub>2</sub> H	376.4 (M + H)	2.82

Example No.	Structure	ESI-MS	Retention Time (min)
3311	2CF <sub>3</sub> CO <sub>2</sub> H	406.4 (M + H)	2.87
3312	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	2.91
3313	2CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	3.13
3314	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	2.99
3315	2CF <sub>3</sub> CO <sub>2</sub> H	454.0 (M + H)	2.97
3316	2CF <sub>3</sub> CO <sub>2</sub> H	412.4 (M + H)	2.92

Example No.	Structure	ÉSI-MS	Retention Time (min)
3317	2CF <sub>3</sub> CO <sub>2</sub> H	466.4 (M + H)	2.95
3318	2CF <sub>3</sub> CO <sub>2</sub> H	390.4 (M + H)	2.95
3319	2CF <sub>3</sub> CO <sub>2</sub> H	396.2 (M + H)	2.89
3320	2CF <sub>3</sub> CO <sub>2</sub> H	438.2 (M + H)	2.76
3321	3CF <sub>3</sub> CO <sub>2</sub> H	445.4 (M + H)	3.16
3322	N N N N N N N N N N N N N N N N N N N	415.4 (M + H)	2.96

Example No.	Structure	ESI-MS	Retention Time (min)
3323	3CF <sub>3</sub> CO <sub>2</sub> H	445.4 (M + H)	2.96
3324	PHO HO CI  2CF <sub>3</sub> CO <sub>2</sub> H	504.2 (M + H)	3.11
3325	2CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.17
3326	FFF NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	476.2 (M + H)	3.27
3327	2CF <sub>3</sub> CO <sub>2</sub> H	514.4 (M + H)	3.07
3328	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	462.2 (M + H)	2.99

Example No.	Structure	ESI-MS	Retention Time (min)
3329	2CF <sub>3</sub> CO <sub>2</sub> H	433.2 (M + H)	2.63
3330	CI S N N N N N 2CF <sub>3</sub> CO <sub>2</sub> H	518.4 (M + H)	3.63
3331	PHO O Br	500.4 (M+H)	3.09
3332	3CF <sub>3</sub> CO <sub>2</sub> H	379.4 (M + H)	2.77
3333	FF F O F O T O T O T O T O T O T O T O T	460.2 (M+H)	3.31
3334	PFF FF 2CF <sub>3</sub> CO <sub>2</sub> H	512.4 (M + H)	3.51

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Example No.	Structure	ESI-MS	Retention Time (min)
3335	$\begin{array}{c} & & & \\ & & \\ & & \\ N \\ N \\ N \\ H \end{array}$ $\begin{array}{c} & \\ & \\ \\ & \\ \end{array}$ $\begin{array}{c} & \\ & \\ \\ & \\ \end{array}$ $\begin{array}{c} & \\ & \\ \\ & \\ \end{array}$ $\begin{array}{c} & \\ & \\ \\ & \\ \end{array}$ $\begin{array}{c} & \\ & \\ \\ \end{array}$ $\begin{array}{c} & \\ & \\ \\ \end{array}$ $\begin{array}{c} & \\ & \\ \end{array}$	512.6 (M + H)	3.51
3336	2CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	3.39
3337	2CF <sub>3</sub> CO <sub>2</sub> H	448.4 (M + H)	3.42
3338	2CF <sub>3</sub> CO <sub>2</sub> H	404.4 (M + H)	3.17
3339	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	444.4 (M + H)	3.13
3340	2CF <sub>3</sub> CO <sub>2</sub> H	462.2 (M + H)	3.21

Example No.	Structure	ESI-MS	Retention Time (min)
3341	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	2.97
3342	2CF <sub>3</sub> CO <sub>2</sub> H	444.6 (M + H)	3.16
3343	3CF <sub>3</sub> CO <sub>2</sub> H	469.4 (M + H)	3.47
3344	2CF <sub>3</sub> CO <sub>2</sub> H	456.4 (M + H)	3.47
3345	2CF <sub>3</sub> CO <sub>2</sub> H	457.4 (M + H)	3.09
3346	N N S S S S S S S S S S S S S S S S S S	458.2 (M + H)	3.37

Example No.	Structure	ESI-MS	Retention Time (min)
3347	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	2.83
3348	2CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.30
3349	2CF <sub>3</sub> CO <sub>2</sub> H	494.4 (M + H)	2.98
3350	2CF <sub>3</sub> CO <sub>2</sub> H	406.4 (M + H)	2.80
3351	PFF NNNNN NNNNNNNNNNNNNNNNNNNNNNNNNNNNN	460.4 (M + H)	3.20
3352	2CF <sub>3</sub> CO <sub>2</sub> H	390.4 (M + H)	2.97

Example No.	Structure	ESI-MS	Retention Time (min)
3353	2CF <sub>3</sub> CO <sub>2</sub> H	444.2 (M + H)	3.01
3354	N N N N N N N N N N N N N N N N N N N	380.2 (M + H)	2.27
3355	2CF <sub>3</sub> CO <sub>2</sub> H	491.4 (M + H)	2.55
3356	2CF <sub>3</sub> CO <sub>2</sub> H	410.4 (M + H)	3.05
3357	2CF <sub>3</sub> CO <sub>2</sub> H	422.2 (M + H)	2.69
3358	2CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	3.36

Example No.	Structure	ESI-MS	Retention Time (min)
3359	2CF <sub>3</sub> CO <sub>2</sub> H	410.4 (M + H)	2.97
3360	2CF <sub>3</sub> CO <sub>2</sub> H	401.2 (M + H)	2.81
3361	2CF <sub>3</sub> CO <sub>2</sub> H	466.2 (M + H)	3.01
3362	2CF <sub>3</sub> CO <sub>2</sub> H	482.4 (M + H)	3.43
3363	2CF <sub>3</sub> CO <sub>2</sub> H	548.4 (M + H)	3.03
3364	3CF <sub>3</sub> CO <sub>2</sub> H	543.6 (M + H)	3.95

Example No.	Structure	ESI-MS	Retention Time (min)
3365	2CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M + H)	3.64
3366	2CF <sub>3</sub> CO <sub>2</sub> H	478.4 (M + H)	3.29
3367	$\begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	434.4 (M + H)	3.20
3368	2CF <sub>3</sub> CO <sub>2</sub> H	442.4 (M + H)	3.09
3369	2CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.87
3370	$2CF_3CO_2H$	422.2 (M + H)	2.79

Example No.	Structure	ESI-MS	Retention Time (min)
3371	2CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	2.96
3372	3CF <sub>3</sub> CO <sub>2</sub> H	427.2 (M + H)	2.53
3373	2CF <sub>3</sub> CO <sub>2</sub> H	432.4 (M + H)	3.12
3374	3CF <sub>3</sub> CO <sub>2</sub> H	447.4 (M + H)	2.45
3375	2CF <sub>3</sub> CO <sub>2</sub> H	408.2 (M + H)	3.02
3376	2CF <sub>3</sub> CO <sub>2</sub> H	496.4 (M + H)	2.81

Example No.	Structure	ESI-MS	Retention Time (min)
3377	2CF <sub>3</sub> CO <sub>2</sub> H	400.2 (M + H)	2.81
3378	N N N F I I I I I I I I I I I I I I I I	520.2 (M + H)	3.14
3379	N N S S S S S S S S S S S S S S S S S S	410.4 (M + H)	3.12
3380	2CF <sub>3</sub> CO <sub>2</sub> H	496.4 (M + H)	3.40
3381	2CF <sub>3</sub> CO <sub>2</sub> H	496.4 (M+H)	3.17
3382	2CF <sub>3</sub> CO <sub>2</sub> H	462.2 (M + H)	3.19

Example No.	Structure	ESI-MS	Retention Time (min)
3383	2CF <sub>3</sub> CO <sub>2</sub> H	462.2 (M + H)	3.28
3384	2CF <sub>3</sub> CO <sub>2</sub> H	440.4 (M + H)	2.74
3385	2CF <sub>3</sub> CO <sub>2</sub> H	454.2 (M + H)	2.89
3386	2CF <sub>3</sub> CO <sub>2</sub> H	404.4 (M + H)	3.09
3387	2CF <sub>3</sub> CO <sub>2</sub> H	482.2 (M + H)	3.29
3388	3CF <sub>3</sub> CO <sub>2</sub> H	458.4 (M + H)	2.99

Example No.	Structure	ESI-MS	Retention Time (min)
3389	2CF <sub>3</sub> CO <sub>2</sub> H	452.2 (M + H)	3.40
3390	2CF <sub>3</sub> CO <sub>2</sub> H	560.2 (M + H)	3.73
3391	2CF <sub>3</sub> CO <sub>2</sub> H	416.4 (M + H)	2.99
3392	2CF <sub>3</sub> CO <sub>2</sub> H	518.6 (M + H)	4.08
3393	2CF <sub>3</sub> CO <sub>2</sub> H	436.4 (M + H)	2.95
3394	CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.30

Example No.	Structure	ESI-MS	Retention Time (min)
3395	CF <sub>3</sub> CO <sub>2</sub> H	440.4 (M + H)	4.26
3396	CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	4.39
3397	CF <sub>3</sub> CO <sub>2</sub> H	480.4 (M + H)	4.37
3398	CF <sub>3</sub> CO <sub>2</sub> H	523.6 (M+H)	4.15
3399	CF <sub>3</sub> CO <sub>2</sub> H	404.4 (M + H)	3.46
3400	CF <sub>3</sub> CO <sub>2</sub> H	404.4 (M + H)	3.75

Example No.	Structure	ESI-MS	Retention Time (min)
3401	CF <sub>3</sub> CO <sub>2</sub> H	382.4 (M + H)	3.65
3402	CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	3.81
3403	CF <sub>3</sub> CO <sub>2</sub> H	381.2 (M+H)	3.33
3404	CF <sub>3</sub> CO <sub>2</sub> H	404.4 (M + H)	3.93
3405	O, N=O N H O CF <sub>3</sub> CO <sub>2</sub> H	435.2 (M + H)	3.40
3406	CF <sub>3</sub> CO <sub>2</sub> H	484.4 (M + H)	4.15

Example No.	Structure	ESI-MS	Retention Time (min)
3407	CF <sub>3</sub> CO <sub>2</sub> H	469.4 (M + H)	4.20
3408	CF <sub>3</sub> CO <sub>2</sub> H	436.2 (M + H)	3.88
3409	CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	3.91
3410	CF <sub>3</sub> CO <sub>2</sub> H	558.4 (M + H)	4.92
3411	2CF <sub>3</sub> CO <sub>2</sub> H	483.4 (M + H)	4.08
3412	CF <sub>3</sub> CO <sub>2</sub> H	396.2 (M + H)	3.68

Example No.	Structure	ESI-MS	Retention Time (min)
3413	CF <sub>3</sub> CO <sub>2</sub> H	454.2 (M + H)	3.70
3414	CF <sub>3</sub> CO <sub>2</sub> H	449.4 (M + H)	4.09
3415	CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	4.33
3416	CF <sub>3</sub> CO <sub>2</sub> H	476.4 (M + H)	3.60
3417	CF <sub>3</sub> CO <sub>2</sub> H	476.4 (M + H)	4.23
3418	CF <sub>3</sub> CO <sub>2</sub> H	476.4 (M + H)	4.38

Example No.	Structure	ESI-MS	Retention Time (min)
3419	CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	3.87
3420	CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	3.86
3421	$CF_3CO_2H$	462.2 (M + H)	4.15
3422	CI $N$	424.2 (M + H)	4.06
3423	CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	4.03
3424	CF <sub>3</sub> CO <sub>2</sub> H	434.2 (M + H)	3.75

Example No.	Structure	ESI-MS	Refention Time (min)
3425	CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	3.88
3426	CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	3.64
3427	CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	3.55
3428	CF <sub>3</sub> CO <sub>2</sub> H	418.6 (M + H)	4.17
3429	CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	4.03
3430	CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	4.45

Example No.	Structure	ESI-MS	Retention Time (min)
3431	CF <sub>3</sub> CO <sub>2</sub> H	415.4 (M + H)	3.76
3432	CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	5.06
3433	CF <sub>3</sub> CO <sub>2</sub> H	410.2 (M + H)	3.64
3434	CF <sub>3</sub> CO <sub>2</sub> H	516.2 (M + H)	4.24
3435	CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	4.09
3436	CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	3.89

Example No.	Structure	ESI-MS	Retention Time (min)
3437	CF <sub>3</sub> CO <sub>2</sub> H	516.2 (M + H)	3.88
3438	CF <sub>3</sub> CO <sub>2</sub> H	460.4 (M + H)	4.86
3439	CF <sub>3</sub> CO <sub>2</sub> H	488.4 (M + H)	4.70
3440	CI C	472.4 (M + H)	4.29
3441	CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	3.69
3442	CF <sub>3</sub> CO <sub>2</sub> H	480.2 (M + H)	4.16

Example No.	Structure	ESI-MS	Retention Time (min)
3443	CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	3.91
3444	CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	3.95
3445	CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	4.01
3446	CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	4.00
3447	CF <sub>3</sub> CO <sub>2</sub> H	408.4 (M + H)	3.75
3448	CF <sub>3</sub> CO <sub>2</sub> H	446.6 (M + H)	4.65

Example No.	Structure	ESI-MS	Retention Time (min)
3449	CF <sub>3</sub> CO <sub>2</sub> H	415.2 (M + H)	3.75
3450	CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	3.91
3451	CF <sub>3</sub> CO <sub>2</sub> H	490.4 (M + H)	4.99
3452	CF <sub>3</sub> CO <sub>2</sub> H	504.4 (M + H)	5.16
3453	CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	4.00
3454	CF <sub>3</sub> CO <sub>2</sub> H	396.2 (M + H)	3.85

Example No.	Structure	ESI-MS	Retention Time (min)
3455	CF <sub>3</sub> CO <sub>2</sub> H	526.6 (M + H)	4.69
3456	CF <sub>3</sub> CO <sub>2</sub> H	408.4 (M + H)	3.30
3457	CF <sub>3</sub> CO <sub>2</sub> H	480.4 (M + H)	3.76
3458	CF <sub>3</sub> CO <sub>2</sub> H	426.2 (M + H)	3.86
3459	CF <sub>3</sub> CO <sub>2</sub> H	424.2 (M + H)	3.76
3460	CF <sub>3</sub> CO <sub>2</sub> H	440.4 (M + H)	4.05

Example No.	Structure	ESI-MS	Retention Time (min)
3461	F F CF <sub>3</sub> CO <sub>2</sub> H	458.4 (M + H)	4.25
3462	CF <sub>3</sub> CO <sub>2</sub> H	408.2 (M + H)	3.84
3463	CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	4.25
3464	CF <sub>3</sub> CO <sub>2</sub> H	446.6 (M + H)	4.44
3465	CF <sub>3</sub> CO <sub>2</sub> H	470.2 (M + H)	4.13
3466	CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	4.25

Example No.	Structure	ESI-MS	Retention Time (min)
3467	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	476.2 (M + H)	3.92
3468	CF <sub>3</sub> CO <sub>2</sub> H	526.4 (M + H)	4.31
3469	CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	4.15
3470	CF <sub>3</sub> CO <sub>2</sub> H	462.2 (M <sup>-</sup> + H)	4.48
3471	CF <sub>3</sub> CO <sub>2</sub> H	466.4 (M + H)	4.45
3472	CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	4.29

Example No.	Structure	ESI-MS	Retention Time (min)
3473	CF <sub>3</sub> CO <sub>2</sub> H	486.2 (M + H)	4.32
3474	CF <sub>3</sub> CO <sub>2</sub> H	438.4 (M + H)	4.31
3475	2CF <sub>3</sub> CO <sub>2</sub> H	441.4 (M + H)	3.75
3476	CF <sub>3</sub> CO <sub>2</sub> H	434.4 (M + H)	4.10
3477	CF <sub>3</sub> CO <sub>2</sub> H	469.4 (M + H)	4.19
<b>3478</b>	CF <sub>3</sub> CO <sub>2</sub> H	444.4 (M + H)	4.36

Example No.	Structure	ESI-MS	Retention Time (min)
3479	$\begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	482.4 (M + H)	4.35
3480	CF <sub>3</sub> CO <sub>2</sub> H	482.4 (M + H)	4.64
3481	$CF_3CO_2H$	502.2 (M + H)	4.37
3482	CF <sub>3</sub> CO <sub>2</sub> H	458.2 (M + H)	4.08
3483	$\begin{array}{c c} & & & & \\ & & & & \\ N & & \\ N & & \\ N & & & \\ N & & & \\ N & & \\ N & & & \\ N & & \\ N$	465.4 (M + H)	3.66
3484	CF <sub>3</sub> CO <sub>2</sub> H	404.4 (M + H)	4.03

Example No.	Structure	ESI-MS	Retention Time (min)
3485	CF <sub>3</sub> CO <sub>2</sub> H	469.4 (M + H)	4.23
3486	2CF <sub>3</sub> CO <sub>2</sub> H	447.4 (M + H)	3.94
3487	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	456.2 (M + H)	4.07
3488	CF <sub>3</sub> CO <sub>2</sub> H	432.4 (M + H)	3.99
3489	2CF <sub>3</sub> CO <sub>2</sub> H	441.3 (M + H)	1.70
3490	CF <sub>3</sub> CO <sub>2</sub> H	440.2 (M + H)	4.57

Example No.	Structure	ESI-MS	Retention Time (min)
3491	N N N N N N N N N N N N N N N N N N N	393.4 (M + H)	4.01
3492	$2CF_3CO_2H$	497.4 (M + H)	4.45
3493	CF <sub>3</sub> CO <sub>2</sub> H	470.2 (M + H)	2.40
3494	$ \begin{array}{c c} N & H & NH_2 \\ N & N & O & CI \end{array} $ $ 2CF_3CO_2H $	439.4 (M + H)	1.92
3495	$ \begin{array}{c c} N & H & N \\ N & N & O & OH \end{array} $ $ \begin{array}{c c} 1 & 1 & 1 & 1 & 1 & 1 & 1 & 1 & 1 & 1 &$	407.4 (M + H)	2.30
3496	$\begin{array}{c c} & CI \\ & NH_2 \\ & NH_2 \\ & CF_3CO_2H \end{array}$	469.5 (M + H)	2.27

Example No.	Structure	ESI-MS	Retention Time (min)
3497	$ \begin{array}{c c} N & H & H \\ N & N & CI \\ N & N & CI \end{array} $ $ \begin{array}{c c} N & CI \\ 2CF_3CO_2H \end{array} $	439.4 (M + H)	1.93
3498	2CF <sub>3</sub> CO <sub>2</sub> H	407.4 (M + H)	1.62
3499	CF <sub>3</sub> CO <sub>2</sub> H	416.3 (M + H)	2.34
3500	CF <sub>3</sub> CO <sub>2</sub> H	460.4 (M + H)	2.46
3501	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	465.4 (M + H)	4.13
3502	N N H N N N N N N N N N N N N N N N N N	419.4 (M + H)	3.87

Example No.	Structure	ESI-MS	Retention Time (min)
3503	CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	3.97
3504	CF <sub>3</sub> CO <sub>2</sub> H	406.2 (M + H)	2.18
3505	CF <sub>3</sub> CO <sub>2</sub> H	470.4 (M + H)	4.74
3506	$CF_3CO_2H$	466.4 (M + H)	3.83
3507	NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	441.2 (M + H)	4.38
3508	2CF <sub>3</sub> CO <sub>2</sub> H	441.2 (M + H)	3.62

Example No.	Structure	ESI-MS	Retention Time (min)
3509	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	454.5 (M + H)	2.44
3510	N H O O O O O O O O O O O O O O O O O O	384.4 (M+H)	3.67
3511	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	502.2 (M + H)	4.37
3512	CF <sub>3</sub> CO <sub>2</sub> H	480.5 (M + H)	2.18
3513	N N H O O O O O O O O O O O O O O O O O	380.2 (M + H)	3.81
3514	N N N N N N N N N N N N N N N N N N N	463.2 (M + H)	4.23

Example No.	Structure	ESI-MS	Retention Time (min)
3515	$2CF_3CO_2H$	443.4 (M + H)	2.12
3516	CF <sub>3</sub> CO <sub>2</sub> H	431.1 (M + H)	1.90
3517	$CF_3CO_2H$	474.4 (M + H)	5.05
3518	$CF_3CO_2H$	440.5 (M+H)	2.33
3519	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$	464.5 (M + H)	2.20
3520	N N N H 2CF <sub>3</sub> CO <sub>2</sub> H	391.1 (M + H)	1.59

Example No.	Structure	ESI-MS	Retention Time (min)
3521	CF <sub>3</sub> CO <sub>2</sub> H	474.4 (M + H)	4.53
3522	CF <sub>3</sub> CO <sub>2</sub> H	542.2 (M + H)	2.26
3523	2CF <sub>3</sub> CO <sub>2</sub> H	429.3 (M + H)	2.41
3524	CF <sub>3</sub> CO <sub>2</sub> H	494.6 (M + H)	2.59
3525	CF <sub>3</sub> CO <sub>2</sub> H	518.5 (M + H)	2.96
3526	CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.19

Example No.	Structure	ESI-MS	Retention Time (min)
3527	CF <sub>3</sub> CO <sub>2</sub> H	420.4 (M + H)	2.19
3528	2CF <sub>3</sub> CO <sub>2</sub> H	552.0 (M + H)	2.45
3529	2CF <sub>3</sub> CO <sub>2</sub> H	564.2 (M + H)	2.48
3530	NH NH NH NH PF F F 2CF <sub>3</sub> CO <sub>2</sub> H	606.0 (M + H)	2.86
3531	NH NH NH NH NH NH NH NH NH NH NH NH NH N	586.2 (M + H)	3.20
3532	NH OFF P P P P P P P P P P P P P P P P P P P	614.4 (M + H)	2.76

Example No.	Structure	ESI-MS	Retention Time (min)
3533	CI NH NNN NH 2CF <sub>3</sub> CO <sub>2</sub> H	620.0 (M + H)	2.68
3534	ONH NN NN NN NN NN NN NN NN NN NN NN NN N	616.0 (M+H)	2.56
3535	FFF N N N H 2CF <sub>3</sub> CO <sub>2</sub> H	566.0 (M + H)	2.54
3536	CF <sub>3</sub> CO <sub>2</sub> H	532.2 (M + H)	3.35
3537	2CF <sub>3</sub> CO <sub>2</sub> H	541.4 (M + H)	3.11
3538	CF <sub>3</sub> CO <sub>2</sub> H	505.2 (M + H)	2.98

Example No.	Structure	ESI-MS	Retention Time (min)
3539	CF <sub>3</sub> CO <sub>2</sub> H	556 (M + H)	3.37
3540	CF <sub>3</sub> CO <sub>2</sub> H	516.4 (M + H)	3.39
3541	CF <sub>3</sub> CO <sub>2</sub> H	504.4 (M + H)	3.61
3542	CF <sub>3</sub> CO <sub>2</sub> H	574.4 (M + H)	4.27
3543	CF <sub>3</sub> CO <sub>2</sub> H	508.2 (M + H)	3.17
3544	CF <sub>3</sub> CO <sub>2</sub> H	644.2 (M + H)	3.63

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Example No.	Structure	ESI-MS	Retention Time (min)
3545	CF₃CO₂H	520.4 (M + H)	3.56
3546	CF <sub>3</sub> CO <sub>2</sub> H	504.2 (M + H)	3.25
3547	2CF <sub>3</sub> CO <sub>2</sub> H	513.4 (M + H)	2.86
3548	CF <sub>3</sub> CO <sub>2</sub> H	616.2 (M + H)	3.73
3549	2CF <sub>3</sub> CO <sub>2</sub> H	450.4 (M + H)	2.79
3550	CF <sub>3</sub> CO <sub>2</sub> H	466.2 (M + H)	3.35

Example No.	Structure	ESI-MS	Retention Time (min)
3551	2CF <sub>3</sub> CO <sub>2</sub> H	465.2 (M + H)	3.34
3552	CF <sub>3</sub> CO <sub>2</sub> H	451.2 (M + H)	3.83
3553	CF <sub>3</sub> CO <sub>2</sub> H	451.2 (M + H)	4.10
3554	CF <sub>3</sub> CO <sub>2</sub> H	563.2 (M + H)	4.33
3555	2CF <sub>3</sub> CO <sub>2</sub> H	468.4 (M + H)	3.66
3556	2CF <sub>3</sub> CO <sub>2</sub> H	467.4 (M + H)	2.85

Example No.	Structure	ESI-MS	Retention Time (min)
3557	CF <sub>3</sub> CO <sub>2</sub> H	515.4 (M + H)	3.52
3558	CF <sub>3</sub> CO <sub>2</sub> H	485.2 (M + H)	3.40
3559	2CF <sub>3</sub> CO <sub>2</sub> H	467.4 (M + H)	3.90
3560	CF <sub>3</sub> CO <sub>2</sub> H	473.4 (M + H)	4.17
3561	CF <sub>3</sub> CO <sub>2</sub> H	467.4 (M + H)	3.57
3562	CF₃CO₂H	490.2 (M + H)	4.00

Example No.	Structure	ESI-MS	Retention Time (min)
3563	CF <sub>3</sub> CO <sub>2</sub> H	490.2 (M+H)	3.99
3564	2CF <sub>3</sub> CO <sub>2</sub> H	476.2 (M + H)	3.76
3565	CF <sub>3</sub> CO <sub>2</sub> H	467.2 (M+H)	4.07
3566	CF <sub>3</sub> CO <sub>2</sub> H	528.2 (M + H)	4.53
3567	CF <sub>3</sub> CO <sub>2</sub> H	464.2 (M+H)	4.11
3568	CF <sub>3</sub> CO <sub>2</sub> H	494.0 (M + H)	3.43

Example No.	Structure	ESI-MS	Retention Time (min)
3569	CF <sub>3</sub> CO <sub>2</sub> H	444.0 (M + H)	3.03
3570	CF <sub>3</sub> CO <sub>2</sub> H	552.0 (M + H)	3.30
3571	CF <sub>3</sub> CO <sub>2</sub> H	510.0 (M + H)	3.37
3572	$ \begin{array}{c c}  & F \\  & F \\$	562.0 (M + H)	3.66
3573	CF <sub>3</sub> CO <sub>2</sub> H	622.0 (M + H)	3.61
3574	CF <sub>3</sub> CO <sub>2</sub> H	588.0 (M + H)	3.59

Example No.	Structure	ESI-MS	Retention Time (min)
3575	CF <sub>3</sub> CO <sub>2</sub> H	510.0 (M+H)	3.31
3576	CF <sub>3</sub> CO <sub>2</sub> H	562.0 (M + H)	3.61
3577	CF <sub>3</sub> CO <sub>2</sub> H	510.0 (M + H)	3.35
3578	CF <sub>3</sub> CO <sub>2</sub> H	597.0 (M + H)	3.55
3579	CF <sub>3</sub> CO <sub>2</sub> H	665.0 (M + H)	4.02

## **Assay Procedures**

Compounds identified and disclosed throughout this patent document were assayed according to the protocols found in co-pending patent application having U.S. Serial Number 09/826,509, which is incorporated herein by reference.

#### Example 3580

## Preparation of Endogenous MCH Receptor.

The endogenous human MCH receptor was obtained by PCR using genomic DNA as template and rTth polymerase (Perkin Elmer) with the buffer system provided by the manufacturer, 0.25 µM of each primer, and 0.2 mM of each 4 nucleotides. The cycle condition was 30 cycles of 94°C for 1 min, 56°C for 1 min and 72 °C for 1 min and 20 sec. The 5' PCR primer contained a HindIII site with the sequence:

5'-GTGAAGCTTGCCTCTGGTGCCTGCAGGAGG-3' (SEQ.ID.NO.:1)

and the 3' primer contained an EcoRI site with the sequence:

5'-GCAGAATTCCCGGTGGCGTGTTGTGGTGCCC-3' (SEQ.ID.NO.:2).

The 1.3 kb PCR fragment was digested with HindIII and EcoRI and cloned into HindIII-EcoRI site of CMVp expression vector. Later the cloning work by Lakaye et al showed that there is an intron the coding rgion of the gene. Thus the 5' end of the cDNA was obtained by 5' RACE PCR using Clontech's marathon-ready hypothalamus cDNA as template and the manufacturer's recommended protocol for cycling condition. The 5' RACE PCR for the first and second round PCR were as follows:

5'-CATGAGCTGGTGGATCATGAAGGG-3' (SEQ.ID.NO.:3) and

5'-ATGAAGGGCATGCCCAGGAGAAAG-3' (SEQ.ID.NO.:4).

Nucleic acid and amino acid sequences were thereafter determined and verified with the published sequences found on GenBank having Accession Number U71092.

## Example 3581

#### Preparation of Non-Endogenous, Constitutively Active MCH Receptor.

Preparation of a non-endogenous version of the human MCH receptor was accomplished by creating a MCH-IC3-SST2 mutation (see; SEQ.ID.NO.:7 for nucleic acid sequence, and SEQ.ID.NO.:8 for amino acid sequence). Blast result showed that MCH receptor had the highest sequence homology to known SST2 receptor. Thus the third intracellular loop ("IC3") of MCH receptor was replaced with that of the IC3 of SST2

receptor to see if the chimera would show constitutive activity.

The BamHI-BstEII fragment containing IC3 of MCH receptor was replaced with synthetic oligonucleotides that contained the IC3 of SST2. The PCR sense mutagenesis primer used had the following sequence:

5'-GATCCTGCAGAAGGTGAAGTCCTCTGGAATCCGAGTGGGCTCCTCTAAGAG GAAGAAGTCTGAGAAGAAG-3' (SEQ.ID.NO.:9)

and the antisense primer had the following sequence:

5'-GTGACCTTCTCAGACTTCTTCCTCTTAGAGGAGCCCACTCGGATTCCAG AGGACTTCACCTTCTGCAG-3' (SEQ.ID.NO.:10).

The endogenous MCH receptor cDNA was used as a template.

#### Example 3582

#### **GPCR Fusion Protein Preparation.**

MCH Receptor-Gia Fusion Protein construct was made as follows: primers were designed for endogenous MCH receptor was as follows:

5'-GTGAAGCTTGCCCGGGCAGGATGGACCTGG-3' (SEQ.ID.NO.:11; sense)

5'-ATCTAGAGGTGCCTTTGCTTTCTG-3' (SEQ.ID.NO.:12; anitsense).

The sense and anti-sense primers included the restriction sites for KB4 and XbaI, respectively.

PCR was utilized to secure the respective receptor sequences for fusion within the Giα universal vector disclosed above, using the following protocol for each: 100ng cDNA for MCH receptor was added to separate tubes containing 2ul of each primer (sense and anti-sense), 3uL of 10mM dNTPs, 10uL of 10XTaqPlus<sup>TM</sup> Precision buffer, 1uL of TaqPlus<sup>TM</sup> Precision polymerase (Stratagene: #600211), and 80uL of water. Reaction temperatures and cycle times for MCH receptor were as follows: the initial denaturing step was done it 94°C for five minutes, and a cycle of 94°C for 30 seconds; 55°C for 30 seconds; 72°C for two minutes. A final extension time was done at 72°C for ten minutes. PCR product for was run on a 1% agarose gel and then purified (data not shown). The purified product was digested with KB4 and XbaI (New England Biolabs) and the desired inserts will be isolated, purified and ligated into the Gi universal vector at the respective restriction site. The positive clones was isolated following transformation and determined by restriction enzyme digest; expression using 293 cells was accomplished

following the protocol set forth *infra*. Each positive clone for MCH receptor: Gi-Fusion Protein was sequenced and made available for the direct identification of candidate compounds. (See, SEQ.ID.NO.:13 for nucleic acid sequence and SEQ.ID.NO.:14 for amino acid sequence).

Endogenous version of MCH receptor was fused upstream from the G protein Gi and is located at nucleotide 1 through 1,059 (see, SEE.ID.NO.:13) and amino acid residue 1 through 353 (see, SEQ.ID.NO.:14). With respect to the MCH receptor, 2 amino acid residues (an equivalent of 6 nucleotides) were placed in between the endogenous (or non-endogenous) GPCR and the start codon for the G protein Giα. Therefore, the Gi protein is located at nucleotide 1,066 through 2,133 (see, SEQ.ID.NO.:13) and at amino acid residue 356 through 711 (see, SEQ.ID.NO.:14). Those skilled in the art are credited with the ability to select techniques for constructing a GPCR Fusion Protein where the G protein is fused to the 3' end of the GPCR of interest.

# Example 3583

ASSAY FOR DETERMINATION OF CONSTITUTIVE ACTIVITY OF NON-ENDOGENOUS GPCRS

### A. Intracellular IP<sub>3</sub> Accumulation Assay

On day 1, cells comprising the receptors (endogenous and/or non-endogenous) can be plated onto 24 well plates, usually  $1\times10^5$  cells/well (although his umber can be optimized. On day 2 cells can be transfected by firstly mixing 0.25ug DNA in 50 ul serum free DMEM/well and 2 ul lipofectamine in 50 µl serum-free DMEM/well. The solutions are gently mixed and incubated for 15-30 min at room temperature. Cells are washed with 0.5 ml PBS and 400 µl of serum free media is mixed with the transfection media and added to the cells. The cells are then incubated for 3-4 hrs at  $37^{\circ}$ C/5%CO<sub>2</sub> and then the transfection media is removed and replaced with 1ml/well of regular growth media. On day 3 the cells are labeled with  $^3$ H-myo-inositol. Briefly, the media is removed and the cells are washed with 0.5 ml PBS. Then 0.5 ml inositol-free/serum free media (GIBCO BRL) is added/well with 0.25 µCi of  $^3$ H-myo-inositol/ well and the cells are incubated for 16-18 hrs o/n at  $37^{\circ}$ C/5%CO<sub>2</sub>. On Day 4 the cells are washed with 0.5 ml PBS and 0.45 ml of assay medium is added containing inositol-free/serum free media 10µM pargyline 10 mM lithium chloride or 0.4 ml of assay medium and 50 ul of 10x

ketanserin (ket) to final concentration of 10μM. The cells are then incubated for 30 min at 37°C. The cells are then washed with 0.5 ml PBS and 200 ul of fresh/ice cold stop solution (1M KOH; 18 mM Na-borate; 3.8 mM EDTA) is added/well. The solution is kept on ice for 5-10 min or until cells were lysed and then neutralized by 200 μl of fresh/ice cold neutralization sol. (7.5 % HCL). The lysate is then transferred into 1.5 ml eppendorf tubes and 1 ml of chloroform/methanol (1:2) is added/tube. The solution is vortexed for 15 sec and the upper phase is applied to a Biorad AG1-X8<sup>TM</sup> anion exchange resin (100-200 mesh). Firstly, the resin is washed with water at 1:1.25 W/V and 0.9 ml of upper phase is loaded onto the column. The column is washed with 10 mls of 5 mM myo-inositol and 10 ml of 5 mM Na-borate/60mM Na-formate. The inositol tris phosphates are eluted into scintillation vials containing 10 ml of scintillation cocktail with 2 ml of 0.1 M formic acid/1 M ammonium formate. The columns are regenerated by washing with 10 ml of 0.1 M formic acid/3M ammonium formate and rinsed twice with H<sub>2</sub>O and stored at 4°C in water.

Reference is made to Figure 1. Figure 1 provides an illustration of IP<sub>3</sub> production from several non-endogenous, constitutively activated version of MCH receptor as compared with the endogenous version of this receptor. When compared to the endogenous version of MCH receptor ("MCH-R wt"), MCH-IC3-SST2 evidenced about a 27% increase in IP<sub>3</sub> accumulation.

### Example 3584

## Determination of Compound Using [35S] GTPyS ASSAY

Direct identification of candidate compounds was initially screened using [35S]GTPγS Assay (see, Example 6 of co-pending patent application 09/826,509). Preferably, an MCH receptor: Gi Fusion Protein was utilized, according to Example 6(2) of co-pending patent application 09/826,509. Several lead hits were identified utilizing [35S]GTPγS Assay.

#### Example 3585

## High Throughput Functional Screening: FLIPR™

Subsequently, a functional based assay was used to confirm the lead hits, referred to as FLIPR™ (the Fluorometric Imaging Plate Reader) and FDSS6000™ (Functional

Drug Screening System). This assay utilized a non-endogenous version of the MCH receptor, which was created by swapping the third intracellular loop of the MCH receptor with that of the SST2 receptor (see Example 2(B)(2) of patent application serial number 09/826,509).

The FLIPR and FDSS assays are able to detect intracellular Ca<sup>2+</sup> concentration in cells, which can be utilized to assess receptor activation and determine whether a candidate compound is an, for example, antagonist, inverse agonist or agonist to a Gq-coupled receptor. The concentration of free Ca<sup>2+</sup> in the cytosol of any cell is extremely low, whereas its concentration in the extracellular fluid and endoplasmic reticulum (ER) is very high. Thus, there is a large gradient tending to drive Ca<sup>2+</sup> into the cytosol across both the plasma membrane and ER. The FLIPR<sup>TM</sup> and FDSS6000<sup>TM</sup> systems (Molecular Devices Corporation, HAMAMATSU Photonics K.K.) are designed to perform functional cell-based assays, such as the measurement of intracellular calcium for high-throughput screening. The measurement of fluorescent is associated with calcium release upon activation of the Gq-coupled receptors. Gi or Go coupled receptors are not as easily monitored through the FLIPR<sup>TM</sup> and FDSS6000<sup>TM</sup> systems because these G proteins do not couple with calcium signal pathways.

To confirm the lead hits identified using the [35S]GTPγS assay, Fluorometric Imaging Plate Reader system was used to allow for rapid, kinetic measurements of intracellular fluorescence in 96 well microplates (or 384 well microplates). Simultaneous measurements of fluorescence in all wells can be made by FLIPR or FDSS6000<sup>TM</sup> every second with high sensitivity and precision. These systems are ideal for measuring cell-based functional assays such as monitoring the intracellular calcium fluxes that occur within seconds after activation of the Gq coupled receptor.

Briefly, the cells are seeded into 96 well at 5.5x10<sup>4</sup> cells/well with complete culture media (Dulbecco's Modified Eagle Medium with 10 % fetal bovine serum, 2 mM L-glutamine, 1 mM sodium pyruvate and 0.5 mg/ml G418, pH 7.4) for the assay next day. On the day of assay, the media is removed and the cells are incubated with 100 μl of loading buffer (4 μM Fluo4-AM in complete culture media containing 2.5 mM Probenicid, 0.5 mg/ml and 0.2% bovine serum albumin) in 5% CO<sub>2</sub> incubator at 37°C for 1 hr. The loading buffer is removed, and the cells are washed with wash buffer (Hank's Balanced Salt Solution containing 2.5 mM Probenicid, 20 mM HEPES, 0.5 mg/ml and 0.2% bovine

serum albumin, pH 7.4)). One hundred fifty µl of wash buffer containing various concentrations of test compound are added to the cells, and the cells are incubated in 5% CO<sub>2</sub> incubator at 37°C for 30 min. Fifty µl of wash buffer containing various concentration of MCH are added to each well, and transient changes in [Ca<sup>2+</sup>]i evoked by MCH are monitored using the FLIPR or FDSS in 96 well plates at Ex. 488 nm and Em. 530 nm for 290 second. When antagonist activity of compound is tested, 50 nM of MCH is used.

Use of FLIPR<sup>TM</sup> and FDSS6000<sup>TM</sup> can be accomplished by following manufacturer's instruction (Molecular Device Corporation and HAMAMATSU Photonics K.K.).

The results were shown below.

Compound No.	IC <sub>50</sub> value (nM)
Example 41	6
Example 42	19

It is intended that each of the patents, applications, printed publications, and other published documents mentioned or referred to in this specification be herein incorporated by reference in their entirety.

Those skilled in the art will appreciate that numerous changes and modifications may be made to the preferred embodiments of the invention and that such changes and modifications may be made without departing from the spirit of the invention. It is therefore intended that the appended claims cover all such equivalent variations as fall within the true spirit and scope of the invention.

## What is claimed is:

# 1. A compound of Formula I:

$$Q_L Y_R_1$$

# wherein Q is

# R<sub>1</sub> represents

(i) C<sub>1</sub>-C<sub>16</sub> alkyl,

C<sub>1</sub>-C<sub>16</sub> alkyl substituted by substituent(s) independently selected from

- •halogen,
- •hydroxy,
- •oxo,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by substituent(s) independently selected from
- ••carbocyclic aryl,
- ••heterocyclyl,
- ••heterocyclyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- ·carbocyclyloxy,
- •carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,

```
••C<sub>1</sub>-C<sub>4</sub> alkyl,
```

- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- •••OXO,
- •••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by carbocyclic aryl,
- •••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by halogenated carbocyclic aryl,
- •••carbocyclic arylcarbonylamino,
- •••halogenated carbocyclic arylcarbonylamino,
- •heterocyclyloxy,
- •heterocyclyloxy substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •substituted heterocyclyl-ethylideneaminooxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl substituted by carbocyclic aryl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by substituent(s) independently selected from
- ••cyano,
- ••carbocyclic aryl,
- ••heterocyclyl,
- •mono- or di-carbocyclic arylamino,
- •mono- or di-carbocyclic arylamino substituted by substituent(s) independently selected from
- ••hydroxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylcalbonylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylcalbonylamino substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>3</sub> alkylcalbonylamino,
- ••carbocyclic arylcalbonylamino,
- ••heterocyclyl,
- •C<sub>1</sub>-C<sub>4</sub> alkoxycalbonylamino,
- •heterocyclyl calbonylamino,
- ·carbocyclic arylsulfonylamino,

•carbocyclic arylsulfonylamino substituted by substituent(s) independently selected from

- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by substituent(s) independently selected from
- ••mono- or di-carbocyclic arylaminocarbonyl,
- ••halogenated mono- or di-carbocyclic arylaminocarbonyl,
- ••mono- or di-carbocyclic arylamino,
- ••halogenated mono- or di-carbocyclic arylamino,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by substituent(s) independently selected from
- •••halogen,
- •••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •carbocyclic arylthio,
- •carbocyclic arylthio substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •carbocyclic arylsulfonyl,
- •halogenated carbocyclic arylsulfonyl,
- •heterocyclylthio,
- •heterocyclylthio substituted by substituent(s) independently selected from
- ••nitro.
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkenyl,
- •carbocyclyl,
- •carbocyclyl substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,

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••C<sub>2</sub>-C<sub>3</sub> alkenyl,
••C2-C3 alkenyl substituted by carbocyclic aryl,
••C<sub>2</sub>-C<sub>3</sub> alkenyl substituted by carbocyclic aryl substituted C<sub>1</sub>-C<sub>3</sub> alkylsulfinyl,
 ·carbocyclic aryl.
•carbocyclic aryl substituted by substituent(s) independently selected from
 ••halogen,
 ••hydroxy,
 ..nitro,
••C<sub>1</sub>-C<sub>4</sub> alkyl,
••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
•••halogen,
•••hydroxy,
•••oxo,
•••carbocyclic aryl,
•••heterocyclyl,
•••mono- or di-carbocyclic arylamino,
•••mono- or di-carbocyclic arylamino substituted by substituent(s) independently selected
from
••••halogen,
••••nitro,
••••C_1-C_3 alkyl,
••••C<sub>1</sub>-C<sub>3</sub> alkoxy,
••••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
••C<sub>1</sub>-C<sub>4</sub> alkoxy,
••C<sub>1</sub>-C<sub>4</sub> alkoxy substituted by substituent(s) independently selected from
•••halogen,
•••carbocyclic aryl,
••carbocyclic aryloxy,
••C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
••C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
••mono- or di-carbocyclic arylamino,
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- ••halogenated mono- or di-carbocyclic arylamino,
- ••mono- or di-carbocyclic arylaminocarbonyl,
- ••mono- or di-carbocyclic arylaminocarbonyl substituted by substituent(s) independently selected from
- •••halogen,
- •••nitro,
- •••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •••halogenated C1-C3 alkoxy,
- ••mercapto,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ••C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- ••C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- ••carbocyclic aryl,
- ••heterocyclyl,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••hydroxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl substituted by carbocyclic aryl,
- •• $C_1$ - $C_3$  alkoxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- ··carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (ii) C<sub>2</sub>-C<sub>8</sub> alkenyl,
- C2-C8 alkenyl substituted by substituent(s) independently selected from
- •halogen,
- •oxo,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- ·carbocyclic aryl,

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•carbocyclic aryl substituted by substituent(s) independently selected from
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- ••halogen,
- ••hydroxy,
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••hydroxy,
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- (iii) C2-C4 alkynyl,
- C2-C4 alkynyl substituted by carbocyclic aryl,
- (iv) C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by substituent(s) independently selected from
- •C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkyl substituted by substituent(s) independently selected from
- ••hydroxy,
- ••oxo,
- ••carbocyclic aryl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •mono- or di-C1-C3 alkylamino substituted by carbocyclic aryl,
- •carbocyclic arylcarbonylamino,
- •carbocyclic aryl,
- (v) C<sub>3</sub>-C<sub>6</sub> cycloalkeyl,
- C<sub>3</sub>-C<sub>6</sub> cycloalkeyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- (vi) carbocyclyl,
- carbocyclyl substituted by substituent(s) independently selected from
- hydroxy,

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nitro,
(vii) carbocyclic aryl,
carbocyclic aryl substituted by substituent(s) independently selected from
•halogen,
hydroxy,
·cyano,
•nitro,
•C<sub>1</sub>-C<sub>9</sub> alkyl,
•C<sub>1</sub>-C<sub>9</sub> alkyl substituted by substituent(s) independently selected from
••halogen,
••hydroxy,
••oxo,
••C_1-C_3 alkoxy,
••carbocyclic aryloxy,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino-N-oxy,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by carbocyclic aryl,
••mono- or di-carbocyclic arylamino,
••carbocyclylimino,
••carbocyclylimino substituted by carbocyclic aryl,
••mono- or di-carbocyclic arylamino,
••mono- or di-carbocyclic arylamino substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
••mono- or di-carbocyclic arylaminocarbonyl,
••mono- or di-carbocyclic arylaminocarbonyl substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
••carbocyclic aryl,
••carbocyclic aryl substituted by substituent(s) independently selected from
•••halogen,
•••C<sub>1</sub>-C<sub>3</sub> alkyl,
•••halogenated C1-C3 alkyl,
••heterocyclyl,
••heterocyclyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
•C2-C3 alkenyl,
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•C2-C3 alkenyl substituted by carbocyclic aryl,
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- •C<sub>1</sub>-C<sub>9</sub> alkoxy,
- •C<sub>1</sub>-C<sub>9</sub> alkoxy substituted by substituent(s) independently selected from
- ••hydroxy,
- ••halogen,
- ••carboxy,
- ••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- ••carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- ••heterocyclyl,
- ••heterocyclyl substituted by substituent(s) independently selected from
- •••halogen,
- •••heterocyclyl,
- •••heterocyclyl substituted by substituent(s) independently selected from
- ••••halogen,
- ••••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••••halogenated C1-C3 alkyl,
- •C<sub>2</sub>-C<sub>3</sub> alkenyloxy,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- •carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyloxy,
- •heterocyclyloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- •(carbocyclic aryl)S(O)<sub>2</sub>O,

- ·carboxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
- •mono- or di-carbocyclic arylaminocarbonyl,
- •mono- or di-carbocyclic arylaminocarbonyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- ·amino,
- •mono- or di-C<sub>1</sub>-C<sub>4</sub> alkylamino,
- •mono- or di-C<sub>1</sub>-C<sub>4</sub> alkylamino substituted by cyano,
- •mono- or di-carbocyclic arylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkynylcarbonylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkynylcarbonylamino substituted by carbocyclic aryl,
- ·carbocyclic arylsulfonylamino,
- •carbocyclic arylsulfonylamino substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •(carbocyclic aryl)NHC(O)NH,
- •(carbocyclic aryl)NHC(O)NH substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
- $\bullet$ (carbocyclic aryl)NHC(O)NH substituted by haloganated  $C_1$ - $C_3$  alkoxy,
- carbocyclic aryl diazo,
- •carbocyclic aryl diazo substituted by mono- or di- C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •halogenated C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •carbocyclic arylthio,
- •carbocyclic arylthio substituted by substituent(s) independently selected from
- ••halogen,
- ••cyano,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •heterocyclylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminosulfonyl,
- •carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- $\bullet \cdot C_1 \cdot C_7$  alkyl,

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••halogenated C<sub>1</sub>-C<sub>7</sub> alkyl,
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- ·heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ··carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (viii) heterocyclyl,
- or heterocyclyl substituted by substituent(s) independently selected from
- ·halogen,
- hydroxy,
- •cyano,
- •nitro,
- •C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••hydroxy,
- ••oxo,
- ••C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- ··carbocyclic arylcarbonylamino,
- ••halogenated carbocyclic arylcarbonylamino,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by carbocyclic aryl,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by halogenated carbocyclic aryl,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by substituent(s) independently selected from
- •••halogen,
- •••nitro,
- ••heterocyclyl,
- ••heterocyclyl substituted by substituent(s) independently selected from
- •••halogen,
- •••C<sub>1</sub>-C<sub>3</sub> alkyl,

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•••halogenated C1-C3 alkyl,
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- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- •carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •C<sub>1</sub>-C<sub>4</sub> alkylcarbonylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkenylthio,
- •carbocyclic arylthio,
- ·halogenated carbocyclic arylthio,
- •carbocyclic arylthio substituted by C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •heterocyclylthio,
- •heterocyclylthio substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •carbocyclic arylsulfonyl,
- •halogenated carbocyclic arylsulfonyl,
- •carbocyclic arylsulfonyl substituted by C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••halogen,

- $\cdot \cdot C_1 C_3$  alkyl,
- ••halogenated C1-C3 alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl;

 $R_2$  is -NHNH<sub>2</sub>, -NHNHBoc, -N( $R_{2a}$ )( $R_{2b}$ ), morpholino, 4-acetyl-piperazyl, or 4-phenyl-piperazyl;

wherein R<sub>2a</sub> is H or C<sub>1</sub>-C<sub>3</sub> alkyl;

 $R_{2b}$  is  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkyl substituted by substituent(s) independently selected from •hydroxy,

- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •amino,
- •-NHBoc,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••-SO<sub>2</sub>NH<sub>2</sub>,
- •heterocyclyl,

C<sub>3</sub>-C<sub>6</sub> cycloalkyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from

- •halogen,
- •C<sub>1</sub>-C<sub>3</sub> alkyl,
- $\cdot C_1 C_3$  alkoxy,

or a group of Formula IV;

$$-$$
N $-$ R<sub>3</sub> IV

wherein Boc is carbamic acid tert-butyl ester and R<sub>3</sub> is C<sub>1</sub>-C<sub>3</sub> alkyl or C<sub>1</sub>-C<sub>3</sub> alkyl

substituted by substituent(s) independently selected from

- •carbocyclic aryl,
- •halogenated carbocyclic aryl,
- •carbocyclic aryl substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy;

L is selected from Formula V - XIX;

wherein R<sub>4</sub> is H or C<sub>1</sub>-C<sub>3</sub> alkyl;

 $R_5$  is H,  $C_1$ - $C_3$  alkyl, or  $C_1$ - $C_3$  alkyl substituted by a substituted carbocyclic aryl; Y is -S(O)<sub>2</sub>-, -C(O)-, or -(CH<sub>2</sub>)<sub>m</sub>; m is 0 or 1;

wherein carbocyclic aryl is phenyl, naphthyl, anthranyl, biphenyl, or phenanthryl; carbocyclyl is 10,11-dihydro-5-oxo-dibenzo[a,d]cycloheptyl, 1-oxo-indanyl, 7,7-dimethyl-2-oxo-bicyclo[2.2.1]heptyl, 9*H*-fluorenyl, 9-oxo-fluorenyl, acenaphthyl, anthraquinonyl, *C*-fluoren-9-ylidene, indanyl, indenyl, 1,2,3,4-tetrahydro-naphthyl, or bicyclo[2.2.1]hepteny;

heterocyclyl is 1,2,3,4-tetrahydro-isoquinolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1,3,4-thiadiazolyl, 1,3-dioxo-isoindolyl, 1,3-dioxolanyl, 1*H*-indolyl, 1*H*-pyrrolo[2,3-c]pyridyl, 1*H*-pyrrolyl, 1-oxo-3*H*-isobenzofuranyl, 2,2',5',2"-terthiophenyl, 2,2'-bithiophenyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydrobenzo[1,4]dioxinyl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 2-oxo-benzopyranyl, 2-oxo-pyrrolidinyl, 3,4-dihydro-2*H*-benzo[1,4]oxazinyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4*H*-benzo[1,3]dioxinyl, 4*H*-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-3,4-dihydro-phthalazinyl, 4-oxo-benzopyranyl, 9,10,10-trioxo-thioxanthenyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, cinnolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, isoxazolyl, morpholino, morpholinyl, oxazolyl, oxolanyl, piperazyl, piperidyl, piridyl, pyrazolo[5,1-b]thiazolyl, thiolanyl, 2,3-

dihydro-benzofuryl, tetrahydro-thienyl, or benzofuranyl; halogen is fluoro, chloro, bromo, or iodo; or a salt thereof.

- 2. A compound according to claim 1, wherein Q is Fomura II;  $R_1$  represents
- (i)  $C_1$ - $C_{10}$  alkyl,
- C<sub>1</sub>-C<sub>10</sub> alkyl substituted by substituent(s) independently selected from
- •halogen,
- •oxo,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- ·carbocyclyloxy,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- •••oxo,
- •••carbocyclic arylcarbonylamino,
- •••halogenated carbocyclic arylcarbonylamino,
- ·heterocyclyloxy,
- •heterocyclyloxy substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •substituted heterocyclyl-ethylideneaminooxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl substituted by carbocyclic aryl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl,
- •mono- or di-carbocyclic arylamino,
- •mono- or di-carbocyclic arylamino substituted by hydroxy,
- •C<sub>1</sub>-C<sub>3</sub> alkylcalbonylamino,

•C<sub>1</sub>-C<sub>3</sub> alkylcalbonylamino substituted by substituent(s) independently selected from

- ••C<sub>1</sub>-C<sub>3</sub> alkylcalbonylamino,
- ••carbocyclic arylcalbonylamino,
- ••heterocyclyl,
- •C<sub>1</sub>-C<sub>4</sub> alkoxycalbonylamino,
- •heterocyclyl calbonylamino,
- •carbocyclic arylsulfonylamino,
- •carbocyclic arylsulfonylamino substituted by substituent(s) independently selected from
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by substituent(s) independently selected from
- ••mono- or di-carbocyclic arylaminocarbonyl,
- ••halogenated mono- or di-carbocyclic arylaminocarbonyl,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by substituent(s) independently selected from
- •••halogen,
- •••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •carbocyclic arylthio,
- •carbocyclic arylthio substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •carbocyclic arylsulfonyl,
- •halogenated carbocyclic arylsulfonyl,
- •heterocyclylthio,
- heterocyclylthio substituted by substituent(s) independently selected from
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkenyl,

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·carbocyclyl,
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- •carbocyclyl substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••C<sub>2</sub>-C<sub>3</sub> alkenyl,
- ••C<sub>2</sub>-C<sub>3</sub> alkenyl substituted by carbocyclic aryl,
- ••C<sub>2</sub>-C<sub>3</sub> alkenyl substituted by carbocyclic aryl substituted C<sub>1</sub>-C<sub>3</sub> alkylsulfinyl,
- •carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••hydroxy,
- ••nitro,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- •••OXO,
- •••carbocyclic aryl,
- •••heterocyclyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkoxy,
- ••C<sub>1</sub>-C<sub>4</sub> alkoxy substituted by substituent(s) independently selected from
- •••halogen,
- •••carbocyclic aryl,
- ··carbocyclic aryloxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- ••mono- or di-carbocyclic arylamino,
- ••halogenated mono- or di-carbocyclic arylamino,
- ••mono- or di-carbocyclic arylaminocarbonyl,
- ••mono- or di-carbocyclic arylaminocarbonyl substituted by substituent(s) independently selected from
- •••halogen,
- •••nitro.
- •••C<sub>1</sub>-C<sub>3</sub> alkyl,

- •••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••mercapto,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ••C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- ••C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- ••carbocyclic aryl,
- ••heterocyclyl,
- ·heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••hydroxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl substituted by carbocyclic aryl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- ··carbocyclic aryl,
- ··halogenated carbocyclic aryl,
- (ii) C<sub>2</sub>-C<sub>6</sub> alkenyl,
- C<sub>2</sub>-C<sub>6</sub> alkenyl substituted by substituent(s) independently selected from
- •oxo,
- •carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- $\bullet \bullet C_1 C_3$  alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- •• hydroxy,

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••C<sub>1</sub>-C<sub>3</sub> alkyl,
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- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- (iii) C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by substituent(s) independently selected from
- •C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkyl substituted by substituent(s) independently selected from
- ••oxo,
- ··carbocyclic aryl,
- •carbocyclic arylcarbonylamino,
- •carbocyclic aryl,
- (iv) carbocyclyl,
- carbocyclyl substituted by nitro,
- (v) carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from
- •halogen,
- •hydroxy,
- •cyano,
- •nitro,
- •C<sub>1</sub>-C<sub>9</sub> alkyl,
- •C<sub>1</sub>-C<sub>9</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••oxo,
- ··carbocyclic aryloxy,
- ••carbocyclylimino,
- ••carbocyclylimino substituted by carbocyclic aryl,
- ••mono- or di-carbocyclic arylaminocarbonyl,
- ••mono- or di-carbocyclic arylaminocarbonyl substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by substituent(s) independently selected from
- •••halogen,
- •••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,

- ••heterocyclyl,
- ••heterocyclyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>7</sub> alkoxy,
- •C<sub>1</sub>-C<sub>7</sub> alkoxy substituted by substituent(s) independently selected from
- ••halogen,
- ··carbocyclic aryl,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
- •mono- or di-carbocyclic arylaminocarbonyl,
- •mono- or di-carbocyclic arylaminocarbonyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •amino,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkynylcarbonylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkynylcarbonylamino substituted by carbocyclic aryl,
- •carbocyclic arylsulfonylamino,
- •carbocyclic arylsulfonylamino substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •(carbocyclic aryl)NHC(O)NH,
- •(carbocyclic aryl)NHC(O)NH substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •(carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •halogenated C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •carbocyclic arylthio,
- •carbocyclic arylthio substituted by cyano,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminosulfonyl,
- •carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>7</sub> alkyl,

- ••halogenated C<sub>1</sub>-C<sub>7</sub> alkyl,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (vi) heterocyclyl,
- or heterocyclyl substituted by substituent(s) independently selected from
- •halogen,
- •nitro,
- •C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••oxo,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by carbocyclic aryl,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by halogenated carbocyclic aryl,
- ••carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- ••heterocyclyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkenylthio,
- ·carbocyclic arylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- ·carbocyclic arylsulfonyl,
- ·halogenated carbocyclic arylsulfonyl,
- •carbocyclic arylsulfonyl substituted by C<sub>1</sub>-C<sub>4</sub> alkyl,

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•carbocyclic aryl,
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- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl;

Y is -C(O)-;

wherein carbocyclic aryl is phenyl, naphthyl, anthranyl, or biphenyl;

carbocyclyl is 10,11-dihydro-5-oxo-dibenzo[a,d]cycloheptyl, 1-oxo-indanyl, 9*H*-fluorenyl, 9-oxo-fluorenyl, acenaphthyl, anthraquinonyl, *C*-fluoren-9-ylidene, indanyl, indenyl, 1,2,3,4-tetrahydro-naphthyl, or bicyclo[2.2.1]hepteny;

heterocyclyl is 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1,3-dioxo-isoindolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 1-oxo-3*H*-isobenzofuranyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 2-oxo-pyrrolidinyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-3,4-dihydro-phthalazinyl, 4-oxo-benzopyranyl, 9,10,10-trioxo-thioxanthenyl, 9*H*-xanthenyl, azetidinyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[b]thienyl, cinnolyl, furyl, imidazolyl, isoxazolyl, morpholino, morpholinyl, oxazolyl, oxolanyl, piperidyl, piridyl, pyriazolyl, pyridyl, pyrimidyl, pyrrolidyl, quinolyl, quinoxalyl, thiazolidyl, thiazolyl, thienyl, thiolanyl, tetrahydro-thienyl, benzofuranyl, or benzothiazolyl;

halogen is fluoro, chloro, bromo, or iodo; or a salt thereof.

3. A compound according to claim 2, wherein

R<sub>1</sub> represents

(i)  $C_1$ - $C_{10}$  alkyl,

C<sub>1</sub>-C<sub>10</sub> alkyl substituted by substituent(s) independently selected from

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•oxo,
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- •di-propylaminocarbonyl,
- •methoxy substituted by carbocyclic aryl,
- ·methylcarbonyloxy,
- ·carbocyclic aryloxy,
- •halogenated carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by nitro,
- •heterocyclyloxy substituted by methyl,
- •substituted heterocyclyl-ethylideneaminooxy,
- •tert-butoxycarbonylamino,
- •carbocyclic arylcarbonylamino,
- •C<sub>1</sub>-C<sub>2</sub> alkylthio,
- •C<sub>1</sub>-C<sub>2</sub> alkylthio substituted by substituent(s) independently selected from
- ••halogenated carbocyclic aryl,
- ••carbocyclic aryl substituted by methoxy,
- •carbocyclic arylthio,
- •hetrocyclylthio substituted by nitro,
- •hetrocyclylthio substituted by methyl,
- •C5-C6 cycloalkyl,
- •C<sub>5</sub>-C<sub>6</sub> cycloalkenyl,
- •carbocyclyl substituted by substituent(s) independently selected from
- ••halogen,
- ••methyl,
- ••methoxy,
- ••ethenyl substituted by carbocyclic aryl substituted methylsulfinyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••hydroxy,
- ••nitro,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from

- •••oxo,
- •••carbocyclic aryl,
- •••heterocyclyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>4</sub> alkoxy,
- ••C<sub>1</sub>-C<sub>4</sub> alkoxy substituted by carbocyclic aryl,
- ••carbocyclic aryloxy,
- halogenated mono-carbocyclic arylaminocarbonyl,
- ••carbocyclic aryl,
- ••heterocyclyl,
- •heterocyclyl,
- heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>2</sub> alkyl,
- •• C<sub>1</sub>-C<sub>2</sub> substituted by carbocyclic aryl,
- ••methoxy,
- ••methoxy substituted by carbocyclic aryl,
- ··carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (ii) C<sub>2</sub>-C<sub>3</sub> alkenyl substituted by substituent(s) independently selected from
- ·carbocyclic aryl,
- halogenated carbocyclic aryl,
- •carbocyclic aryl substituted by nitro,
- (iii) C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by substituent(s) independently selected from
- •methyl substituted by oxo,
- •methyl substituted by carbocyclic aryl,
- •carbocyclic aryl,
- (iv) carbocyclyl,
- (v) carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from
- •halogen,
- •hydroxy,

- •cyano,
- •nitro,
- •C<sub>1</sub>-C<sub>9</sub> alkyl,
- •C<sub>1</sub>-C<sub>9</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••oxo,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by methyl,
- ••carbocyclic aryloxy,
- •C<sub>1</sub>-C<sub>7</sub> alkoxy,
- •halogenated C<sub>1</sub>-C<sub>7</sub> alkoxy,
- •C<sub>1</sub>-C<sub>7</sub> alkoxy substituted by carbocyclic aryl,
- methylcarbonyloxy,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by methoxy,
- •amino,
- •di-methylamino,
- •propargynylcarbonylamino substituted by carbocyclic aryl.
- •carbocyclic arylsulfonylamino substituted by methyl,
- •(carbocyclic aryl)NHC(O)NH substituted by halogenated methoxy,
- halogenated methylthio,
- •carbocyclic arylthio substituted by cyano,
- •di-propylamino sulfonyl,
- •mono- or di- ethylaminocarbonyl substituted by carbocyclic aryl,
- •carbocyclic aryl,
- •heterocyclyl substituted by methyl,
- •heterocyclyl substituted by halogenated carbocyclic aryl,
- (vi) heterocyclyl,
- or heterocyclyl substituted by substituent(s) independently selected from
- ·halogen,
- •nitro,
- •C<sub>1</sub>-C<sub>4</sub> alkyl,

•C1-C4 alkyl substituted by substituent(s) independently selected from

- ••halogen,
- methylthio substituted by halogenated carbocyclic aryl,
- ••carbocyclic aryl,
- ··halogenated carbocyclic aryl,
- ••heterocyclyl,
- ·methoxy,
- ·carbocyclic aryloxy,
- ·carbocyclic aryloxy substituted by methyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •propenylthio,
- ·carbocyclic arylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •carbocyclic arylsulfonyl substituted by C<sub>1</sub>-C<sub>4</sub> alkyl,
- ·carbocyclic aryl,
- •halogenated carbocyclic aryl,
- •carbocyclic aryl substituted by methyl,
- •carbocyclic aryl substituted by nitro,
- heterocyclyl;

R<sub>2</sub> is methylamino or dimethylamino;

L is selected from Formula Va, VIIIa, or IXa;

wherein R<sub>4</sub> and R<sub>5</sub> are independently selected from H or C<sub>1</sub>-C<sub>3</sub> alkyl;

wherein carbocyclic aryl is phenyl, naphthyl, anthranyl, or biphenyl;

carbocyclyl is 1-oxo-indanyl, 9-oxo-fluorenyl, indenyl, anthraquinonyl, C-fluoren-

9-ylidene, 1,2,3,4-tetrahydro-naphthyl, or bicyclo[2.2.1]hepteny;

heterocyclyl is 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1,3-dioxo-isoindolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 1-oxo-3*H*-isobenzofuranyl, 2,3-dihydro-benzo[1,4]dioxinyl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4-oxo-3,4-dihydro-phthalazinyl, 4-oxo-benzopyranyl, 9,10,10-trioxo-thioxanthenyl, 9*H*-xanthenyl, azetidinyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[b]thienyl, furyl, imidazolyl, isoxazolyl, morpholino, morpholinyl, oxolanyl, piperidyl, piridyl, pyrazolyl, pyridyl, quinolyl,

quinoxalyl, thiazolidyl, thiazolyl, thienyl, thiolanyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzofuryl, 2-oxo-pyrrolidinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, cinnolyl, pyrimidyl, pyrrolidyl, tetrahydro-thienyl, benzofuranyl, or benzothiazolyl;

halogen is fluoro, chloro, bromo, or iodo; or a salt thereof.

- 4. A compound according to claim 3, wherein
- R<sub>1</sub> represents
- (i) C<sub>1</sub>-C<sub>10</sub> alkyl substituted by substituent(s) independently selected from
- •oxo,
- •di-propylaminocarbonyl,
- •methoxy substituted by carbocyclic aryl,
- methylcarbonyloxy,
- ·carbocyclic aryloxy,
- •halogenated carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by nitro,
- •heterocyclyloxy substituted by methyl,
- •substituted heterocyclyl-ethylideneaminooxy,
- •tert-butoxycarbonylamino,
- ·carbocyclic arylcarbonylamino,
- •C<sub>1</sub>-C<sub>2</sub> alkylthio,
- •C<sub>1</sub>-C<sub>2</sub> alkylthio substituted by substituent(s) independently selected from
- ••halogenated carbocyclic aryl,
- ••carbocyclic aryl substituted by methoxy,
- •carbocyclic arylthio,
- •hetrocyclylthio substituted by nitro,
- •hetrocyclylthio substituted by methyl,
- •C<sub>5</sub>-C<sub>6</sub> cycloalkenyl,
- •carbocyclyl substituted by substituent(s) independently selected from
- ••halogen,
- ••methyl,
- ••methoxy,

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••ethenyl substituted by carbocyclic aryl substituted methylsulfinyl,
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- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••hydroxy,
- ••nitro,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- •••0XO,
- · · · carbocyclic aryl,
- •••heterocyclyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>4</sub> alkoxy,
- ••C<sub>1</sub>-C<sub>4</sub> alkoxy substituted by carbocyclic aryl,
- ••carbocyclic aryloxy,
- ••halogenated mono-carbocyclic arylaminocarbonyl,
- ••carbocyclic aryl,
- ••heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>2</sub> alkyl,
- •• C<sub>1</sub>-C<sub>2</sub> substituted by carbocyclic aryl,
- ••methoxy.
- ••methoxy substituted by carbocyclic aryl,
- ••carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (ii) C2-C3 alkenyl substituted by substituent(s) independently selected from
- carbocyclic aryl,
- •halogenated carbocyclic aryl,
- •carbocyclic aryl substituted by nitro,
- (iii) C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by substituent(s) independently selected from
- •methyl substituted by oxo,
- •methyl substituted by carbocyclic aryl,
- carbocyclic aryl,

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(iv) carbocyclyl,
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- (v) carbocyclic aryl substituted by substituent(s) independently selected from
- ·halogen,
- hydroxy,
- •cyano,
- •nitro,
- •C<sub>1</sub>-C<sub>9</sub> alkyl,
- •C<sub>1</sub>-C<sub>9</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••oxo,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by methyl,
- ••carbocyclic aryloxy,
- •C<sub>1</sub>-C<sub>7</sub> alkoxy,
- •halogenated C<sub>1</sub>-C<sub>7</sub> alkoxy,
- •C<sub>1</sub>-C<sub>7</sub> alkoxy substituted by carbocyclic aryl,
- •methylcarbonyloxy,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by methoxy,
- •amino,
- •di-methylamino,
- •propargynylcarbonylamino substituted by carbocyclic aryl,
- •carbocyclic arylsulfonylamino substituted by methyl,
- •(carbocyclic aryl)NHC(O)NH substituted by halogenated methoxy,
- halogenated methylthio,
- •carbocyclic arylthio substituted by cyano,
- •di-propylamino sulfonyl,
- •mono- or di- ethylaminocarbonyl substituted by carbocyclic aryl,
- ·carbocyclic aryl,
- •heterocyclyl substituted by methyl.
- •heterocyclyl substituted by halogenated carbocyclic aryl,
- (vi) or heterocyclyl substituted by substituent(s) independently selected from

- ·halogen,
- •nitro,
- •C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- ··halogen,
- methylthio substituted by halogenated carbocyclic aryl,
- ••carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- ••heterocyclyl,
- ·methoxy,
- •carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by methyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •propenylthio,
- •carbocyclic arylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •carbocyclic arylsulfonyl,
- •carbocyclic arylsulfonyl substituted by C<sub>1</sub>-C<sub>4</sub> alkyl,
- ·carbocyclic aryl,
- •halogenated carbocyclic aryl,
- •carbocyclic aryl substituted by methyl,
- •carbocyclic aryl substituted by nitro,
- •heterocyclyl;

L is selected from Formula XX - XXII;

wherein carbocyclic aryl is phenyl, naphthyl, or biphenyl; carbocyclyl is 1-oxo-indanyl, 9-oxo-fluorenyl, indenyl, anthraquinonyl, C-fluoren-

9-ylidene, 1,2,3,4-tetrahydro-naphthyl, or bicyclo[2.2.1]hepteny;

heterocyclyl is 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 4-oxo-benzopyranyl, azetidinyl, benzo[b]thienyl, furyl, isoxazolyl, morpholinyl, piperidyl, piridyl, pyrazolyl, pyridyl, quinolyl, thiazolidyl, thiazolyl, thiolanyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzofuryl, 2-oxo-benzopyranyl, 2-oxo-pyrrolidinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 9*H*-xanthenyl, cinnolyl, imidazolyl, morpholino, pyrimidyl, pyrrolidyl, tetrahydro-thienyl, benzofuranyl, or benzothiazolyl;

halogen is fluoro, chloro, bromo, or iodo; or a salt thereof.

- 5. A compound according to claim 4, wherein  $R_1$  represents
- (i) C<sub>1</sub>-C<sub>5</sub> alkyl substituted by substituent(s) independently selected from
- •oxo,
- •di-propylaminocarbonyl,
- •methoxy substituted by carbocyclic aryl,
- ·methylcarbonyloxy,
- carbocyclic aryloxy,
- ·halogenated carbocyclic aryloxy,
- carbocyclic aryloxy substituted by nitro,
- •heterocyclyloxy substituted by methyl,
- •substituted heterocyclyl-ethylideneaminooxy,
- •tert-butoxycarbonylamino,
- •carbocyclic arylcarbonylamino,
- •C<sub>1</sub>-C<sub>2</sub> alkylthio,
- •C<sub>1</sub>-C<sub>2</sub> alkylthio substituted by substituent(s) independently selected from
- ••halogenated carbocyclic aryl,
- ••carbocyclic aryl substituted by methoxy,
- carbocyclic arylthio,
- •hetrocyclylthio substituted by nitro,
- •hetrocyclylthio substituted by methyl,

- •cyclohexenyl,
- •carbocyclyl substituted by substituent(s) independently selected from
- ••halogen,
- ••methyl,
- ••methoxy,
- ••ethenyl substituted by carbocyclic aryl substituted methylsulfinyl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••hydroxy,
- ••nitro.
- •• C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- •••0xo,
- •••carbocyclic aryl,
- •••heterocyclyl,
- ••C<sub>1</sub>-C<sub>2</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>2</sub> alkoxy,
- ••C<sub>1</sub>-C<sub>2</sub> alkoxy substituted by carbocyclic aryl,
- ••carbocyclic aryloxy,
- ••halogenated mono-carbocyclic arylaminocarbonyl,
- ••carbocyclic aryl,
- ••heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>2</sub> alkyl,
- •• C<sub>1</sub>-C<sub>2</sub> substituted by carbocyclic aryl,
- ••methoxy,
- ••methoxy substituted by carbocyclic aryl,
- ··carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (ii) C<sub>2</sub>-C<sub>3</sub> alkenyl substituted by substituent(s) independently selected from
- •carbocyclic aryl,
- •halogenated carbocyclic aryl,

- •carbocyclic aryl substituted by nitro,
- (iii) C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by substituent(s) independently selected from
- •methyl substituted by oxo,
- •methyl substituted by carbocyclic aryl,
- •carbocyclic aryl,
- (iv) carbocyclyl,
- (v) carbocyclic aryl substituted by substituent(s) independently selected from
- ·halogen,
- •hydroxy,
- •cyano,
- •nitro,
- •C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>2</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••oxo,
- ··carbocyclic aryl,
- ••carbocyclic aryl substituted by methyl,
- ••carbocyclic aryloxy,
- •C<sub>1</sub>-C<sub>2</sub> alkoxy,
- •halogenated C<sub>1</sub>-C<sub>2</sub> alkoxy,
- •C<sub>1</sub>-C<sub>2</sub> alkoxy substituted by carbocyclic aryl,
- methylcarbonyloxy,
- •carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by methoxy,
- ·amino,
- ·di-methylamino,
- •propargynylcarbonylamino substituted by carbocyclic aryl,
- •carbocyclic arylsulfonylamino substituted by methyl,
- •(carbocyclic aryl)NHC(O)NH substituted by halogenated methoxy,
- ·halogenated methylthio,
- •carbocyclic arylthio substituted by cyano,
- di-propylamino sulfonyl,

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•mono- or di- ethylaminocarbonyl substituted by carbocyclic aryl,
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- ·carbocyclic aryl,
- ·heterocyclyl substituted by methyl,
- ·heterocyclyl substituted by halogenated carbocyclic aryl,
- (vi) or heterocyclyl substituted by substituent(s) independently selected from
- ·halogen,
- •nitro,
- •C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- methylthio substituted by halogenated carbocyclic aryl,
- ··carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- ••heterocyclyl,
- ·methoxy,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by methyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •propenylthio,
- ·carbocyclic arylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- ·carbocyclic arylsulfonyl,
- •carbocyclic arylsulfonyl substituted by methyl,
- ·carbocyclic aryl,
- ·halogenated carbocyclic aryl,
- ·carbocyclic aryl substituted by methyl,
- •carbocyclic aryl substituted by nitro,
- •heterocyclyl;

wherein carbocyclic aryl is phenyl, naphthyl, or biphenyl;

carbocyclyl is 1-oxo-indanyl, indenyl, 9-oxo-fluorenyl, 1,2,3,4-tetrahydro-naphthyl,

or bicyclo[2.2.1]hepteny;

heterocyclyl is 1H-indolyl, 2,4-dihydro-3-oxo-pyrazolyl, furyl, pyrazolyl, pyridyl,

thienyl, 1,2,3-triazolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzofuryl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, imidazolyl, isoxazolyl, morpholino, morpholinyl, pyrazolyl, pyrimidyl, quinolyl, thiazolyl, tetrahydro-thienyl, benzofuranyl, or benzothiazolyl;

halogen is fluoro, chloro, bromo, or iodo; or a salt thereof.

6. A compound according to claim 5 of Formua I selected from the group consisting of

; or, in case of, a salt thereof.

7. A compound according to claim 3, wherein

R<sub>1</sub> represents

(i)  $C_1$ - $C_{10}$  alkyl,

C<sub>1</sub>-C<sub>10</sub> alkyl substituted by substituent(s) independently selected from

•C<sub>5</sub>-C<sub>6</sub> cycloalkyl,

•carbocyclic aryl,

•heterocyclyl,

- (ii) C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- (iii) carbocyclic aryl,
- (iv) or heterocyclyl;

L is selected from Formula XX - XXII;

wherein carbocyclic aryl is phenyl, naphthyl, anthranyl, or biphenyl;

heterocyclyl is 1,3-dioxo-isoindolyl, 1*H*-indolyl, 1-oxo-3*H*-isobenzofuranyl, 2,3-dihydro-benzo[1,4]dioxinyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4-oxo-3,4-dihydro-phthalazinyl, 9,10,10-trioxo-thioxanthenyl, 9*H*-xanthenyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[b]thienyl, furyl, imidazolyl, isoxazolyl, morpholino, oxolanyl, piperidyl, pyridyl, quinoxalyl, thienyl, quinolyl, or benzothiazolyl; or a salt thereof.

8. A compound according to claim 7, wherein

R<sub>1</sub> represents

- (i) C<sub>1</sub>-C<sub>4</sub> alkyl,
- C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- •cyclopentyl,
- ·carbocyclic aryl,
- •heterocyclyl,
- (ii) carbocyclic aryl,
- (iii) or heterocyclyl;

wherein carbocyclic aryl is phenyl, naphthyl, anthranyl, or biphenyl; heterocyclyl is 9*H*-xanthenyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[b]thienyl, thienyl, 1*H*-indolyl, quinoxalyl, quinolyl, or benzothiazolyl; or a salt thereof.

9. A compound according to claim 8 of Formua I thereof selected from the group consisting of

; or, in case of, a salt thereof.

- 10. A compound according to claim 1, wherein Q is Fomura II;  $R_1$  represents
- (i) C<sub>1</sub>-C<sub>10</sub> alkyl,
- C<sub>1</sub>-C<sub>10</sub> alkyl substituted by substituent(s) independently selected from
- •halogen,
- •hydroxy,
- •oxo,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by substituent(s) independently selected from
- ••carbocyclic aryl,
- ••heterocyclyl,
- ••heterocyclyl substituted by C1-C3 alkyl,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by C1-C3 alkoxy,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by substituent(s) independently selected from
- •••mono- or di-C1-C3 alkylamino,
- •••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by carbocyclic aryl,
- ••• mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by halogenated carbocyclic aryl,
- •mono- or di-C1-C3 alkylamino,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by substituent(s) independently selected from
- ••cyano,
- ••carbocyclic aryl,
- ••heterocyclyl,
- •mono- or di-carbocyclic arylamino,
- •mono- or di-carbocyclic arylamino substituted by C1-C3 alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylcalbonylamino,
- •C<sub>1</sub>-C<sub>4</sub> alkoxycalbonylamino,

- •carbocyclic arylsulfonylamino,
- •carbocyclic arylsulfonylamino substituted by substituent(s) independently selected from
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••mono- or di-C1-C3 alkylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by substituent(s) independently selected from
- ••mono- or di-carbocyclic arylamino,
- ••halogenated mono- or di-carbocyclic arylamino,
- ··carbocyclic aryl,
- ••carbocyclic aryl substituted by substituent(s) independently selected from
- •••halogen,
- •••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •carbocyclic arylthio,
- •carbocyclic arylthio substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •carbocyclic arylsulfonyl,
- halogenated carbocyclic arylsulfonyl,
- •heterocyclylthio,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- •C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- carbocyclyl,
- •carbocyclyl substituted by substituent(s) independently selected from
- ••halogen,
- ${f \cdot \cdot C_1 C_3}$  alkyl,
- ••C<sub>2</sub>-C<sub>3</sub> alkenyl,
- ••C2-C3 alkenyl substituted by carbocyclic aryl,
- ••C<sub>2</sub>-C<sub>3</sub> alkenyl substituted by carbocyclic aryl substituted C<sub>1</sub>-C<sub>3</sub> alkylsulfinyl,
- carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,

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••hydroxy,
••nitro,
••C<sub>1</sub>-C<sub>4</sub> alkyl,
{f \cdot \cdot} C_1{f \cdot} C_4 alkyl substituted by substituent(s) independently selected from
•••halogen,
•••hydroxy,
•••carbocyclic aryl,
•••mono- or di-carbocyclic arylamino,
•••mono- or di-carbocyclic arylamino substituted by substituent(s) independently selected
from
••••halogen,
••••nitro,
••••C_1-C_3 alkyl,
••••C<sub>1</sub>-C<sub>3</sub> alkoxy,
••••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
\bullet \bullet C_1 - C_3 alkoxy,
••C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by substituent(s) independently selected from
•••halogen,
•••carbocyclic aryl,
••carbocyclic aryloxy,
••C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
••C<sub>1</sub>-C<sub>3</sub> alkylthio,
••halogenated C<sub>1</sub>-C<sub>3</sub> alkylthio,
••C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
••C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
••carbocyclic aryl,
••heterocyclyl,
·heterocyclyl,
•heterocyclyl substituted by substituent(s) independently selected from
••C<sub>1</sub>-C<sub>3</sub> alkyl,
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••C<sub>1</sub>-C<sub>3</sub> alkoxy,

- ••C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- ••carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (ii) C2-C8 alkenyl,
- C2-C8 alkenyl substituted by substituent(s) independently selected from
- •halogen,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- •carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••hydroxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyl,
- •heterocyclyl substituted by nitro,
- (iii) C<sub>2</sub>-C<sub>4</sub> alkynyl,
- C<sub>2</sub>-C<sub>4</sub> alkynyl substituted by carbocyclic aryl,
- (iv) C<sub>3</sub>-C<sub>6</sub> cycloalkyl,
- C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted by substituent(s) independently selected from
- •C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkyl substituted by substituent(s) independently selected from
- ••hydroxy,
- ••0X0,
- ••carbocyclic aryl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by carbocyclic aryl,
- carbocyclic aryl,
- (v) C<sub>3</sub>-C<sub>6</sub> cycloalkeyl,
- C<sub>3</sub>-C<sub>6</sub> cycloalkeyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- (vi) carbocyclyl,
- carbocyclyl substituted by substituent(s) independently selected from

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hydroxy,
•nitro,
(vii) carbocyclic aryl,
carbocyclic aryl substituted by substituent(s) independently selected from
•halogen,
hydroxy,
•cyano,
•nitro,
•C<sub>1</sub>-C<sub>9</sub> alkyl,
•C<sub>1</sub>-C<sub>9</sub> alkyl substituted by substituent(s) independently selected from
••halogen,
••hydroxy,
••oxo,
••C<sub>1</sub>-C<sub>3</sub> alkoxy,
··carbocyclic aryloxy,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino-N-oxy,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino substituted by carbocyclic aryl,
••mono- or di-carbocyclic arylamino,
••mono- or di-carbocyclic arylamino substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
••carbocyclic aryl,
··halogenated carbocyclic aryl,
••heterocyclyl,
••heterocyclyl substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
•C<sub>2</sub>-C<sub>3</sub> alkenyl,
•C<sub>2</sub>-C<sub>3</sub> alkenyl substituted by carbocyclic aryl,
•C<sub>1</sub>-C<sub>9</sub> alkoxy,
•C<sub>1</sub>-C<sub>9</sub> alkoxy substituted by substituent(s) independently selected from
••hydroxy,
••halogen,
••carboxy,
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••mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,

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••carbocyclic aryl,
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- ••halogenated carbocyclic aryl,
- ••heterocyclyl,
- ••heterocyclyl substituted by substituent(s) independently selected from
- •••heterocyclyl,
- •••heterocyclyl substituted by substituent(s) independently selected from
- ••••halogen,
- •••• $C_1$ - $C_3$  alkyl,
- ••••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>2</sub>-C<sub>3</sub> alkenyloxy,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- •carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••halogenated C1-C4 alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyloxy,
- •heterocyclyloxy substituted by substituent(s) independently selected from
- ••halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- •(carbocyclic aryl)S(O)<sub>2</sub>O,
- carboxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
- ·amino,
- •mono- or di-C<sub>1</sub>-C<sub>4</sub> alkylamino,
- •mono- or di-C<sub>1</sub>-C<sub>4</sub> alkylamino substituted by cyano,
- •mono- or di-carbocyclic arylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonylamino,

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•carbocyclic arylsulfonylamino,
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- •carbocyclic arylsulfonylamino substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •(carbocyclic aryl)NHC(O)NH,
- •(carbocyclic aryl)NHC(O)NH substituted by C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •(carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •halogenated C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ·carbocyclic arylthio,
- •halogenated carbocyclic arylthio,
- •carbocyclic arylthio substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- ·heterocyclylthio,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylaminosulfonyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>7</sub> alkyl,
- ••halogenated C1-C7 alkyl,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••carbocyclic aryl,
- ••halogenated carbocyclic aryl,
- (viii) heterocyclyl,
- or heterocyclyl substituted by substituent(s) independently selected from
- •halogen,
- hydroxy,
- •cyano,
- •nitro,
- $\cdot C_1 C_4$  alkyl,
- •C1-C4 alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••hydroxy,

- ••oxo,
- ••C<sub>1</sub>-C<sub>3</sub> alkylcarbonyloxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by carbocyclic aryl,
- ••C<sub>1</sub>-C<sub>3</sub> alkylthio substituted by halogenated carbocyclic aryl,
- ••carbocyclic aryl,
- ••carbocyclic aryl substituted by substituent(s) independently selected from
- •••halogen,
- •••nitro.
- ••heterocyclyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by carbocyclic aryl,
- ·carbocyclic aryloxy,
- •carbocyclic aryloxy substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •mono- or di-C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •C<sub>1</sub>-C<sub>4</sub> alkylcarbonylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylthio,
- •carbocyclic arylthio,
- ·halogenated carbocyclic arylthio,
- •carbocyclic arylthio substituted by C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •heterocyclylthio,
- •heterocyclylthio substituted by C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •carbocyclic arylsulfonyl,
- •carbocyclic arylsulfonyl substituted by C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,

- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- •• $C_1$ - $C_3$  alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •heterocyclyl,
- •heterocyclyl substituted by substituent(s) independently selected from
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl;

Y is  $-(CH_2)_m$ , m is 0 or 1;

wherein carbocyclic aryl is phenyl, naphthyl, biphenyl, or phenanthryl; carbocyclyl is 9*H*-fluorenyl, 9-oxo-fluorenyl, acenaphthyl, anthraquinonyl, indanyl, or indenyl;

heterocyclyl is 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1,3,4-thiadiazolyl, 1,3-dioxo-isoindolyl, 1,3-dioxolanyl, 1*H*-indolyl, 1*H*-pyrrolo[2,3-c]pyridyl, 1*H*-pyrrolyl, 2,2',5',2"-terthiophenyl, 2,2'-bithiophenyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-pyrrolidinyl, 3,4-dihydro-2*H*-benzo[1,4]oxazinyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4*H*-benzo[1,3]dioxinyl, 4*H*-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, isoxazolyl, morpholino, morpholinyl, oxolanyl, piperazyl, piperidyl, pyrazolo[5,1-b]thiazolyl, pyrazolyl, pyridyl, pyrimidyl, pyrrolidyl, quinoxalyl, thiazolidyl, thiazolyl, thienyl, or thiolanyl;

halogen is fluoro, chloro, bromo, or iodo; or a salt thereof.

- 11. A compound according to claim 10, wherein R<sub>1</sub> represents
- (i) C<sub>1</sub>-C<sub>10</sub> alkyl substituted by substituent(s) independently selected from •methoxy,
- •methoxy substituted by carbocyclic aryl,

- •carbocyclic aryloxy,
- •halogenated carbocyclic aryloxy,
- •mono-C<sub>1</sub>-C<sub>2</sub> alkylamino substituted by cyano,
- •mono- or di-C<sub>1</sub>-C<sub>2</sub> alkylamino substituted by carbocyclic aryl,
- •mono-carbocyclic arylamino,
- •mono-carbocyclic arylamino substituted by methyl,
- •carbocyclic arylsulfonylamino substituted by methyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by carbocyclic aryl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by hydroxy,
- ••C<sub>1</sub>-C<sub>2</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>2</sub> alkoxy,
- •heterocyclyl substituted by carbocyclic aryl,
- (ii) C2-C8 alkenyl substituted by substituent(s) independently selected from
- •methoxy substituted by carbocyclic aryl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by methoxy,
- (iii) C<sub>2</sub>-C<sub>4</sub> alkynyl substituted by carbocyclic aryl,
- (iv) cyclohexyl substituted by carbocyclic arylmethyl,
- (v) carbocyclyl,
- (vi) carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from
- •halogen,
- hydroxy,
- •cyano,
- ·amino,
- •C<sub>1</sub>-C<sub>9</sub> alkyl,
- •halogenated C<sub>1</sub>-C<sub>9</sub> alkyl,

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•C<sub>1</sub>-C<sub>9</sub> alkoxy,
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- •C<sub>1</sub>-C<sub>9</sub> alkoxy substituted by substituent(s) independently selected from
- ••halogen,
- ••halogenated carbocyclic aryl,
- propenyloxy,
- •methylamino,
- •di-C<sub>1</sub>-C<sub>2</sub> alkylamino,
- •di-C<sub>1</sub>-C<sub>2</sub> alkylamino substituted by cyano,
- •methylthio,
- •halogenated methylthio,
- (vii) heterocyclyl,
- or heterocyclyl substituted by substituent(s) independently selected from
- •halogen,
- •C<sub>1</sub>-C<sub>4</sub> alkyl,
- •C<sub>1</sub>-C<sub>4</sub> alkyl substituted by hydroxy,
- •C<sub>1</sub>-C<sub>4</sub> alkyl substituted by carbocyclic aryl,
- ·methoxy,
- •C<sub>1</sub>-C<sub>2</sub> alkoxycarbonyl,
- •carbocyclic arylthio substituted by methoxycarbonyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- halogenated methyl,
- •heterocyclyl;

R<sub>2</sub> is methylamino or dimethylamino;

L is selected from Formula Va, VIIIa, or IXa;

wherein carbocyclic aryl is phenyl, naphthyl, biphenyl, or phenanthryl;

carbocyclyl is 9H-fluorenyl, acenaphthyl, or anthraquinonyl;

heterocyclyl is 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1,3-dioxolanyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,2',5',2"-terthiophenyl, 2,2'-bithiophenyl, 2,3-dihydro-benzo[1,4]dioxinyl, 3,4-dihydro-2*H*-benzo[1,4]oxazinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[b]thienyl, benzofuryl,

benzothiazolyl, furyl, imidazolyl, isoxazolyl, oxolanyl, pyrazolo[5,1-b]thiazolyl, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, thiazolyl, thiazolyl, thienyl, 2*H*-benzopyranyl, 4*H*-benzo[1,3]dioxinyl, azetidinyl, imidazo[2,1-b]thiazolyl, morpholinyl, or 2,3-dihydrobenzofuryl;

halogen is fluoro, chloro, bromo, or iodo; or a salt thereof.

- 12. A compound according to claim 11, wherein
- R<sub>1</sub> represents
- (i) C<sub>1</sub>-C<sub>7</sub> alkyl substituted by substituent(s) independently selected from
- ·methoxy,
- •methoxy substituted by carbocyclic aryl,
- •carbocyclic aryloxy,
- •halogenated carbocyclic aryloxy,
- •mono-ethylamino substituted by cyano,
- •di-methylamino substituted by carbocyclic aryl,
- •mono-carbocyclic arylamino,
- •mono-carbocyclic arylamino substituted by methyl,
- •carbocyclic arylsulfonylamino substituted by methyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by carbocyclic aryl,
- ••C<sub>1</sub>-C<sub>4</sub> alkyl substituted by hydroxy,
- ••metoxy,
- ••halogenated methoxy,
- •heterocyclyl substituted by carbocyclic aryl,
- (ii) C<sub>2</sub>-C<sub>7</sub> alkenyl substituted by substituent(s) independently selected from
- •methoxy substituted by carbocyclic aryl,
- carbocyclic aryl,

- •carbocyclic aryl substituted by methoxy,
- (iii) butynyl substituted by carbocyclic aryl,
- (iv) cyclohexyl substituted by carbocyclic arylmethyl,
- (v) carbocyclyl,
- (vi) carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from
- ·halogen,
- •hydroxy,
- •cyano,
- •amino,
- •C<sub>1</sub>-C<sub>2</sub> alkyl,
- •halogenated methyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy substituted by substituent(s) independently selected from
- ••halogen,
- ••halogenated carbocyclic aryl,
- propenyloxy,
- •di-C<sub>1</sub>-C<sub>2</sub> alkylamino,
- •di-C<sub>1</sub>-C<sub>2</sub> alkylamino substituted by cyano,
- •methylthio,
- ·halogenated methylthio,
- (vii) heterocyclyl,
- or heterocyclyl substituted by substituent(s) independently selected from
- ·halogen,
- •C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkyl substituted by hydroxy,
- •C<sub>1</sub>-C<sub>3</sub> alkyl substituted by carbocyclic aryl,
- ·methoxy,
- ·ethoxycarbonyl,
- •carbocyclic arylthio substituted by methoxycarbonyl,
- •carbocyclic aryl.
- •carbocyclic aryl substituted by substituent(s) independently selected from

- ••halogen,
- ••halogenated methyl,
- •heterocyclyl;

L is selected from Formula XX - XXII;

wherein carbocyclic aryl is phenyl, naphthyl, or biphenyl;

carbocyclyl is acenaphthyl;

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 9*H*-carbazolyl, benzo[1,3]dioxolyl, furyl, pyrazolyl, thienyl, 4-oxo-benzopyranyl, azetidinyl, imidazo[2,1-b]thiazolyl, pyridyl, imidazolyl, 2,3-dihydro-benzofuryl, or benzo[b]thienyl;

halogen is fluoro, chloro, bromo, or iodo;

or a salt thereof.

13. A compound according to claim 12 of Formua I selected from the group consisting of

; or, in case of, a salt thereof.

- 14. A compound according to claim 1, wherein Q is Fomura II;  $R_1$  represents
- (i) C<sub>1</sub>-C<sub>16</sub> alkyl,
- C<sub>1</sub>-C<sub>16</sub> alkyl substituted by substituent(s) independently selected from
- ·halogen,
- •carbocyclyl,
- ·carbocyclic aryl,
- •carbocyclic aryl substituted by substituent(s) independently selected from
- ••halogen,
- ••nitro,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••C<sub>1</sub>-C<sub>3</sub> alkoxy,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkoxy,
- (ii) C<sub>2</sub>-C<sub>3</sub> alkenyl,
- C2-C3 alkenyl substituted by carbocyclic aryl,
- (iii) carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from
- •halogen,
- •cyano,
- •nitro,
- •C<sub>1</sub>-C<sub>5</sub> alkyl,
- •C<sub>1</sub>-C<sub>5</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••oxo,
- •C<sub>2</sub>-C<sub>3</sub> alkenyl,
- •C<sub>1</sub>-C<sub>4</sub> alkoxy,
- •C<sub>1</sub>-C<sub>4</sub> alkoxy substituted by substituent(s) independently selected from
- ••halogen,
- ••heterocyclyl,
- ••halogenated heterocyclyl,
- ·carbocyclic aryloxy,

•carbocyclic aryloxy substituted by substituent(s) independently selected from

- ••halogen,
- ••nitro,
- ·heterocyclyloxy,
- •heterocyclyloxy substituted by substituent(s) independently selected from
- ··halogen,
- ••C<sub>1</sub>-C<sub>3</sub> alkyl,
- ••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
- •mono- or di-C<sub>1</sub>-C<sub>4</sub> alkylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonylamino,
- •carbocyclic aryl diazo,
- •carbocyclic aryl diazo substituted by mono- or di- C<sub>1</sub>-C<sub>3</sub> alkylamino,
- •C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl,
- •carbocyclic aryl,
- (iv) heterocyclyl,
- or heterocyclyl substituted by substituent(s) independently selected from
- ·halogen,
- $\cdot C_1 C_3$  alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkyl substituted by substituent(s) independently selected from
- ••halogen,
- ••oxo,
- ··carbocyclic arylcarbonylamino,
- ••halogenated carbocyclic arylcarbonylamino,
- ••heterocyclyl,
- ••heterocyclyl substituted by substituent(s) independently selected from
- •••halogen,
- •••C<sub>1</sub>-C<sub>3</sub> alkyl,
- •••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl,
- •C<sub>1</sub>-C<sub>3</sub> alkoxy,
- •C<sub>1</sub>-C<sub>3</sub> alkylcarbonylamino,
- •carbocyclic arylsulfonyl,

```
•C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl,
•carbocyclic aryl,
•halogenated carbocyclic aryl,
•heterocyclyl,
•heterocyclyl substituted by substituent(s) independently selected from
••halogen,
••C<sub>1</sub>-C<sub>3</sub> alkyl,
••halogenated C<sub>1</sub>-C<sub>3</sub> alkyl;

Y is -S(O)<sub>2</sub>-;
wherein carbocyclic aryl is phenyl, biphenyl, or naphthyl;
carbocyclyl is 7,7-dimethyl-2-oxo-bicyclo[2.2.1]heptyl;
heterocyclyl is 1,2,3,4-tetrahydro-isoquinolyl, 1,2,3-thiadiazolyl, 1H-pyrrolyl,
benzo[2,1,3]oxadiazolyl, benzo[b]thienyl, furyl, imidazolyl, isoxazolyl, pyridyl,
quinolyl, thiazolyl, or thienyl;
halogen is fluoro, chloro, bromo, or iodo;
```

15. A compound according to claim 14 of Formua I selected from the group consisting of

or a salt thereof.

; or, in case of, a salt thereof.

16. A compound according to claim 1, wherein Q is Fomura II;

R<sub>1</sub> is selected from H, -CO<sub>2</sub>'Bu, or -CO<sub>2</sub>Bn (Bn is a benzyl group);

 $R_2$  is methylamino or dimethylamino;

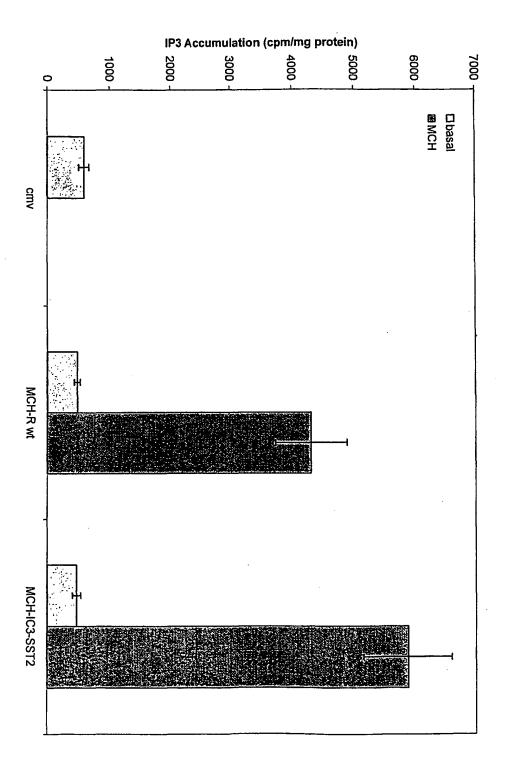
L is selected from Formula XX - XXII;

Y is a single bond;

or a salt thereof.

- 17. A method for modulating the G-protein receptor, SLC-1, comprising the step of contacting said SLC-1 with a MCH receptor antagonist.
- 18. A method for modulating the G-protein receptor, SLC-1, comprising the step of contacting said SLC-1 with a compound of claims 1-16.
- 19. The method of prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression in mammals in need of such treatment comprising administering to the mammal a therapeutically effective amount of a compound having the composition of any of claims 1-16.
- 20. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound having the composition of any of claims 1-16.

Fig. 1



IP3 Assay 293 Cells

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Thr Ile Cys Leu Leu Gly Ile Ile Gly Asn Ser Thr Val Ile Phe Ala
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Val Val Lys Lys Ser Lys Leu His Trp Cys Asn Asn Val Pro Asp Ile 65 70 75 80

Phe Ile Ile Asn Leu Ser Val Val Asp Leu Leu Phe Leu Leu Gly Met 85 90 95

Pro Phe Met Ile His Gln Leu Met Gly Asn Gly Val Trp His Phe Gly 100 105 110

Glu Thr Met Cys Thr Leu Ile Thr Ala Met Asp Ala Asn Ser Gln Phe 115 120 125

Thr Ser Thr Tyr Ile Leu Thr Ala Met Ala Ile Asp Arg Tyr Leu Ala 130 135 140

Thr Val His Pro Ile Ser Ser Thr Lys Phe Arg Lys Pro Ser Val Ala 145 150 155 160

Thr Leu Val Ile Cys Leu Leu Trp Ala Leu Ser Phe Ile Ser Ile Thr 165 170 175

Pro Val Trp Leu Tyr Ala Arg Leu Ile Pro Phe Pro Gly Gly Ala Val 180 185 190

Gly Cys Gly Ile Arg Leu Pro Asn Pro Asp Thr Asp Leu Tyr Trp Phe 195 200 205

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Pro	Ala	Ser	Gln	Arg 245	Ser	Ile	Arg	Leu	Arg 250	Thr	Lys	Arg	Val	Thr 255	Arç
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465					Glu 470					475					480
				485	Trp				490					495	
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- Val Leu Arg Thr Arg Val Lys Thr Thr Gly Ile Val Glu Thr His Phe 530 535 540
- Thr Phe Lys Asp Leu His Phe Lys Met Phe Asp Wal Gly Gln Arg 545 550 560
- Ser Glu Arg Lys Lys Trp Ile His Cys Phe Glu Gly Val Thr Ala Ile 565 570 575
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- Glu Glu Met Asn Arg Met His Glu Ser Met Lys Leu Phe Asp Ser Ile
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- Cys Asn Asn Lys Trp Phe Thr Asp Thr Ser Ile Ile Leu Phe Leu Asn 610 615 620
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